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* * * * * Welcome to STN International * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Feb 24	PCTGEN now available on STN
NEWS 4	Feb 24	TEMA now available on STN
NEWS 5	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 6	Feb 26	PCTFULL now contains images
NEWS 7	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8	Mar 24	PATDPAFULL now available on STN
NEWS 9	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 10	Apr 11	Display formats in DGENE enhanced
NEWS 11	Apr 14	MEDLINE Reload
NEWS 12	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 13	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 14	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 15	Apr 28	RDISCLOSURE now available on STN
NEWS 16	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 17	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 18	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19	May 19	Simultaneous left and right truncation added to WSCA
NEWS 20	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 22	Jun 06	PASCAL enhanced with additional data
NEWS 23	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS 24	Jun 25	HSDB has been reloaded
NEWS 25	Jul 16	Data from 1960-1976 added to RDISCLOSURE
NEWS 26	Jul 21	Identification of STN records implemented
NEWS 27	Jul 21	Polymer class term count added to REGISTRY
NEWS 28	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS EXPRESS	April 4	CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS		STN Operating Hours Plus Help Desk Availability
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09/ 830,227

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***** STN Columbus *****

FILE 'HOME' ENTERED AT 13:11:32 ON 04 AUG 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:11:41 ON 04 AUG 2003

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STRUCTURE FILE UPDATES: 3 AUG 2003 HIGHEST RN 560059-45-2

DICTIONARY FILE UPDATES: 3 AUG 2003 HIGHEST RN 560059-45-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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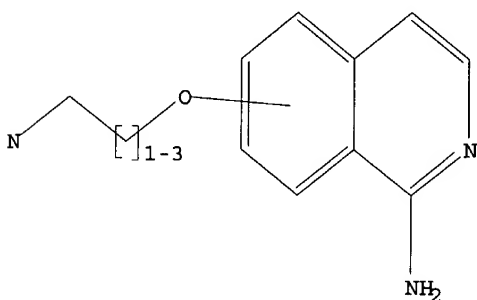
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 13:12:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8920 TO ITERATE

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100.0% PROCESSED 8920 ITERATIONS
SEARCH TIME: 00.00.01

284 ANSWERS

L2 284 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

FILE 'CAPLUS' ENTERED AT 13:12:06 ON 04 AUG 2003

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FILE COVERS 1907 - 4 Aug 2003 VOL 139 ISS 6

FILE LAST UPDATED: 3 Aug 2003 (20030803/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3 10 L2

=> d l3 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 10 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:376636 CAPLUS

DOCUMENT NUMBER: 138:385436

TITLE: Preparation of 4-(1,1-dioxido-2-isothiazolidinyl)benzenamines as inhibitors of blood-coagulation factor Xa for the treatment of thromboembolic diseases

INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

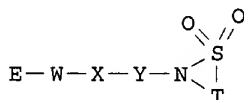
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

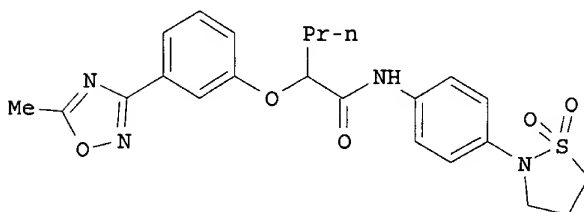
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WO 2003039543	A1	20030515	WO 2002-EP11349	20021010
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
 TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG

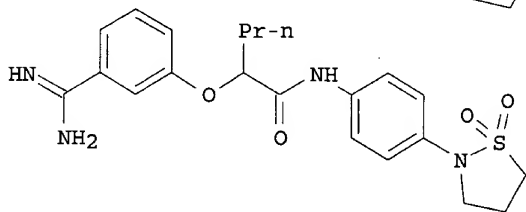
DE 10155075 A1 20030522 DE 2001-10155075 20011109
 PRIORITY APPLN. INFO.: DE 2001-10155075 A 20011109
 OTHER SOURCE(S): MARPAT 138:385436
 GI



I



II



III

AB Title compds. I [E = (un)substituted aryl, heteroaryl; W = C(R2)2, [C(R2)2], OC(R2)2, etc.; R2 = H, A, [C(R3)2]n, etc.; R3 = H, A; X = CONR2, CONR2C(R3)2, C(R3)2NR2, etc.; Y = alkylene, cycloalkylene, Ar-diyl (sic), etc.; Ar = (un)substituted Ph, naphthyl, biphenyl; T = (un)substituted (CH2)p, e.g., N, O, S; n = 0-2; p = 1-6] and their pharmaceutically acceptable salts were prepd. For example, Raney-Nickel mediated redn. of oxadiazol II, e.g., prepd. from 4-nitroaniline in 4-steps, afforded isothiazolidine III acetate. In blood-coagulation factor Xa inhibition studies, isothiazolidine III acetate exhibited an IC50 value of 3.5 x 10⁻⁷ M. Compds. I are claimed useful for the treatment of thromboembolic diseases and tumors.

IT 524957-14-0P 524957-15-1P 524957-16-2P
 524957-36-6P 524957-37-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

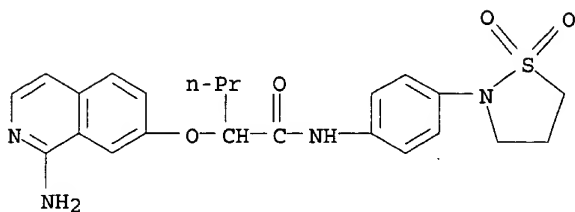
(drug candidate; prepn. of isothiazolidinylbenzenamines as inhibitors of blood coagulation factor Xa for the treatment of thromboembolic diseases)

RN 524957-14-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1,1-dioxido-2-

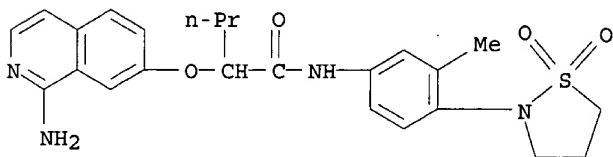
09/ 830,227

isothiazolidinyl)phenyl]- (9CI) (CA INDEX NAME)



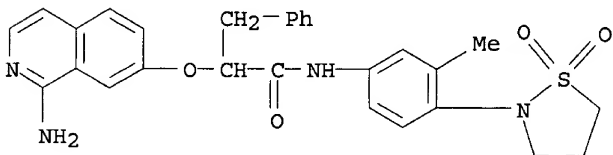
RN 524957-15-1 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]- (9CI) (CA INDEX NAME)



RN 524957-16-2 CAPLUS

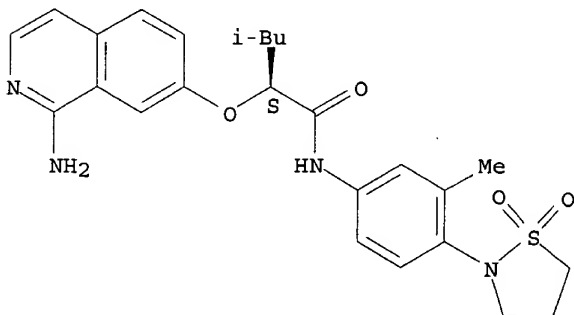
CN Benzenepropanamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]- (9CI) (CA INDEX NAME)



RN 524957-36-6 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

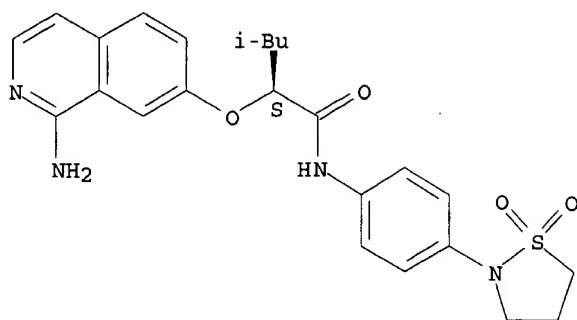


RN 524957-37-7 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(1,1-dioxido-2-isothiazolidinyl)phenyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

09/ 830,227

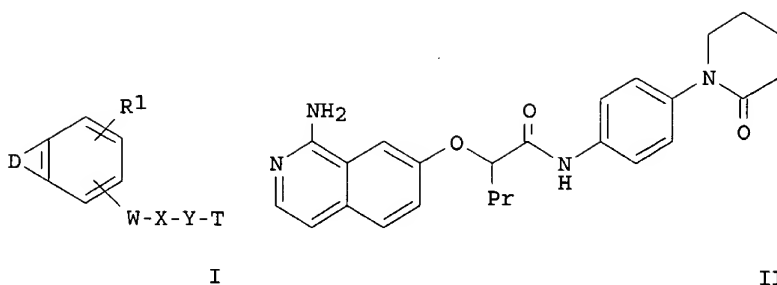
Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:133044 CAPLUS
DOCUMENT NUMBER: 138:187647
TITLE: Preparation of phenyl derivatives as coagulation factor Xa inhibitors
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013531	A1	20030220	WO 2002-EP7798	20020712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10139060	A1	20030220	DE 2001-10139060	20010808
PRIORITY APPLN. INFO.: DE 2001-10139060 A 20010808				
OTHER SOURCE(S): CASREACT 138:187647; MARPAT 138:187647				
GI				



AB Novel Ph compds. I [D = (un)satd. 3 - 4 alkylene chain, contg. 1 - 2 N, O and/or S {may be substituted with halogen, A, {C(R3)2}n-Ar, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2SO2A, COR2, SO2NR2, S(O)mA}; W = C(R2)2, {C(R2)2}2, OC(R2)2, NR2C(R2)2; X = CONR2, CONR2C(R3)2, C(R3)2NR2, C(R3)2NR2C(R3)2; Y = alkylene, cycloalkylene, Het-diyl, Ar-diyl; T = (un)substituted heterocycle contg. 1 - 4 of N, O and/or S; A = (un)branched C1-6-alkyl {may contain O, S, CH:CH or substituted with 1 - 7 F}; R1 = H, halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R2 = H, A, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R3 = H, A; Ar = (un)substituted Ph, naphthyl, biphenyl {may be substituted with halogen, A, OR3, N(R3)2, NO2, CN, CO2R3, CON(R3)2, NR3COA, NR3CON(R3)2, NR3SO2A, COR3, SO2N(R3)2, SOmA}; Het = (un)satd. or arom. heterocycle (contg. 1 - 4 N, O and/or S and may be substituted with halogen, A, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA); Het1 = (un)satd. or arom. heterocycle {contg. 1 - 2 N, O and/or S and may be substituted with halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA}; halogen = Cl Br, F, I; n = 0 - 2; m = 0 - 2] are claimed. I and their pharmaceutically acceptable derivs., solvates, stereoisomers and their mixts., are inhibitors of coagulation factor Xa and can be used in the prophylaxis and/or therapy of thromboembolic diseases and in the treatment of tumors. Thus isoquinoline II was prepd. from 7-hydroxyisoquinoline via O-alkylation with Me(CH2)2CHBrCO2Et, sapon., amidation with 1-(4-aminophenyl)piperidin-2-one, isoquinoline N-oxidn., isoquinoline N-oxide amination with pyridine, and reaction with ethanolamine. II was tested for thrombin receptor binding ability [IC50 = 3.5 x 10⁻⁷ M vs. FXa; IC50 = 2.2 x 10⁻⁷ M vs. TF]. I was used in the prepn. of drug formulations (injections, suppositories, solns., solvates, tablets, etc.).

IT 498540-34-4P 498540-36-6P 498540-56-0P
 498540-57-1P 498540-59-3P 498540-60-6P
 498540-61-7P 498540-62-8P 498540-63-9P
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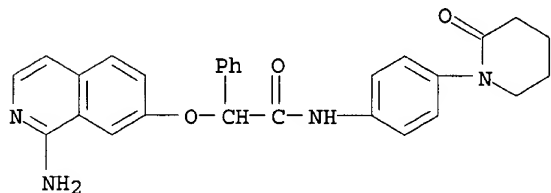
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 498541-92-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(prepn. of bicyclic benzene derivs. as coagulation factor Xa
 inhibitors)

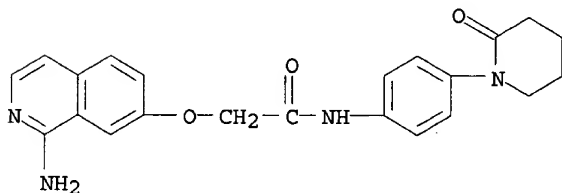
RN 498540-34-4 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1-
 piperidinyloxy)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-36-6 CAPLUS

CN Acetamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1-
 piperidinyloxy)phenyl]- (9CI) (CA INDEX NAME)

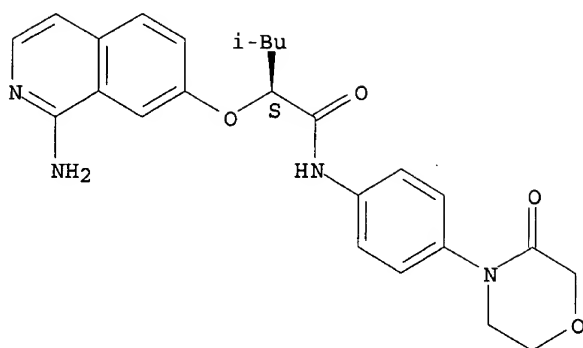


RN 498540-56-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-4-methyl-N-[4-(3-oxo-4-
 morpholinyloxy)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

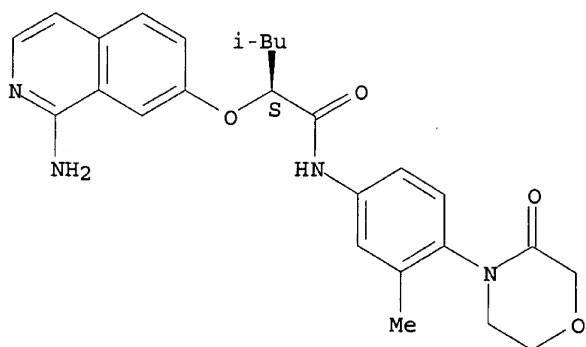
09/ 830,227



RN 498540-57-1 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

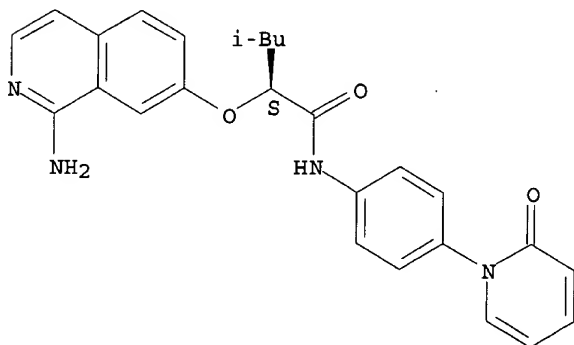
Absolute stereochemistry.



RN 498540-59-3 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

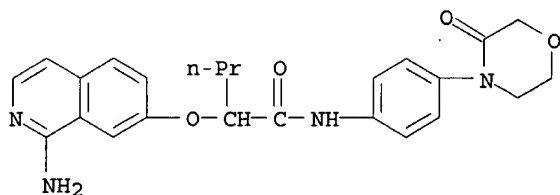


RN 498540-60-6 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-

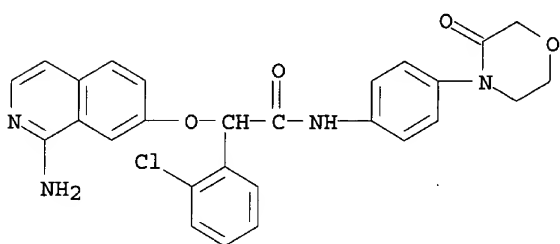
09/ 830,227

morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



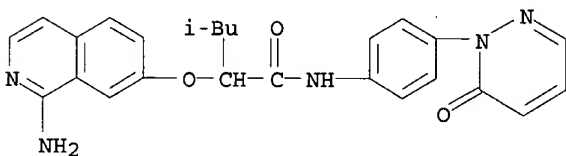
RN 498540-61-7 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-chloro-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



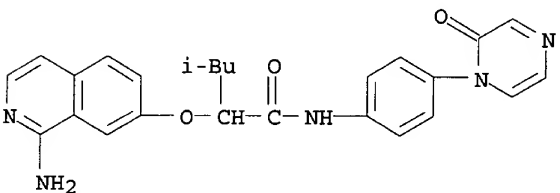
RN 498540-62-8 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(6-oxo-1(6H)-pyridazinyl)phenyl]- (9CI) (CA INDEX NAME)



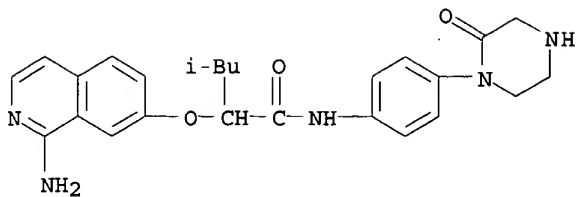
RN 498540-63-9 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyrazinyl)phenyl]- (9CI) (CA INDEX NAME)



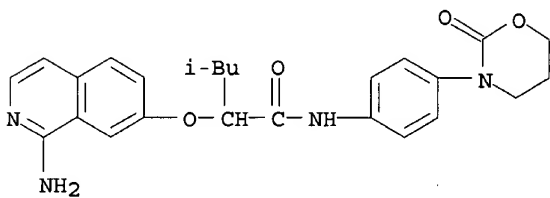
RN 498540-64-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



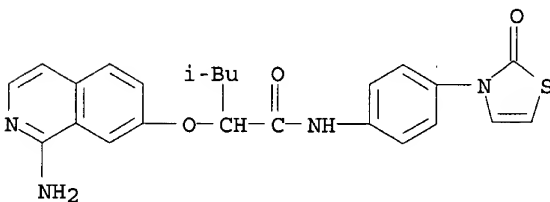
RN 498540-65-1 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(dihydro-2-oxo-2H-1,3-oxazin-3(4H)-yl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



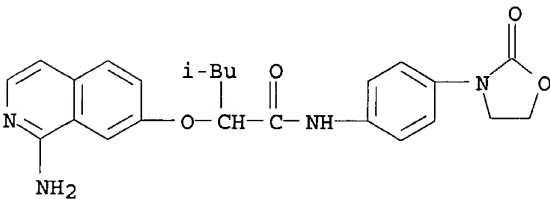
RN 498540-66-2 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-3(2H)-thiazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-67-3 CAPLUS

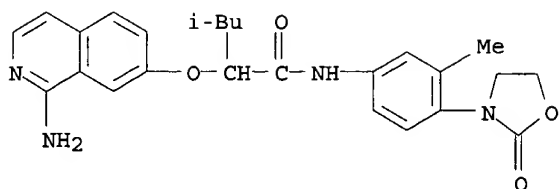
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-68-4 CAPLUS

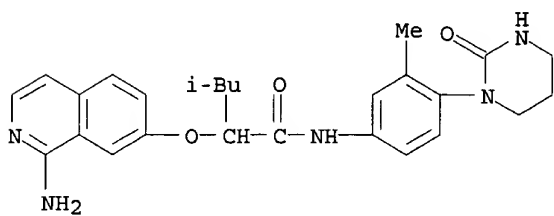
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(2-oxo-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

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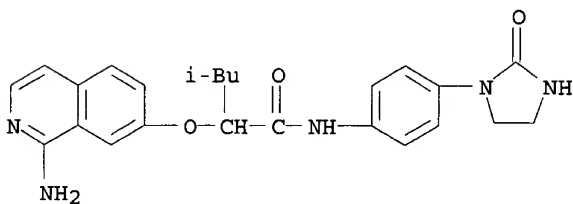
RN 498540-69-5 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)



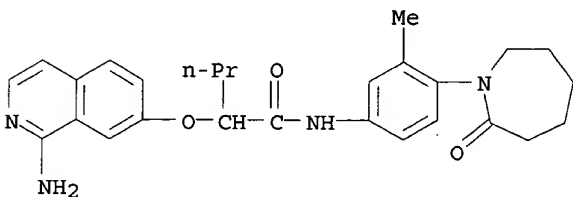
RN 498540-70-8 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1-imidazolidinyl)phenyl]- (9CI) (CA INDEX NAME)



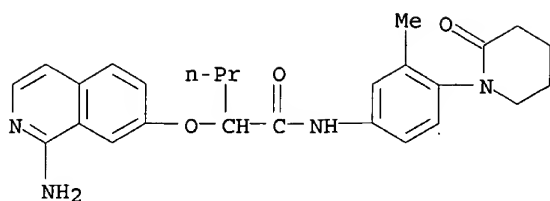
RN 498540-72-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(hexahydro-2-oxo-1H-azepin-1-yl)-3-methylphenyl]- (9CI) (CA INDEX NAME)



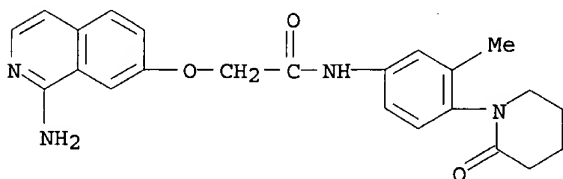
RN 498540-73-1 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidiny)phenyl]- (9CI) (CA INDEX NAME)



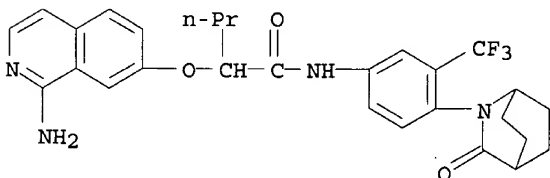
RN 498540-74-2 CAPLUS

CN Acetamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



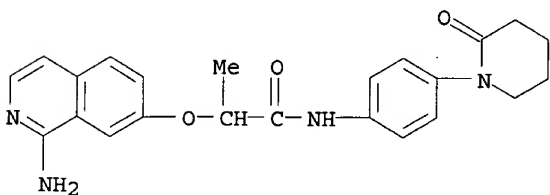
RN 498540-75-3 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-2-azabicyclo[2.2.2]oct-2-yl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-76-4 CAPLUS

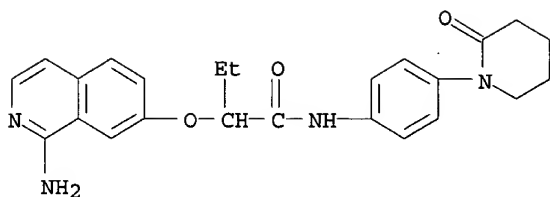
CN Propanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-77-5 CAPLUS

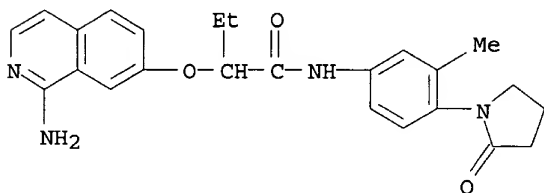
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

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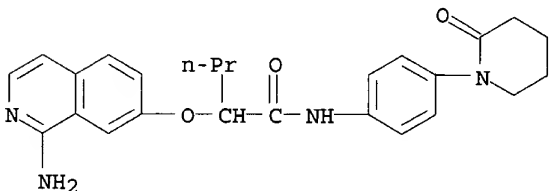
RN 498540-78-6 CAPLUS

CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



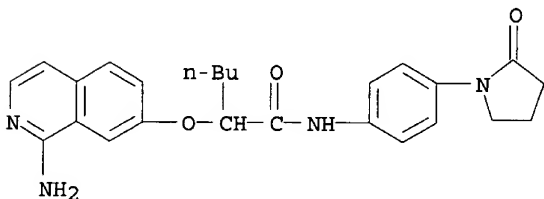
RN 498540-79-7 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



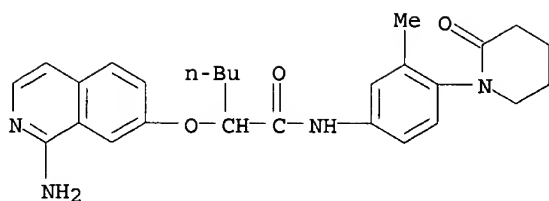
RN 498540-80-0 CAPLUS

CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



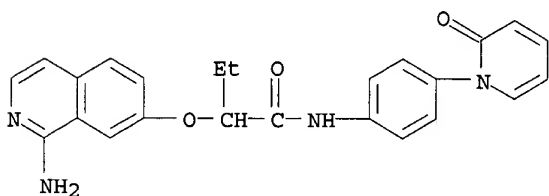
RN 498540-81-1 CAPLUS

CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



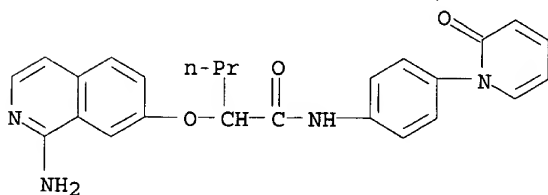
RN 498540-82-2 CAPLUS

CN Butanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



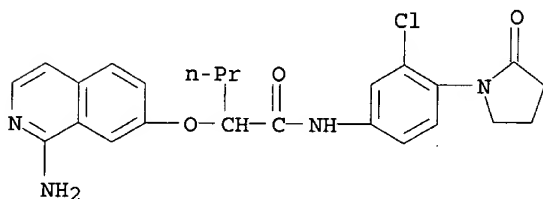
RN 498540-83-3 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-84-4 CAPLUS

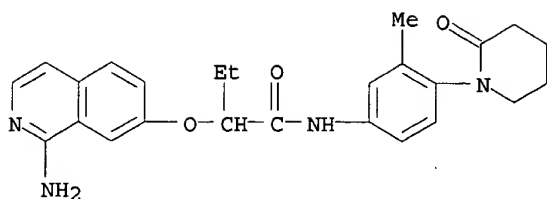
CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[3-chloro-4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-85-5 CAPLUS

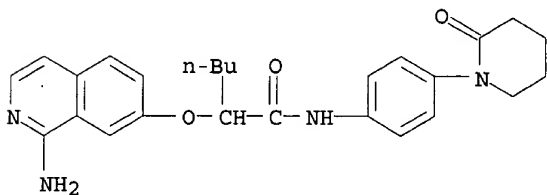
CN Butanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

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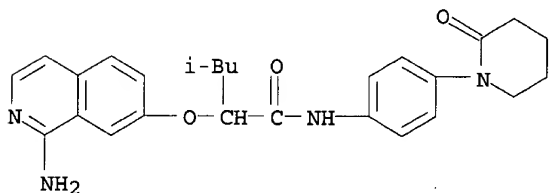
RN 498540-86-6 CAPLUS

CN Hexanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1-piperidinyloxy)phenyl]- (9CI) (CA INDEX NAME)



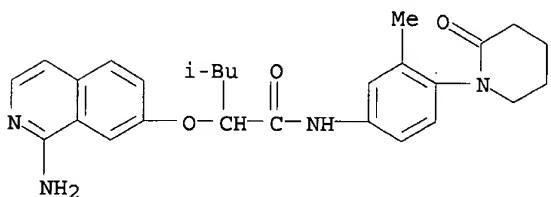
RN 498540-87-7 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-4-methyl-N-[4-(2-oxo-1-piperidinyloxy)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-88-8 CAPLUS

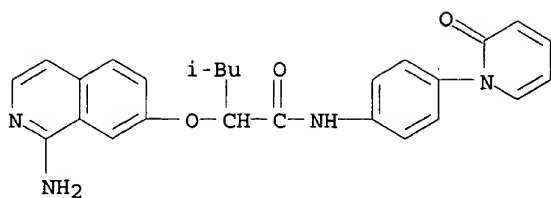
CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-4-methyl-N-[3-methyl-4-(2-oxo-1-piperidinyloxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

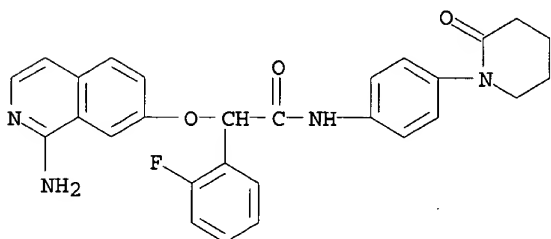
RN 498540-89-9 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)



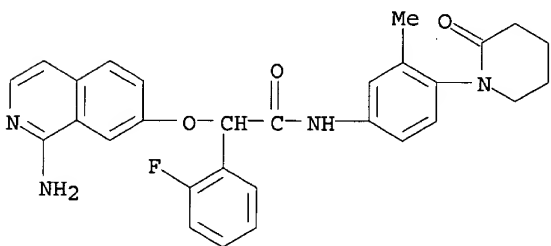
RN 498540-90-2 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-91-3 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

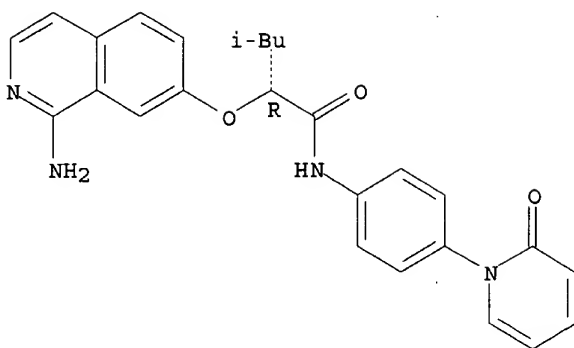


RN 498540-92-4 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

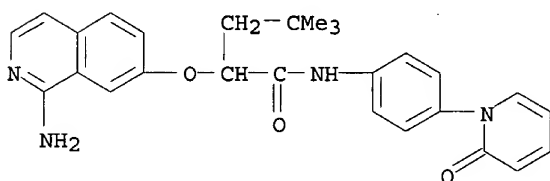
Absolute stereochemistry.

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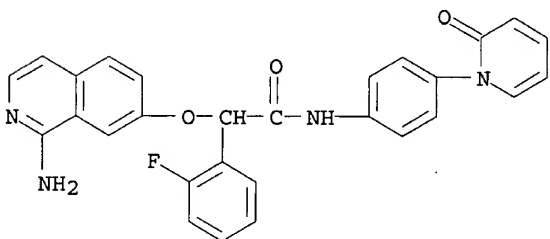
RN 498540-93-5 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4,4-dimethyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



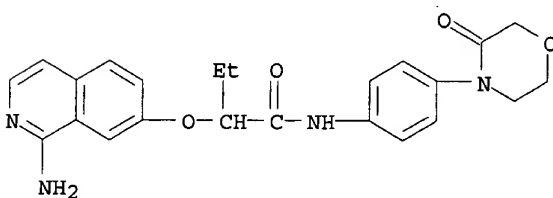
RN 498540-94-6 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498540-95-7 CAPLUS

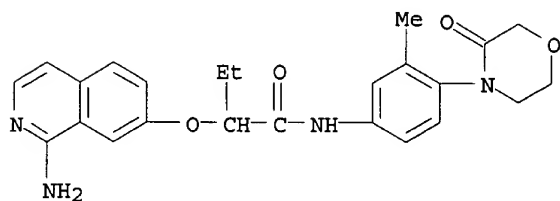
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



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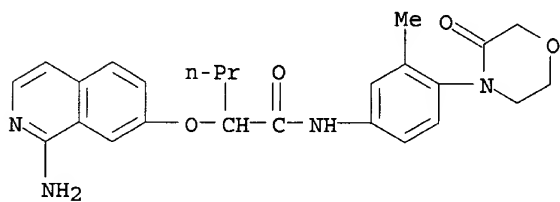
RN 498540-96-8 CAPLUS

CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



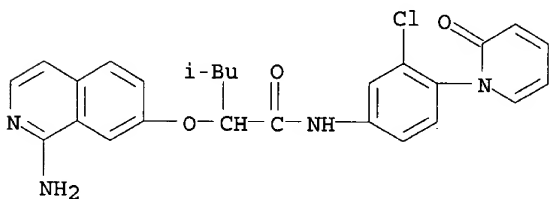
RN 498540-97-9 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



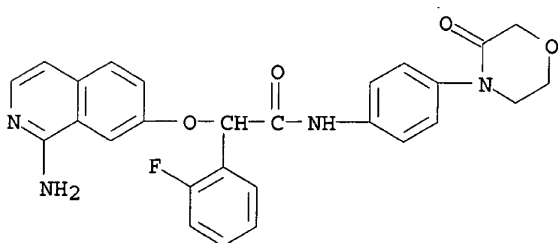
RN 498540-98-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1(2H)-pyridinyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 498540-99-1 CAPLUS

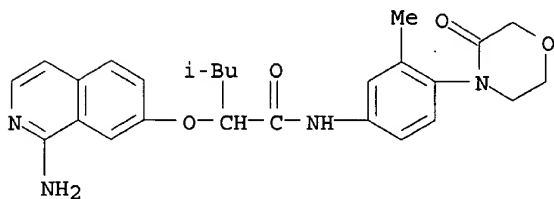
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498541-00-7 CAPLUS

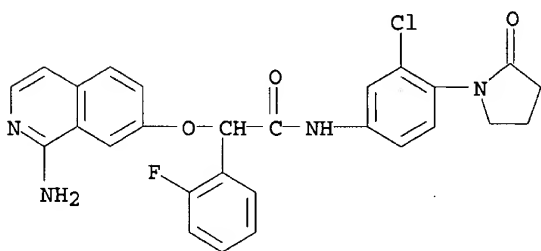
09/ 830,227

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



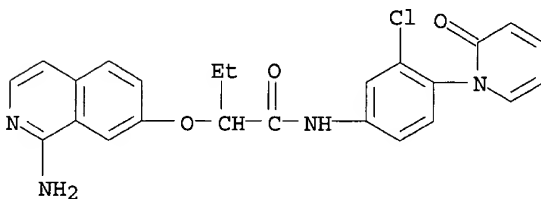
RN 498541-01-8 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1-pyrrolidinyl)phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



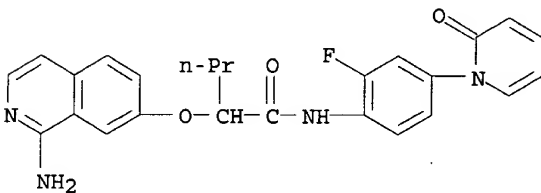
RN 498541-02-9 CAPLUS

CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498541-03-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2-fluoro-4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

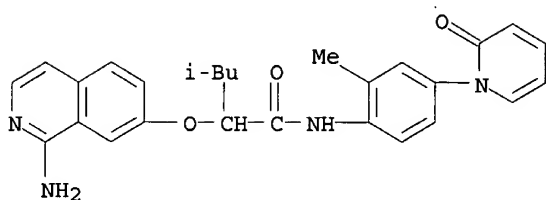


RN 498541-04-1 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[2-methyl-4-(2-

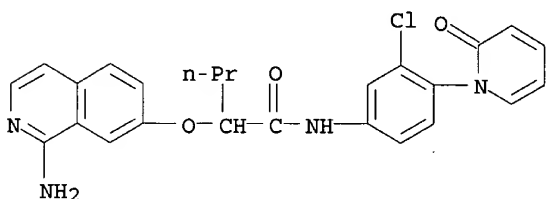
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oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



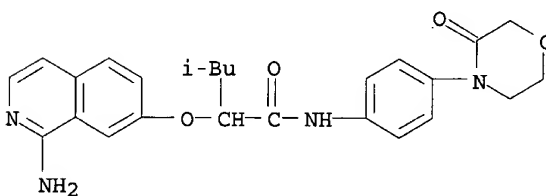
RN 498541-05-2 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



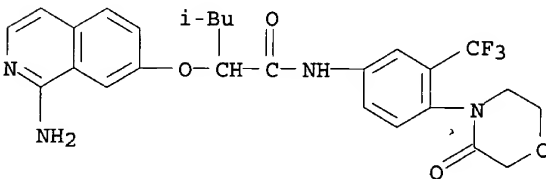
RN 498541-06-3 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498541-07-4 CAPLUS

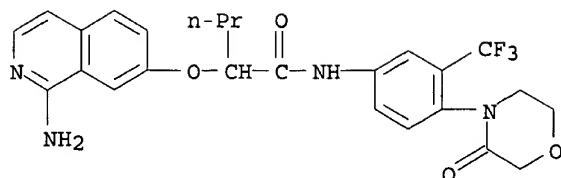
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 498541-08-5 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

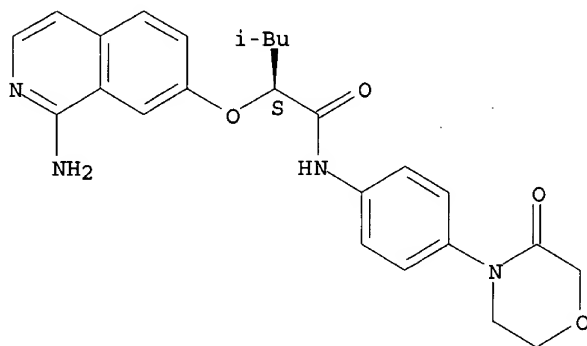
09/ 830,227



RN 498541-29-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

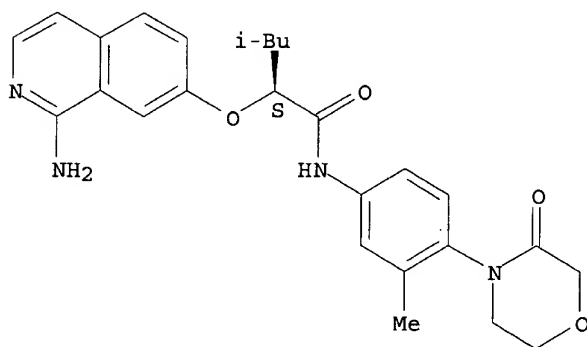


● HCl

RN 498541-31-4 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



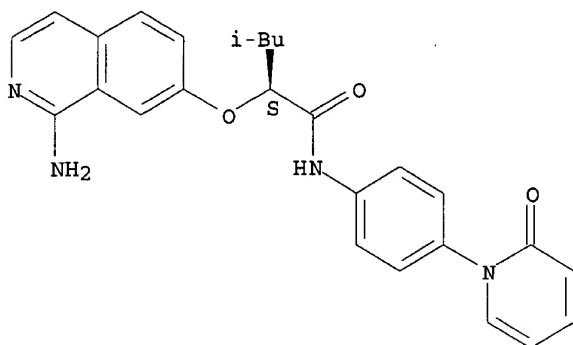
● HCl

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RN 498541-33-6 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

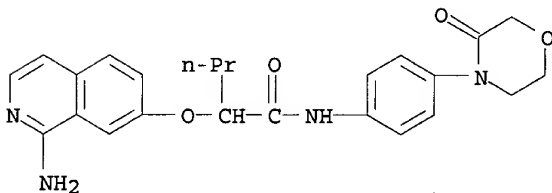
Absolute stereochemistry.



● HCl

RN 498541-35-8 CAPLUS

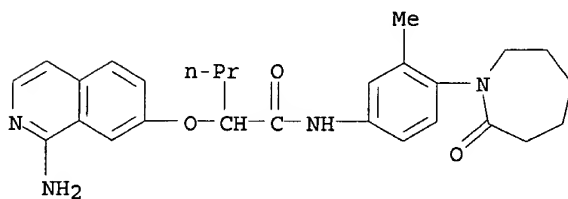
CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(3-oxo-4-morpholinyl)phenyl]pentanamide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-37-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(hexahydro-2-oxo-1H-azepin-1-yl)-3-methylphenyl]pentanamide, monohydrochloride (9CI) (CA INDEX NAME)

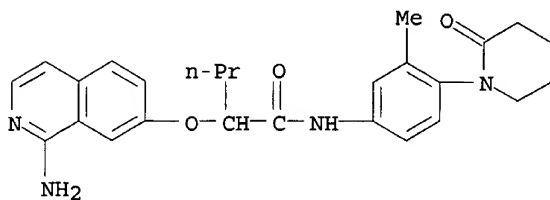


HCl

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RN 498541-38-1 CAPLUS

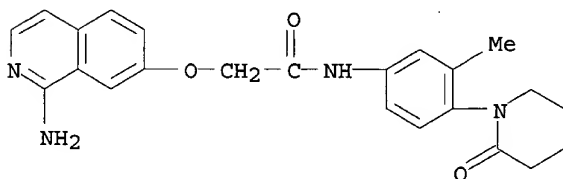
CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[3-methyl-4-(2-oxo-1-piperidinyloxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-39-2 CAPLUS

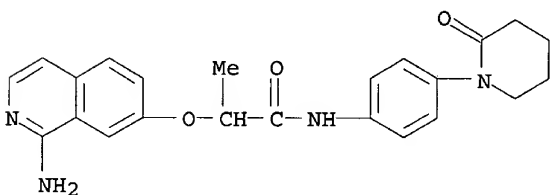
CN Acetamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[3-methyl-4-(2-oxo-1-piperidinyloxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-56-3 CAPLUS

CN Propanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1-piperidinyloxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

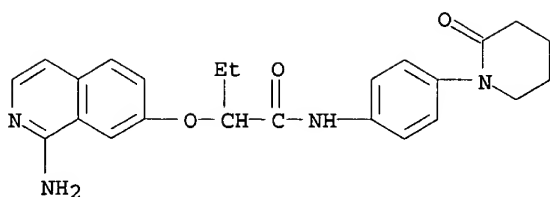


● HCl

RN 498541-58-5 CAPLUS

CN Butanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1-piperidinyloxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

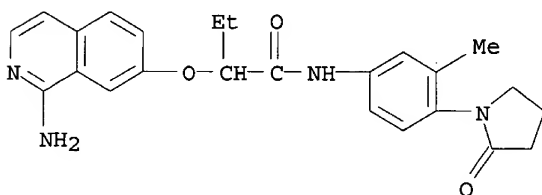
09/ 830,227



● HCl

RN 498541-60-9 CAPLUS

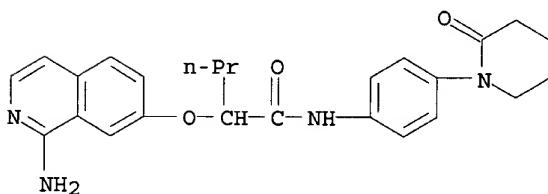
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-pyrrolidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-62-1 CAPLUS

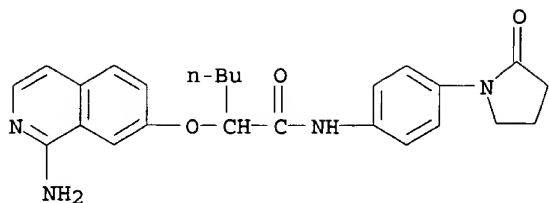
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-64-3 CAPLUS

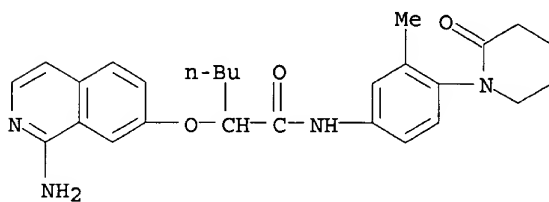
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-pyrrolidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-66-5 CAPLUS

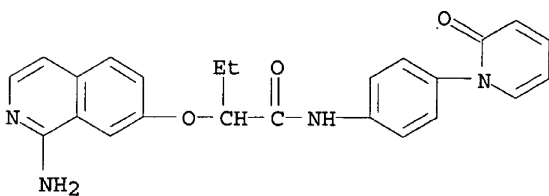
CN Hexanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-67-6 CAPLUS

CN Butanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

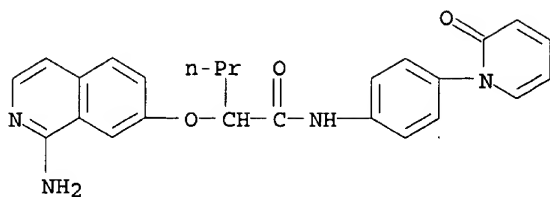


● HCl

RN 498541-68-7 CAPLUS

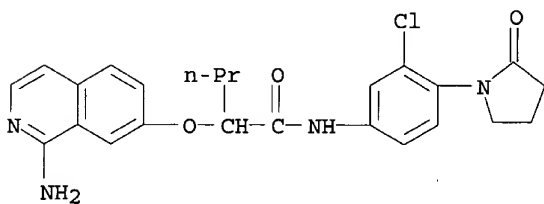
CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

09/ 830,227



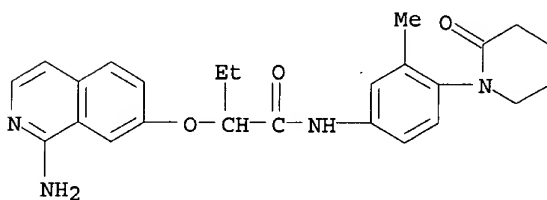
● HCl

RN 498541-69-8 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1-pyrrolidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

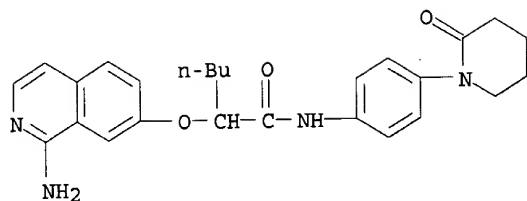
RN 498541-70-1 CAPLUS
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-71-2 CAPLUS
CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

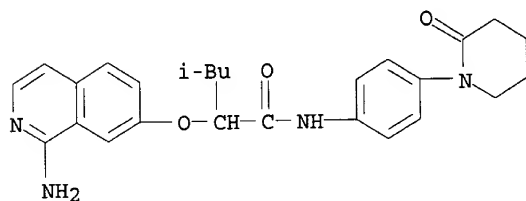
09/ 830,227



● HCl

RN 498541-72-3 CAPLUS

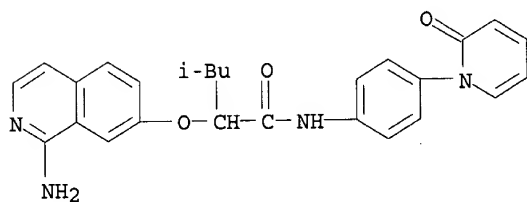
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-73-4 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

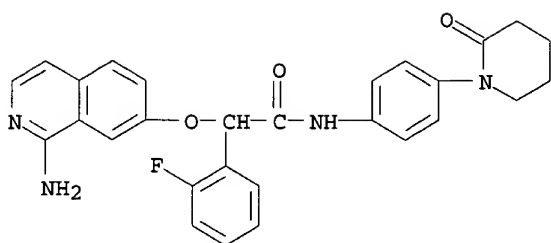


● HCl

RN 498541-74-5 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(2-oxo-1-piperidinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

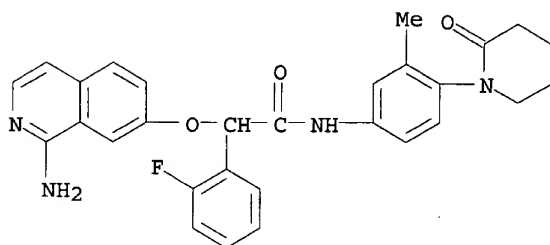
09/ 830,227



● HCl

RN 498541-75-6 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-2-fluoro-N-[3-methyl-4-(2-oxo-1-piperidinyloxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



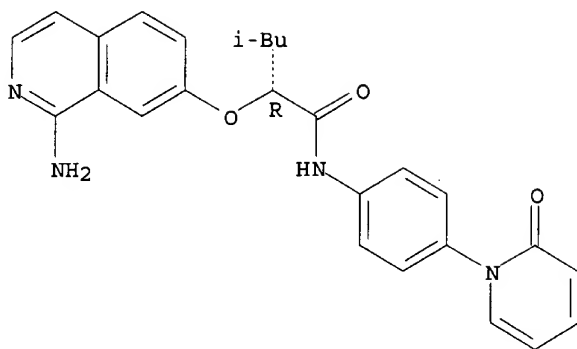
● HCl

RN 498541-76-7 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-4-methyl-N-[4-(2-oxo-1(2H)-pyridinyloxy)phenyl]-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

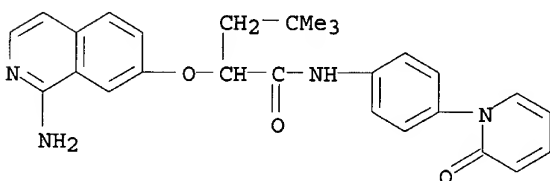
09/ 830,227



● HCl

RN 498541-78-9 CAPLUS

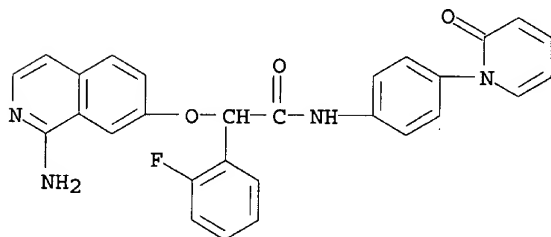
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4,4-dimethyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-80-3 CAPLUS

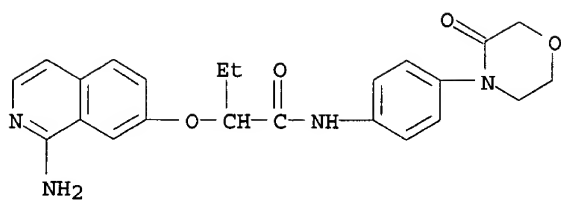
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-2-fluoro-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-82-5 CAPLUS

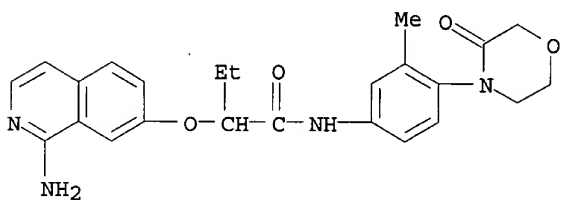
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-84-7 CAPLUS

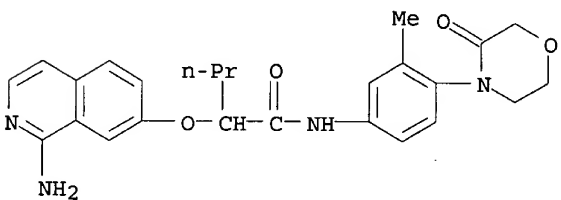
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-87-0 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

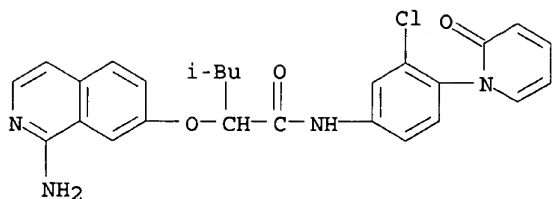


● HCl

RN 498541-88-1 CAPLUS

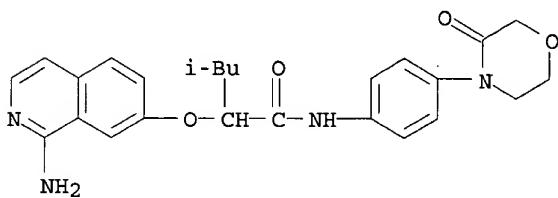
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(2-oxo-1(2H)-pyridinyl)phenyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

09/ 830,227



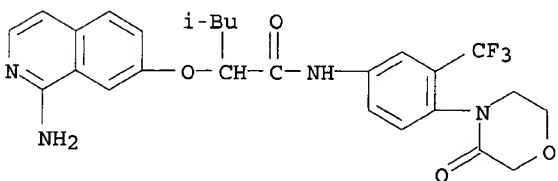
● HCl

RN 498541-89-2 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



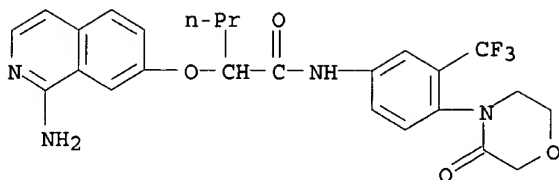
● HCl

RN 498541-90-5 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498541-92-7 CAPLUS
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(3-oxo-4-morpholinyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

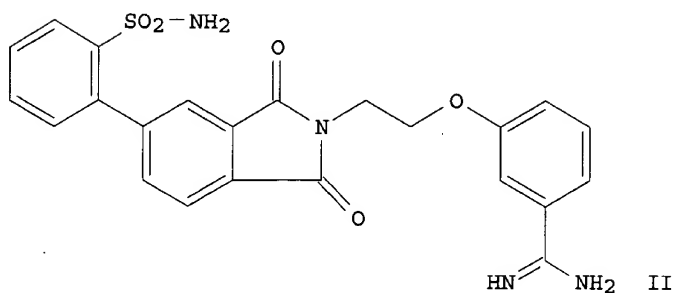
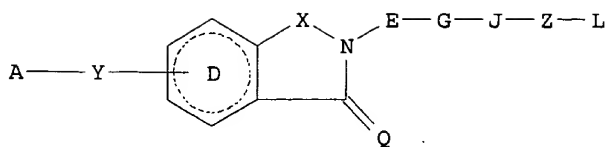


● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:927401 CAPLUS
 DOCUMENT NUMBER: 138:14016
 TITLE: Preparation of isoindole and isoquinoline derivatives as inhibitors of Factor xa
 INVENTOR(S): Zhang, Penglie; Zhu, Bing-Yan; Huang, Wenrong; Scarborough, Robert M.
 PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096873	A1	20021205	WO 2002-US16784	20020529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003114448	A1	20030619	US 2002-171804	20020528
PRIORITY APPLN. INFO.:		US 2001-294273P P 20010531		
OTHER SOURCE(S):		MARPAT 138:14016		
GI				



AB Isoindole and isoquinoline derivs. [I; wherein A = H, (C1-C6)alkyl, (C3-C8)cycloalkyl, alkylamino, alkenylamino, (substituted) Ph, etc.; Y = a bond, C(:O), CH₂, alkylamino, amide, etc.; D = (substituted) Ph, five- or six-membered arom. heterocyclic ring having from 1-2 hetero atoms selected from O, S, and N; X = alkylcarboxy, alkylsulfoxy, C(:O), C(:S), etc.; Q = O, or Q and the carbon atom to which it is attached is CH₂; E = a bond, alkyl, C(:O), etc.; G = O, alkoxy, amino, S, S(:O), S(:O)₂, etc.; J = O, S, amino, S(:O), S(:O)₂, etc.; Z = (substituted) Ph, naphthyl, monocyclic or fused bicyclic heterocyclic ring, etc.; L = H, CN, amido, amino, alkoxy, etc.] were prepd. For example, II was prepd. by a multistep synthetic procedure. The prepd. compds. have activity against mammalian factor Xa and, thus, the compds. are useful in vitro or in vivo for preventing or treating coagulation disorders.

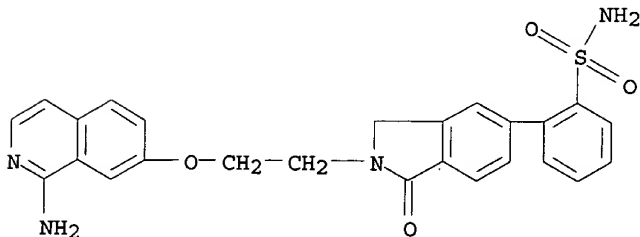
IT 476352-90-6P 476352-91-7P 476352-92-8P
476352-93-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of isoindole and isoquinoline derivs. as inhibitors of Factor xa)

RN 476352-90-6 CAPLUS

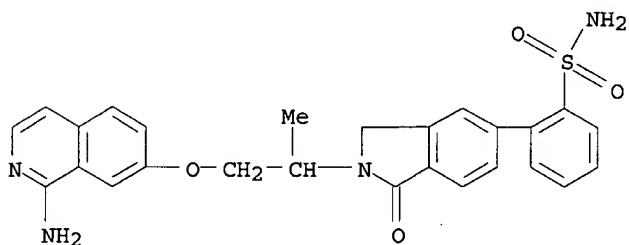
CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



09/ 830,227

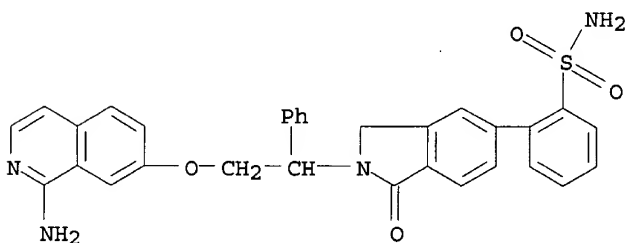
RN 476352-91-7 CAPLUS

CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyloxy)-1-methylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



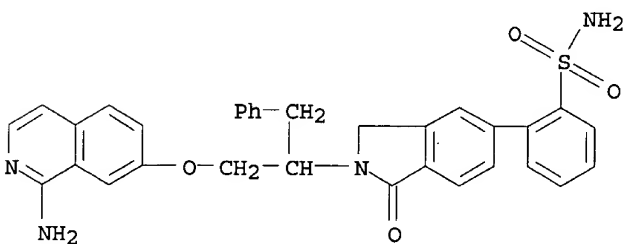
RN 476352-92-8 CAPLUS

CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyloxy)-1-phenylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



RN 476352-93-9 CAPLUS

CN Benzenesulfonamide, 2-[2-[1-[[[(1-amino-7-isoquinolinyloxy)methyl]-2-phenylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



IT 309930-41-4 476352-88-2 476352-89-3

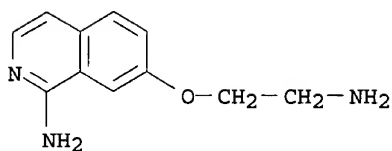
RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of isoindole and isoquinoline derivs. as inhibitors of Factor xa)

RN 309930-41-4 CAPLUS

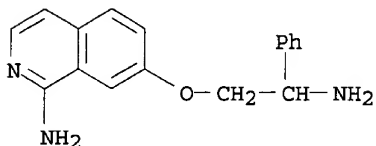
CN 1-Isoquinolinamine, 7-(2-aminoethoxy)- (9CI) (CA INDEX NAME)

09/ 830,227



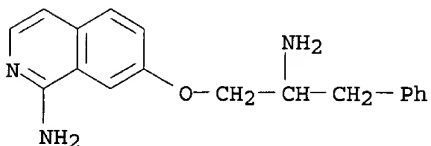
RN 476352-88-2 CAPLUS

CN 1-Isoquinolinamine, 7-(2-amino-2-phenylethoxy)- (9CI) (CA INDEX NAME)



RN 476352-89-3 CAPLUS

CN 1-Isoquinolinamine, 7-(2-amino-3-phenylpropoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:407965 CAPLUS

DOCUMENT NUMBER: 137:384703

TITLE: Design, synthesis, and SAR of monobenzamidines and aminoisoquinolines as factor Xa inhibitors

AUTHOR(S): Zhang, Penglie; Zuckett, Jingmei F.; Woolfrey, John; Tran, Katherine; Huang, Brian; Wong, Paul; Sinha, Uma; Park, Gary; Reed, Andrea; Malinowski, John; Hollenbach, Stan; Scarborough, Robert M.; Zhu, Bing-Yan

CORPORATE SOURCE: Department of Medicinal Chemistry, Millennium Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1657-1661

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Monoamidine FXa inhibitors, e.g. I (R = H, Me, Ph, PhCH2), were designed

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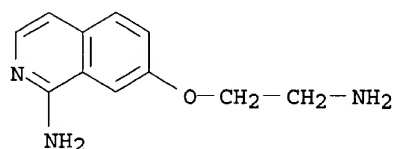
and synthesized. SAR studies and mol. modeling led to the design of conformationally constrained diaryl ethers, e.g. II [X = C(O)NH, NHCO], as well as benzopyrrolidinone III as potent FXa inhibitors. The monoamidines show high efficacy in a DVT model, but lack desirable oral bioavailability. The benzopyrrolidinone-based aminoisoquinolines, e.g. IV, do not show significant improvement in oral bioavailability.

IT 309930-41-4P 476352-87-1P 476352-88-2P
476352-89-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(lactamization; prepn. of phenyl(oxoisoindoline)ethoxy(isoquinolinamine) as factor Xa inhibitors)

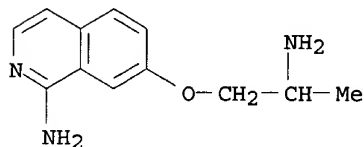
RN 309930-41-4 CAPLUS

CN 1-Isoquinolinamine, 7-(2-aminoethoxy) - (9CI) (CA INDEX NAME)



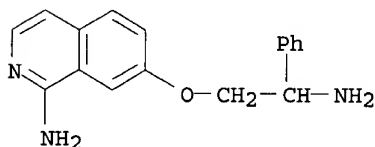
RN 476352-87-1 CAPLUS

CN 1-Isoquinolinamine, 7-(2-aminopropoxy) - (9CI) (CA INDEX NAME)



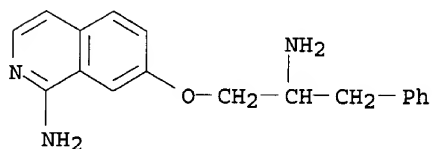
RN 476352-88-2 CAPLUS

CN 1-Isoquinolinamine, 7-(2-amino-2-phenylethoxy) - (9CI) (CA INDEX NAME)



RN 476352-89-3 CAPLUS

CN 1-Isoquinolinamine, 7-(2-amino-3-phenylpropoxy) - (9CI) (CA INDEX NAME)



IT 476352-90-6P 476352-91-7P 476352-92-8P
476352-93-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

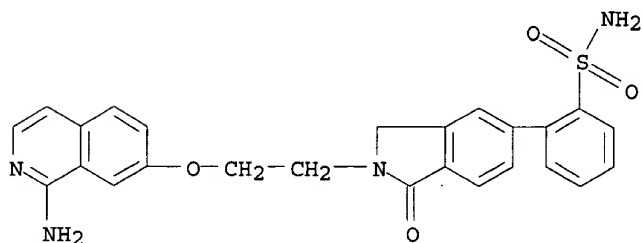
09/ 830,227

(Biological study); PREP (Preparation)

(prepn. of phenyl(oxoisindoline)ethoxy(isoquinolinamine) as factor Xa inhibitors)

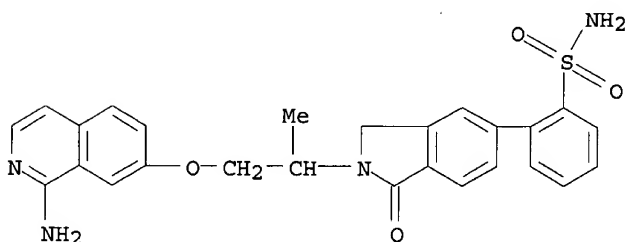
RN 476352-90-6 CAPLUS

CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



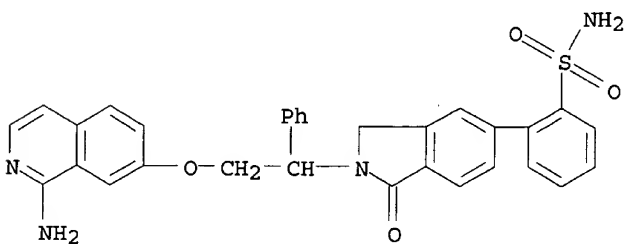
RN 476352-91-7 CAPLUS

CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]-1-methylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



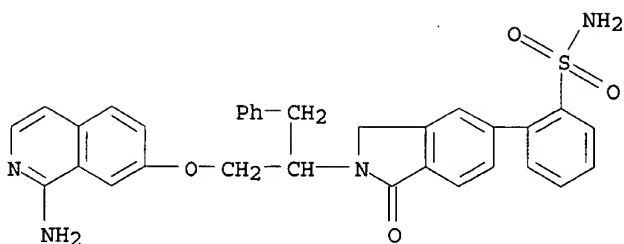
RN 476352-92-8 CAPLUS

CN Benzenesulfonamide, 2-[2-[2-[(1-amino-7-isoquinolinyl)oxy]-1-phenylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



RN 476352-93-9 CAPLUS

CN Benzenesulfonamide, 2-[2-[1-[[[(1-amino-7-isoquinolinyl)oxy]methyl]-2-phenylethyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:240733 CAPLUS

DOCUMENT NUMBER: 136:263103

TITLE: Biphenyl-substituted aminoquinolines and -isoquinolines as factor Xa inhibitors

INVENTOR(S): Dorsch, Dieter; Juraszyk, Horst; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

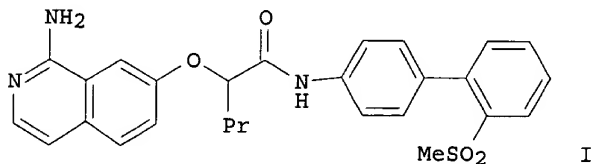
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024654	A1	20020328	WO 2001-EP10786	20010918
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10046272	A1	20020328	DE 2000-10046272	20000919
EP 1322618	A1	20030702	EP 2001-985251	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			DE 2000-10046272 A	20000919
			WO 2001-EP10786 W	20010918
OTHER SOURCE(S):			MARPAT 136:263103	
GI				



AB The title compds. were prepd. for use as inhibitors of blood coagulation factors Xa and VIIa (no data). Thus, 7-isoquinolinol was treated with BrCHPrCO₂CMe₃, followed by ester hydrolysis, amidation with 2-MeSO₂C₆H₄C₆H₄NH₂-4, N-oxidn., reaction with pyridine, and treatment with ethanolamine to give the title compd. I.

IT 405272-07-3

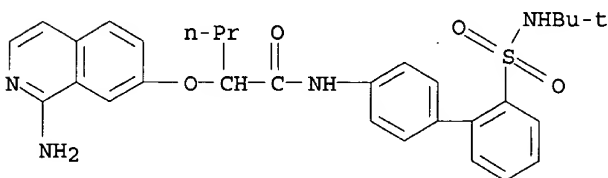
09/ 830,227

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of biphenyl-substituted aminoquinolines and -isoquinolines as factor Xa inhibitors)

RN 405272-07-3 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



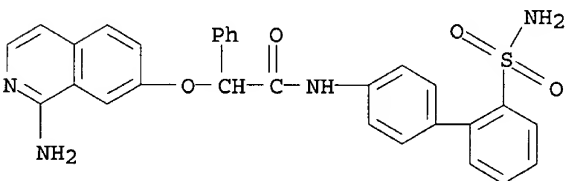
IT 308288-71-3P 405272-04-0P 405272-05-1P
405272-06-2P 405272-08-4P 405272-09-5P
405272-10-8P 405272-11-9P 405272-12-0P
405272-13-1P 405272-14-2P 405272-17-5P
405272-18-6P 405272-19-7P 405272-20-0P
405272-21-1P 405272-22-2P 405272-23-3P
405272-24-4P 405272-25-5P 405272-26-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of biphenyl-substituted aminoquinolines and -isoquinolines as factor Xa inhibitors)

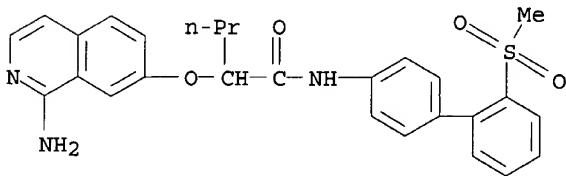
RN 308288-71-3 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-04-0 CAPLUS

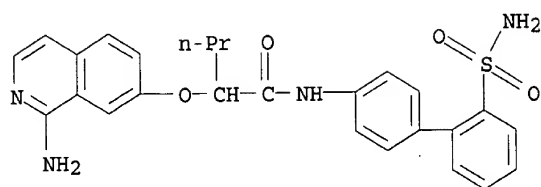
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-05-1 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

09/ 830,227



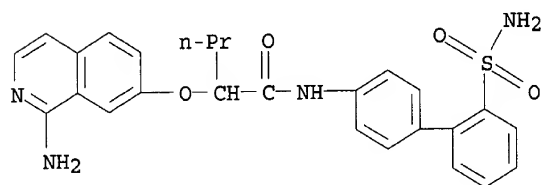
RN 405272-06-2 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 405272-05-1

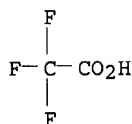
CMF C26 H26 N4 O4 S



CM 2

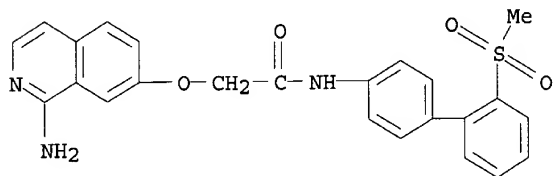
CRN 76-05-1

CMF C2 H F3 O2



RN 405272-08-4 CAPLUS

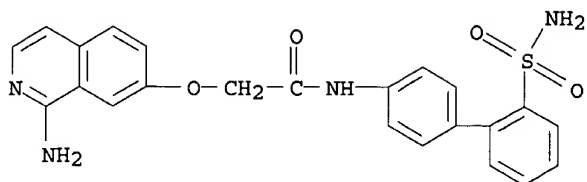
CN Acetamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-09-5 CAPLUS

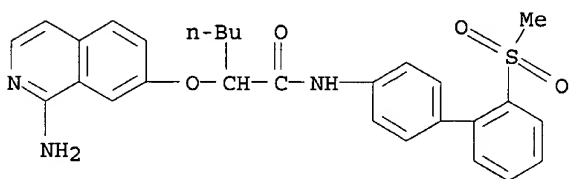
CN Acetamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

09/ 830,227



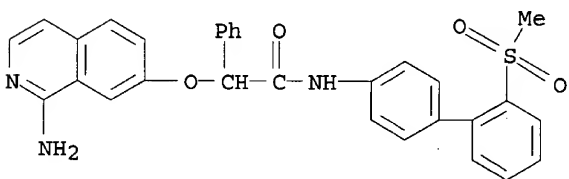
RN 405272-10-8 CAPLUS

CN Hexanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



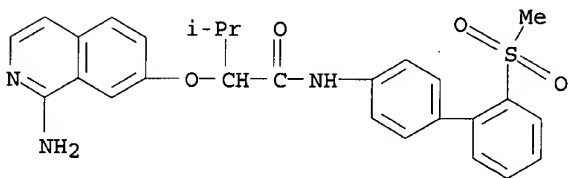
RN 405272-11-9 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-12-0 CAPLUS

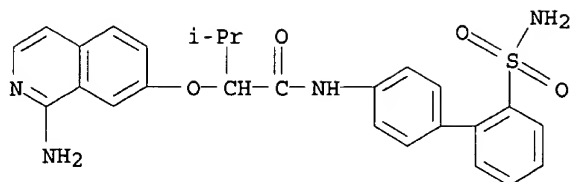
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-13-1 CAPLUS

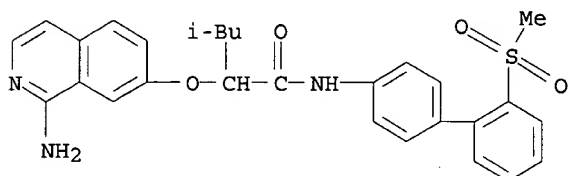
CN Butanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

09/ 830,227



RN 405272-14-2 CAPLUS

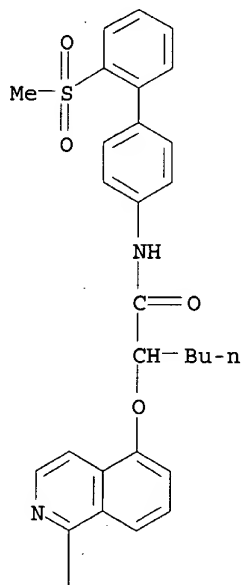
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-4-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-17-5 CAPLUS

CN Hexanamide, 2-[(1-amino-5-isoquinolinyl)oxy]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

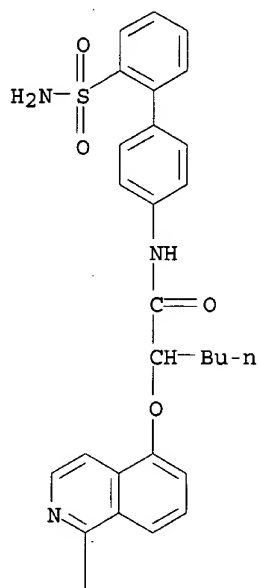
NH₂

09/ 830,227

RN 405272-18-6 CAPLUS

CN Hexanamide, 2-[(1-amino-5-isoquinolinyloxy)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

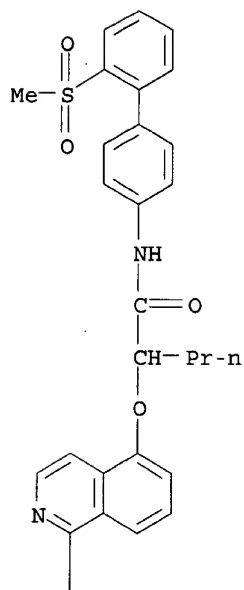


PAGE 2-A

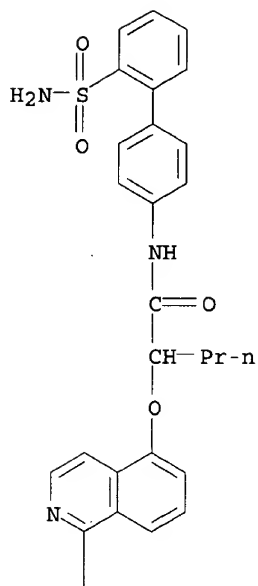


RN 405272-19-7 CAPLUS

CN Pentanamide, 2-[(1-amino-5-isoquinolinyloxy)-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

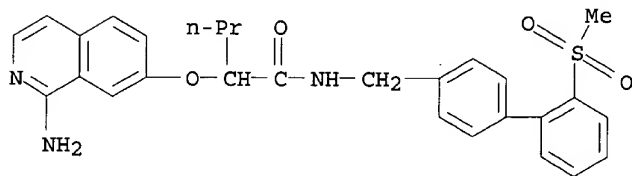


RN 405272-20-0 CAPLUS
 CN Pentanamide, 2-[(1-amino-5-isoquinolinyloxy)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 405272-21-1 CAPLUS

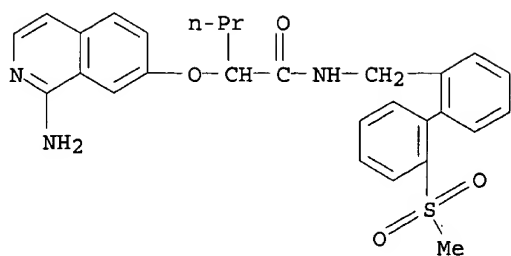
CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[[2'-(methylsulfonyl) [1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 405272-22-2 CAPLUS

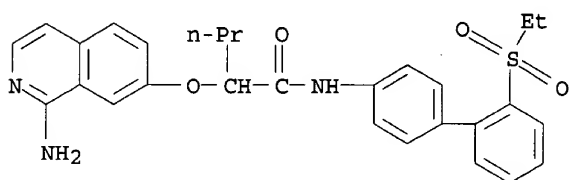
CN Pentanamide, 2-[(1-amino-7-isoquinolinyloxy)-N-[[2'-(methylsulfonyl) [1,1'-biphenyl]-2-yl]methyl]- (9CI) (CA INDEX NAME)

09/ 830,227



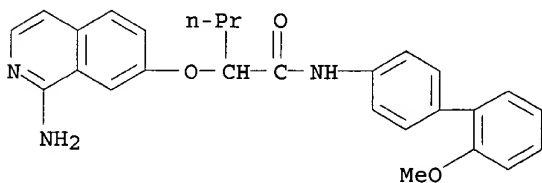
RN 405272-23-3 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(ethylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



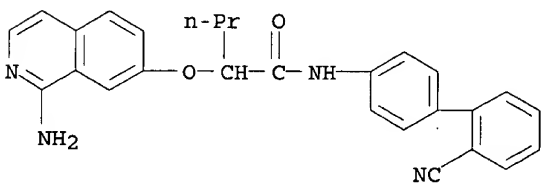
RN 405272-24-4 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-(2'-methoxy[1,1'-biphenyl]-4-yl)- (9CI) (CA INDEX NAME)



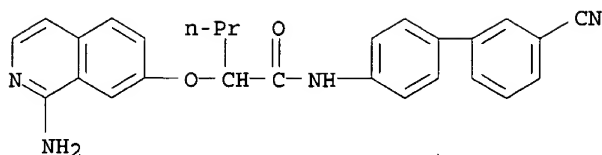
RN 405272-25-5 CAPLUS

CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-(2'-cyano[1,1'-biphenyl]-4-yl)- (9CI) (CA INDEX NAME)



RN 405272-26-6 CAPLUS

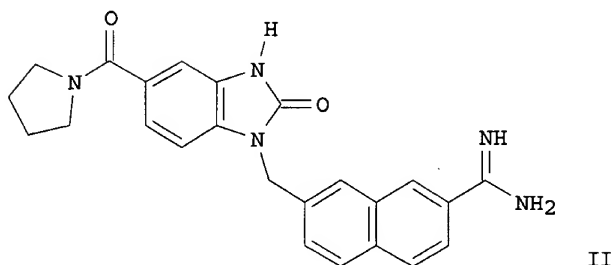
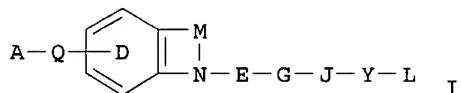
CN Pentanamide, 2-[(1-amino-7-isoquinolinyl)oxy]-N-(3'-cyano[1,1'-biphenyl]-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:137189 CAPLUS
 DOCUMENT NUMBER: 134:193446
 TITLE: Preparation of heterocyclic compounds as inhibitors of factor Xa
 INVENTOR(S): Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane; Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng, Willy; Zhang, Penglie
 PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; et al.
 SOURCE: PCT Int. Appl., 387 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012600	A1	20010222	WO 2000-US21742	20000810
WO 2001012600	C2	20020912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6534535	B1	20030318	US 2000-636804	20000810
PRIORITY APPLN. INFO.:				
			US 1999-148627P	P 19990812
			US 2000-202202P	P 20000505
OTHER SOURCE(S): MARPAT 134:193446				
GI				



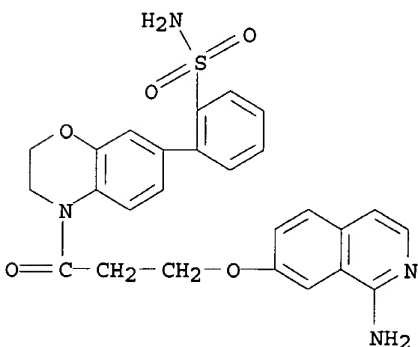
AB The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH₂, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR₁₆CO, NR₁₆CS, CR₁₇R₁₈CO, etc.; R₁₆-R₁₈ = H, halo, alkyl, etc.; E = a direct link, CO, CONR₅, etc.; R₅ = alkyl, alkenyl, alkynyl, etc.; G = a direct link, CR₇R₈, CR₇aR₈aCR₇bR₈b, CR₇c:CR₈c; R₇, R₈, R₇a, R₇b, R₇c, R₈a, R₈b, R₈c = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR₁₂R₁₃; R₁₂, R₁₃ = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. and formulated. E.g., a multi-step synthesis of the title compd. II was given.

IT 327046-29-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as inhibitors of factor Xa)

RN 327046-29-7 CAPLUS

CN 2H-1,4-Benzoxazine, 4-[3-[(1-amino-7-isoquinolinyl)oxy]-1-oxopropyl]-7-[2-(aminosulfonyl)phenyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

13

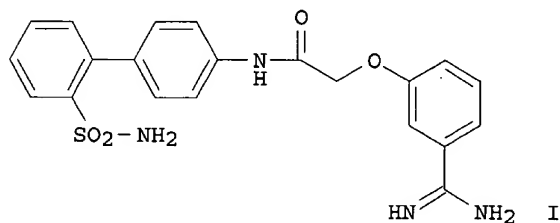
THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

09/ 830,227

ACCESSION NUMBER: 2000:842106 CAPLUS
DOCUMENT NUMBER: 134:29205
TITLE: Preparation of benzamidines and arylamidines as
inhibitors of factor Xa
INVENTOR(S): Su, Ting; Zhu, Bing-Yan; Kane-Maguire, Kim;
Scarborough, Robert M.; Zhang, Penglie
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071510	A2	20001130	WO 2000-US14195	20000524
WO 2000071510	A3	20010830		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1183235 A2 20020306 EP 2000-937700 20000524 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2003500385 T2 20030107 JP 2000-619767 20000524 PRIORITY APPLN. INFO.: US 1999-135849P P 19990524 WO 2000-US14195 W 20000524 OTHER SOURCE(S): MARPAT 134:29205 GI				



AB AYDEGJZL [wherein A = (cyclo)alkyl, NR₂R₃, C(:NR₂)NR₂R₃, C(:NR₂)R₃, NR₃C(:NR₂)NR₂NR₃, (un)substituted Ph, naphthyl, or heterocyclic ring; R₂ and R₃ = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkylcycloalkyl, or (un)substituted alkylphenyl or alkyl naphthyl; Y = bond, bivalent alkyl, alkenyl, or alkynyl, CH₂, CO, C(:NR₄), NR₄, NR₄CH₂, CH₂NR₄, CONR₄, NR₄CO, SO₂, O, SO₂NR₄, or NR₄SO₂; R₄ = H, alkyl, alkenyl, alkynyl, or (un)substituted alkylaryl or alkylheterocyclyl; D = (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR₅CO, CONR₅, NR₅, or NR₅(CH₂)₀₋₂; R₅ = H, alkyl, alkyl(hetero)aryl, or (un)substituted carboxyalkyl or carboxamidoalkyl; G = (un)substituted methylene or ethylene; J = O, OCHR₁₁, S, SCHR₁₁, S(O), SO₂, S(O)CHR₁₁, SO₂CHR₁₁; R₁₁ = H, alkyl, or (un)substituted alkyl(hetero)aryl; Z = (un)substituted Ph, naphthyl, or

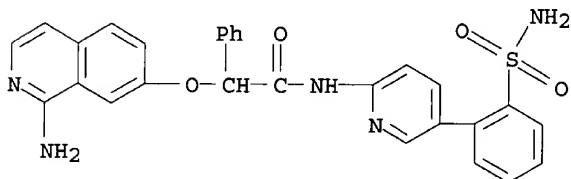
heterocyclic ring; L = H, CN, CONR₁₂NR₁₃, (CH₂)₀₋₂NR₁₂R₁₃, C(:NR₁₂)NR₁₂R₁₃, NR₁₂R₁₃, OR₁₂, NR₁₂C(:NR₁₂)NR₁₂N₁₃, or NR₁₂C(:N₁₂)R₁₃; R₁₂ and R₁₃ = independently H, OR₁₄, NR₁₄R₁₅, alkyl, (un)substituted alkylphenyl, alkyl_nnaphthyl, or carboxyalkyl; R₁₄ and R₁₅ = independently H, alkyl, (un)substituted alkyl(hetero)aryl, or together with the attached N forms a heterocyclic ring] were prepd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, 2-(3-cyanophenoxy)acetic acid was coupled with {[2-(4-aminophenyl)phenyl]sulfonyl}(tert-butyl)amine in the presence of BOP in DMF to give the acetamide intermediate. Treatment with NH₂OH.bul.HCl and TEA in EtOH, followed by addn. of AcOH, redn. using Pd/C in MeOH, and deprotection with TFA afforded the benzamidine (I). Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

IT 489426-93-9 489426-94-0 489426-96-2
 489426-98-4 489427-05-6 489427-06-7
 489427-07-8 489427-10-3 489427-11-4
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 308288-75-7P 308288-76-8P 308288-77-9P
 308288-78-0P 308288-79-1P 308288-80-4P
 308288-83-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use)
 (prepn. of benzamidine and arylamidine factor Xa inhibitors by amidation of cyanoaryl-substituted carboxylic acids with amines and subsequent conversion of nitriles to amidines)

RN 489426-93-9 CAPLUS

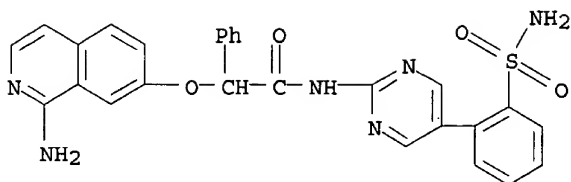
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-[2-(aminosulfonyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 489426-94-0 CAPLUS

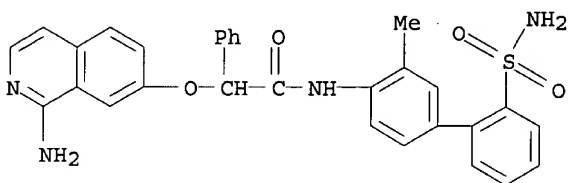
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-[2-(aminosulfonyl)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

09/ 830,227



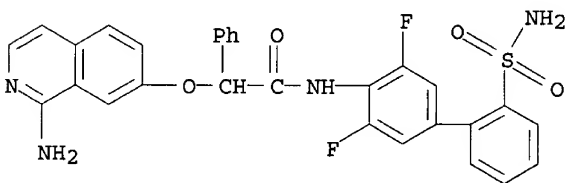
RN 489426-96-2 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)-3-methyl[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



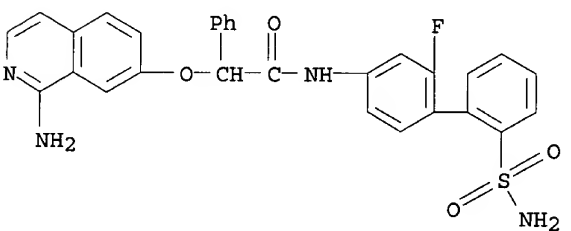
RN 489426-98-4 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)-3,5-difluoro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



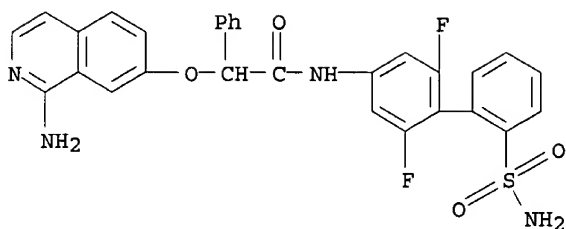
RN 489427-05-6 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)-2-fluoro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



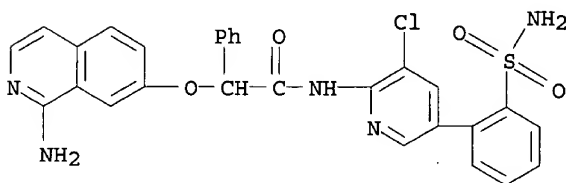
RN 489427-06-7 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)-2,6-difluoro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



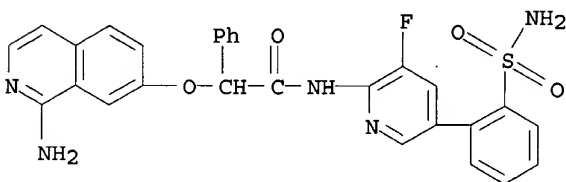
RN 489427-07-8 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-[2-(aminosulfonyl)phenyl]-3-chloro-2-pyridinyl]- (9CI) (CA INDEX NAME)



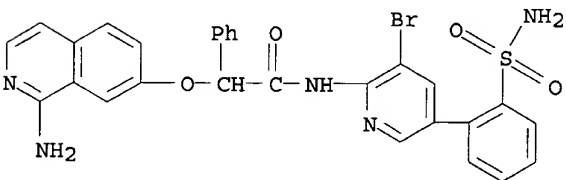
RN 489427-10-3 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-[2-(aminosulfonyl)phenyl]-3-fluoro-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 489427-11-4 CAPLUS

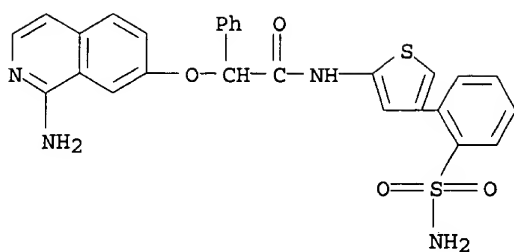
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-[2-(aminosulfonyl)phenyl]-3-bromo-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 489427-15-8 CAPLUS

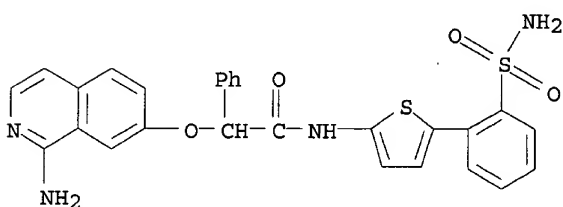
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[4-[2-(aminosulfonyl)phenyl]-2-thienyl]- (9CI) (CA INDEX NAME)

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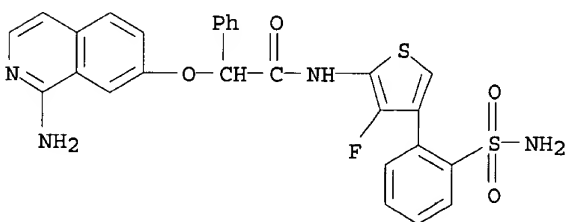
RN 489427-20-5 CAPLUS

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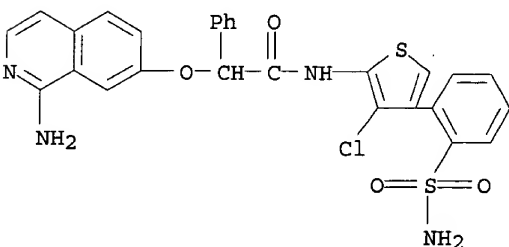
RN 489427-40-9 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-3-fluoro-2-thienyl]- (9CI) (CA INDEX NAME)



RN 489427-42-1 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-3-chloro-2-thienyl]- (9CI) (CA INDEX NAME)

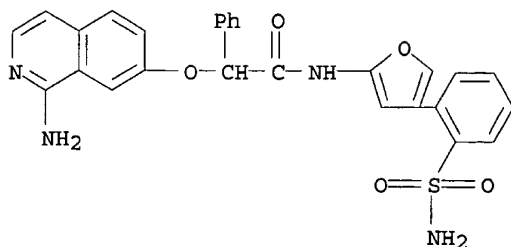


RN 489427-44-3 CAPLUS

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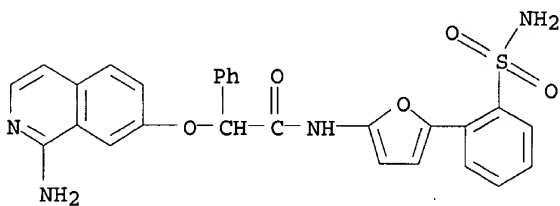
09/ 830,227

(aminosulfonyl)phenyl]-2-furanyl]- (9CI) (CA INDEX NAME)



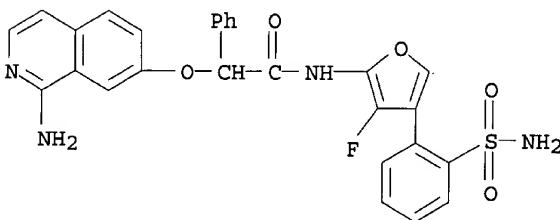
RN 489427-50-1 CAPLUS

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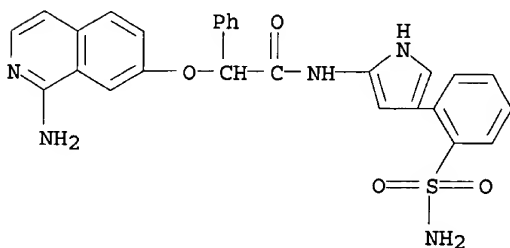
RN 489427-54-5 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-3-fluoro-2-furanyl]- (9CI) (CA INDEX NAME)



RN 489427-55-6 CAPLUS

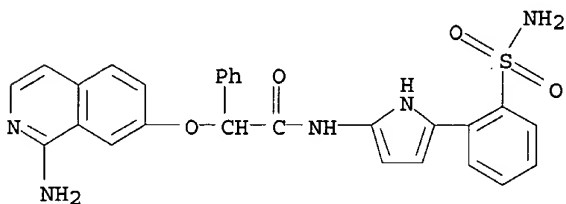
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-[2-(aminosulfonyl)phenyl]-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



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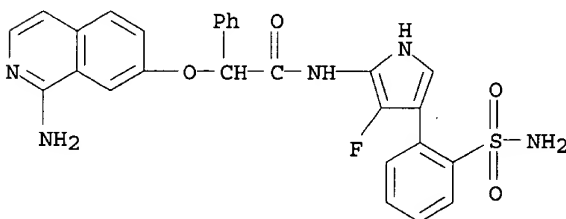
RN 489427-57-8 CAPLUS

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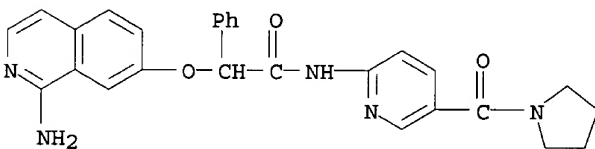
RN 489427-59-0 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[4-[2-(aminosulfonyl)phenyl]-3-fluoro-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



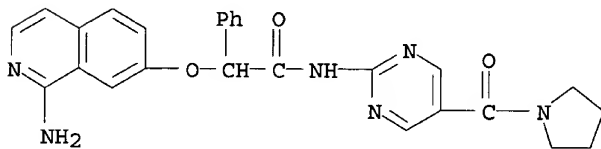
RN 489428-90-2 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-(1-pyrrolidinylcarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 489428-91-3 CAPLUS

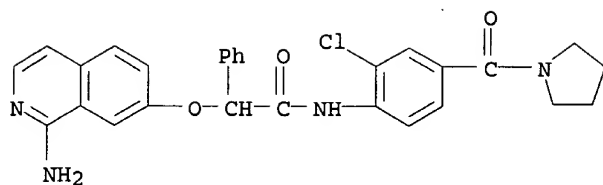
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-(1-pyrrolidinylcarbonyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 489428-92-4 CAPLUS

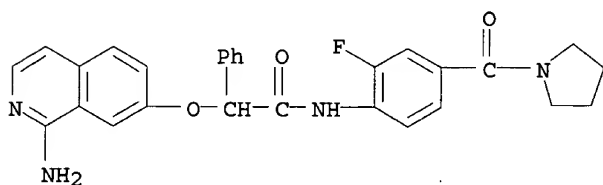
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

09/ 830,227



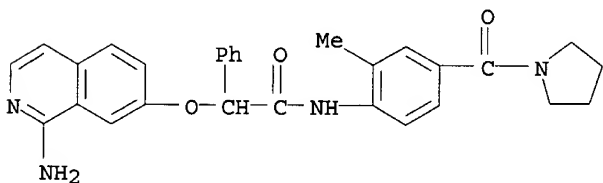
RN 489428-93-5 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-(2-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl)]- (9CI) (CA INDEX NAME)



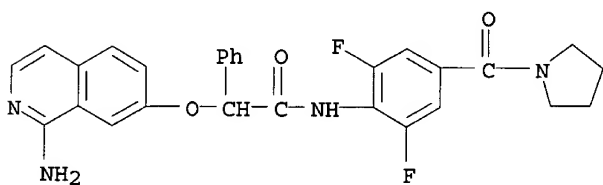
RN 489428-94-6 CAPLUS

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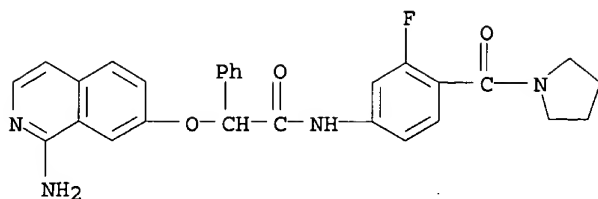
RN 489428-95-7 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-(2,6-difluoro-4-(1-pyrrolidinylcarbonyl)phenyl)]- (9CI) (CA INDEX NAME)



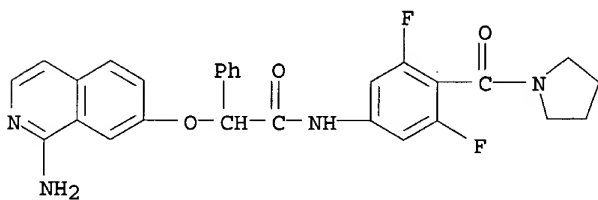
RN 489428-96-8 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-(3-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl)]- (9CI) (CA INDEX NAME)



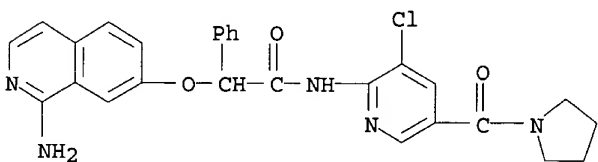
RN 489428-97-9 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3,5-difluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



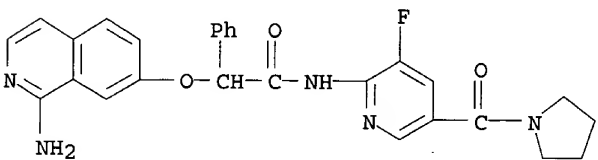
RN 489428-98-0 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-5-(1-pyrrolidinylcarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 489429-15-4 CAPLUS

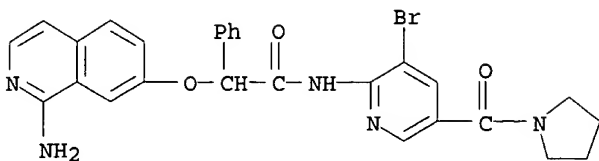
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-fluoro-5-(1-pyrrolidinylcarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 489429-16-5 CAPLUS

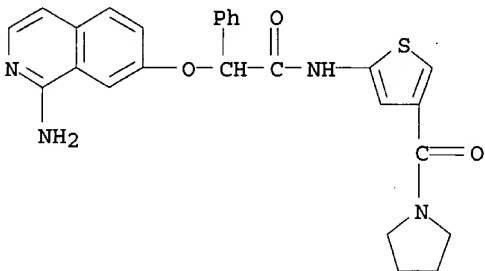
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-bromo-5-(1-pyrrolidinylcarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

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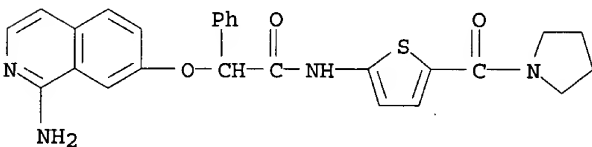
RN 489429-17-6 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)-2-thienyl]- (9CI) (CA INDEX NAME)



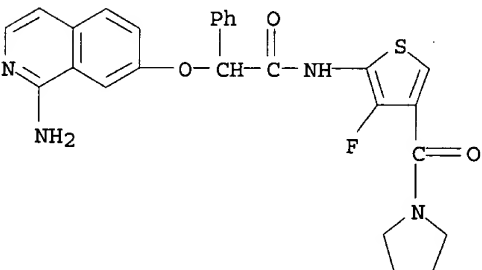
RN 489429-18-7 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[5-(1-pyrrolidinylcarbonyl)-2-thienyl]- (9CI) (CA INDEX NAME)



RN 489429-19-8 CAPLUS

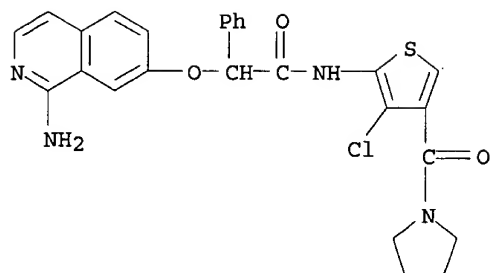
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)-2-thienyl]- (9CI) (CA INDEX NAME)



RN 489429-22-3 CAPLUS

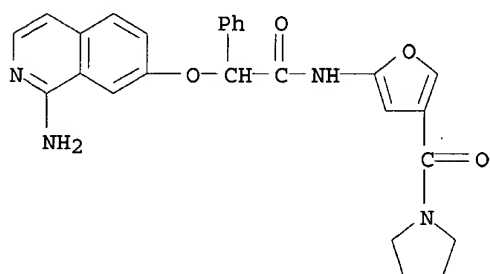
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-chloro-4-(1-pyrrolidinylcarbonyl)-2-thienyl]- (9CI) (CA INDEX NAME)

09/ 830,227



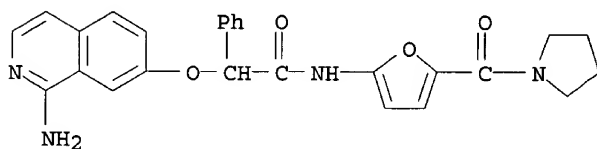
RN 489429-23-4 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[4-(1-pyrrolidinylcarbonyl)-2-furanyl]- (9CI) (CA INDEX NAME)



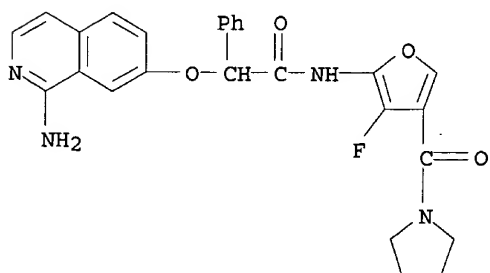
RN 489429-24-5 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-(1-pyrrolidinylcarbonyl)-2-furanyl]- (9CI) (CA INDEX NAME)



RN 489429-31-4 CAPLUS

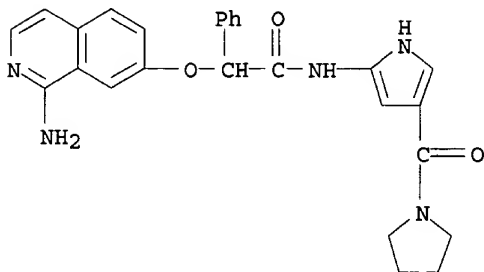
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)-2-furanyl]- (9CI) (CA INDEX NAME)



RN 489429-42-7 CAPLUS

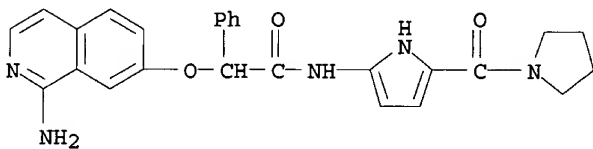
09/ 830,227

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[4-(1-pyrrolidinylcarbonyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



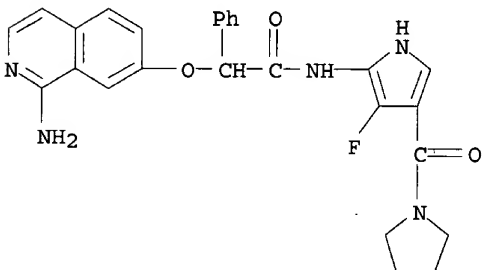
RN 489429-45-0 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[5-(1-pyrrolidinylcarbonyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



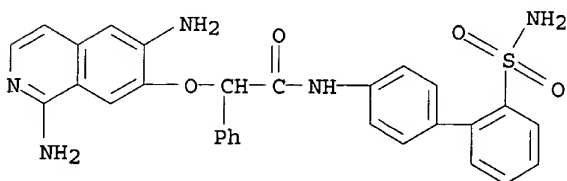
RN 489429-63-2 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



RN 489433-05-8 CAPLUS

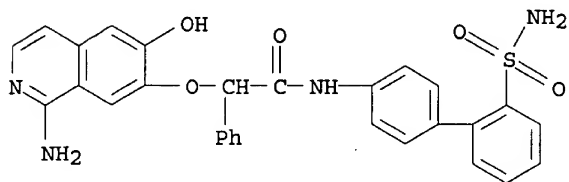
CN Benzeneacetamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-.alpha.-[(1,6-diamino-7-isoquinolinyloxy)- (9CI) (CA INDEX NAME)



RN 489434-39-1 CAPLUS

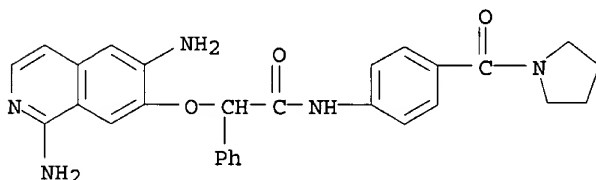
09/ 830,227

CN Benzeneacetamide, .alpha.-[(1-amino-6-hydroxy-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



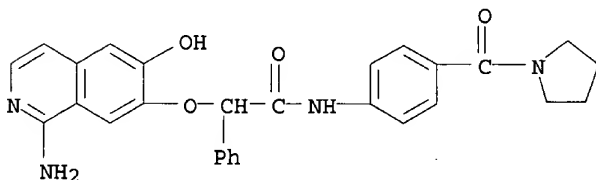
RN 489438-63-3 CAPLUS

CN Benzeneacetamide, .alpha.-[(1,6-diamino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



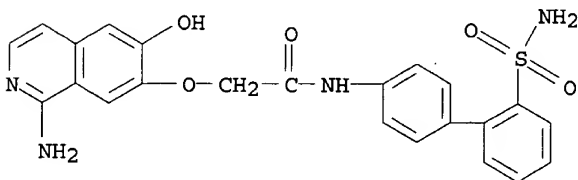
RN 489438-99-5 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-6-hydroxy-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



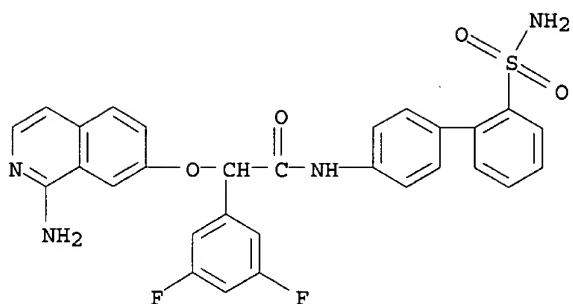
RN 489448-10-4 CAPLUS

CN Acetamide, 2-[(1-amino-6-hydroxy-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



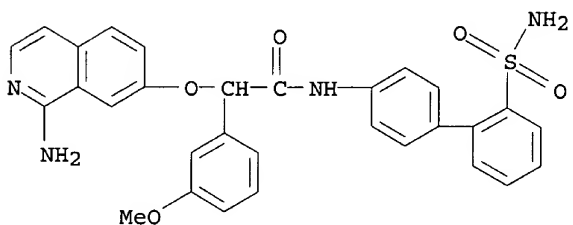
RN 489448-25-1 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3,5-difluoro- (9CI) (CA INDEX NAME)



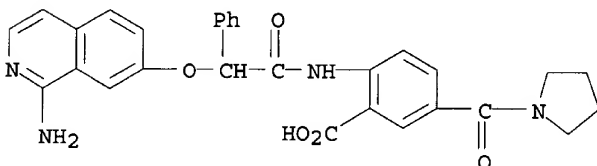
RN 489448-31-9 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methoxy- (9CI) (CA INDEX NAME)



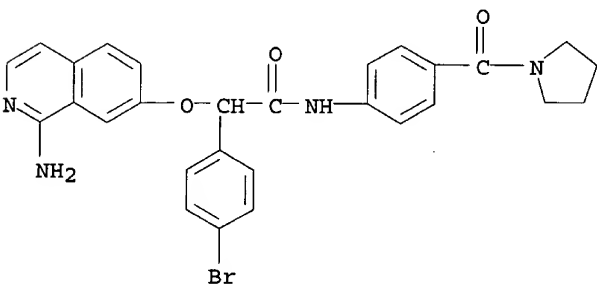
RN 489448-49-9 CAPLUS

CN Benzoic acid, 2-[[[(1-amino-7-isoquinolinyloxy)phenylacetyl]amino]-5-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 489448-64-8 CAPLUS

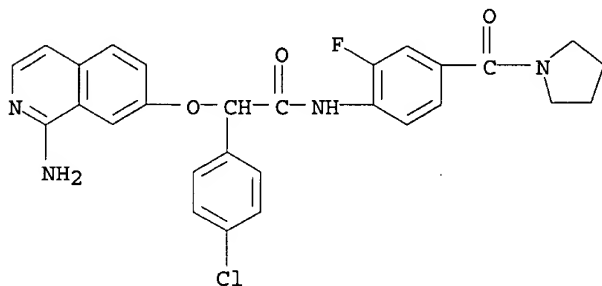
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-4-bromo-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



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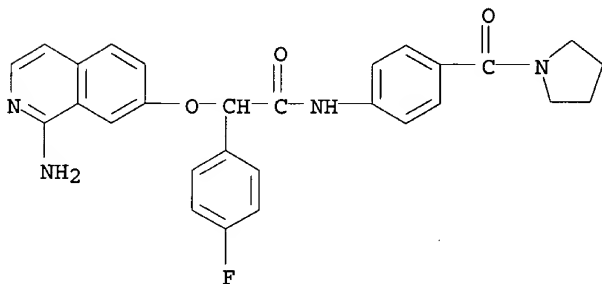
RN 489448-65-9 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-4-chloro-N-[2-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



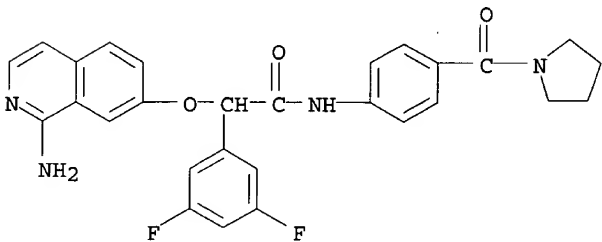
RN 489448-66-0 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-4-fluoro-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 489448-67-1 CAPLUS

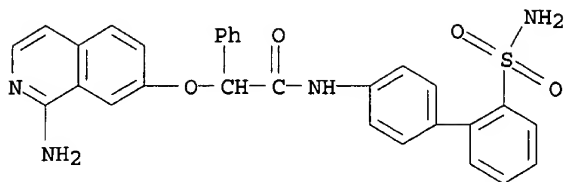
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-3,5-difluoro-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 308288-71-3 CAPLUS

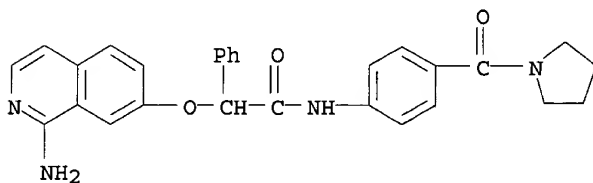
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

09/ 830,227



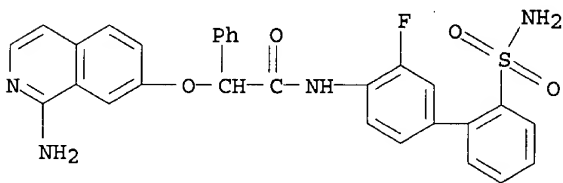
RN 308288-72-4 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



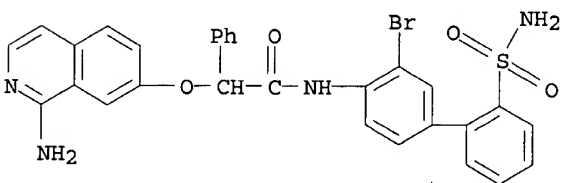
RN 308288-75-7 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



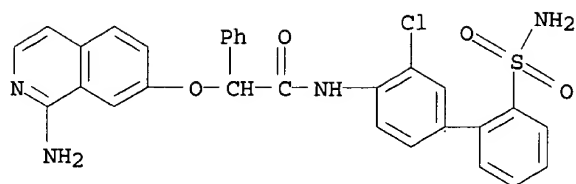
RN 308288-76-8 CAPLUS

CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

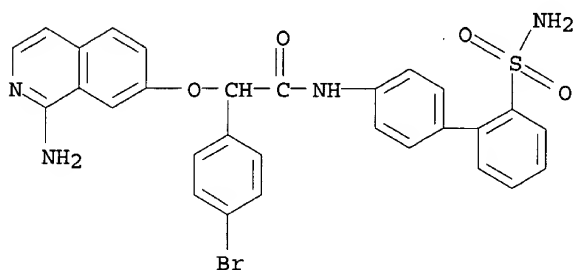


RN 308288-77-9 CAPLUS

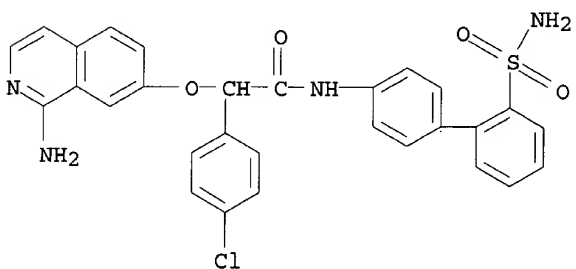
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



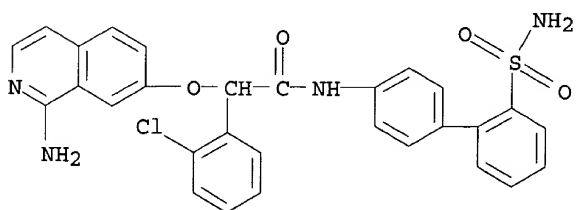
RN 308288-78-0 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-4-bromo- (9CI) (CA INDEX NAME)



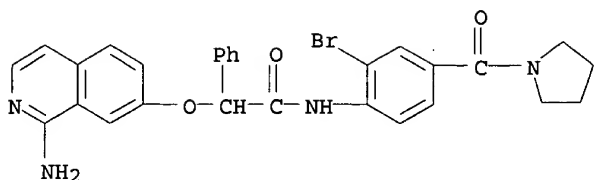
RN 308288-79-1 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-4-chloro- (9CI) (CA INDEX NAME)



RN 308288-80-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2-chloro- (9CI) (CA INDEX NAME)

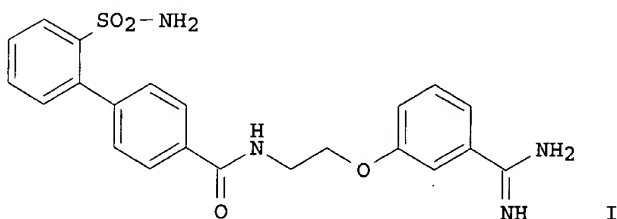


RN 308288-83-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyloxy)-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:842104 CAPLUS
 DOCUMENT NUMBER: 134:29204
 TITLE: Preparation of benzamidines and arylamidines as inhibitors of factor Xa
 INVENTOR(S): Zhu, Bing-Yan; Zhang, Penglie; Scarborough, Robert M.
 PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
 SOURCE: PCT Int. Appl., 104 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071508	A2	20001130	WO 2000-US14208	20000524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1185508	A2	20020313	EP 2000-932732	20000524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500383	T2	20030107	JP 2000-619765	20000524
PRIORITY APPLN. INFO.: US 1999-135849P P 19990524				
WO 2000-US14208 W 20000524				
OTHER SOURCE(S): MARPAT 134:29204				
GI				



AB AYDEGJZL [wherein A = (cyclo)alkyl, (un)substituted amino, imino, amidino, guanidino, Ph, naphthyl, heterocyclic ring, etc.; Y = bond, CH2, CO, NR4CH2, CH2NR4, NR4, CONR4, NR4CO, C(:NR4), C(:N4)NR4a, C(:NR4)CH2, C(:NR4)NR4aCH2, SO2, O, SO2NR4, or NR4SO2; R4 and R4a = independently H,

alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, or (un)substituted alkylphenyl or alkynaphthyl; D = bond, (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR5CO, NR5CONR6, SO2NR5, NR5SO2NR6, NR5SO2NR6CO; R5 and R6 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl or (un)substituted alkylphenyl, alkynaphthyl, alkylheteroaryl, carboxyalkyl, carbamidoalkyl, etc.; G = (un)substituted methylene, ethylene, or propylene; J = bond, CONR11, NR11CO, NR11, NR11CH2, O, S, SO2, SO, OCH2, or SO2CH2; R11 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl or (un)substituted alkylphenyl, alkynaphthyl, or alkylheteroaryl; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12N13, or NR12C(:N12)R13; R12 and R13 = independently H, OH, alkyl, (un)substituted alkoxy, (di)alkylamino, alkylphenyl, alkynaphthyl, carboxyalkyl, etc.] were prepd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, N-tert-butoxycarbonylglycinol was condensed with 3-cyanophenol in the presence of PPh3 and DEAD in CH2Cl2 (93%), and the amine deprotected and converted to the salt using TFA. Reaction of the TFA amine salt with 2'-(tert-butylaminosulfonyl)-4-biphenylcarboxylic acid in the presence of BOP and i-Pr2NEt in DMF gave the amide (84%). The benzonitrile was converted to the desired benzamidine salt (I.bul.TFA) in 85% yield by bubbling HCl gas through a soln. of the amide intermediate in MeOH, followed by neutralization and workup using 0.5% TFA in H2O/MeCN. Compds. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

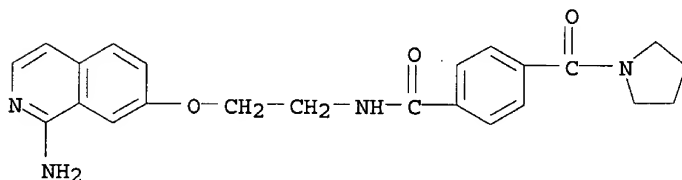
IT 244256-82-4P 309930-02-7P 309930-03-8P
309930-04-9P 309930-05-0P 309930-06-1P
309930-07-2P 309930-09-4P 309930-30-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzamidine and arylamidine factor Xa inhibitors from benzonitriles and aryl nitriles)

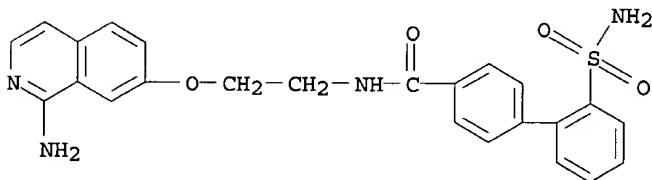
RN 244256-82-4 CAPLUS

CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 309930-02-7 CAPLUS

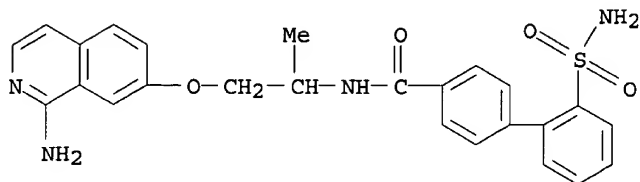
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-2'-(aminosulfonyl)- (9CI) (CA INDEX NAME)



RN 309930-03-8 CAPLUS

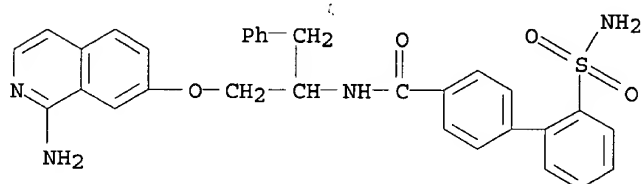
09/ 830,227

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]-1-methylethyl]-2'-(aminosulfonyl)- (9CI) (CA INDEX NAME)



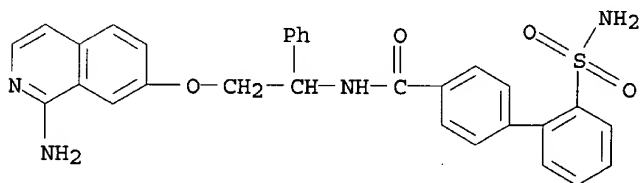
RN 309930-04-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[1-[[[(1-amino-7-isoquinolinyl)oxy]methyl]-2-phenylethyl]-2'-(aminosulfonyl)- (9CI) (CA INDEX NAME)



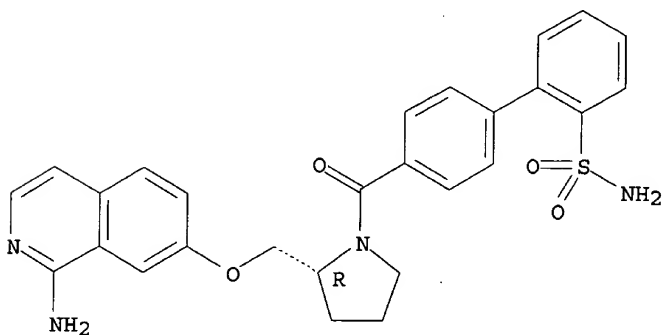
RN 309930-05-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]-1-phenylethyl]-2'-(aminosulfonyl)- (9CI) (CA INDEX NAME)



RN 309930-06-1 CAPLUS

CN Pyrrolidine, 2-[[[(1-amino-7-isoquinolinyl)oxy]methyl]-1-[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

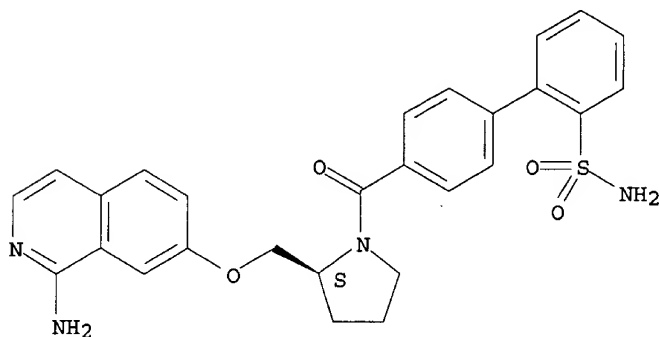


09/ 830,227

RN 309930-07-2 CAPLUS

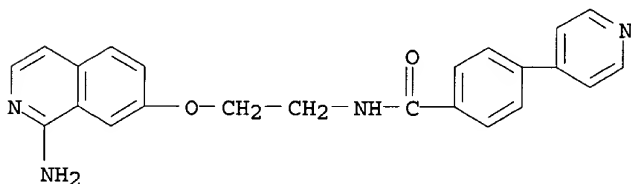
CN Pyrrolidine, 2-[[[(1-amino-7-isoquinolinyl)oxy)methyl]-1-[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



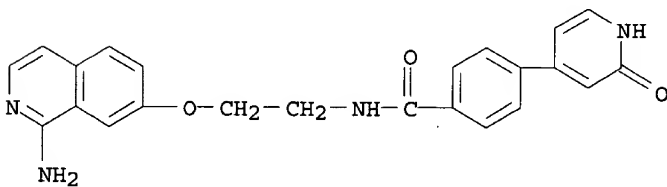
RN 309930-09-4 CAPLUS

CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 309930-30-1 CAPLUS

CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(1,2-dihydro-2-oxo-4-pyridinyl)- (9CI) (CA INDEX NAME)



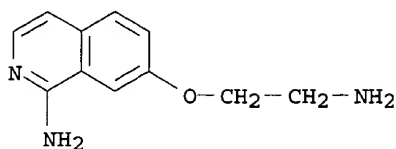
IT 309930-41-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzamidine and arylamidine factor Xa inhibitors from benzonitriles and aryl nitriles)

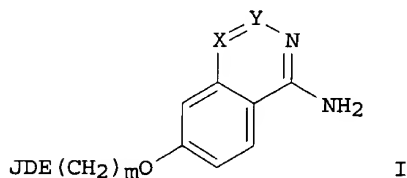
RN 309930-41-4 CAPLUS

CN 1-Isoquinolinamine, 7-(2-aminoethoxy)- (9CI) (CA INDEX NAME)



L3 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:291003 CAPLUS
 DOCUMENT NUMBER: 132:322143
 TITLE: Preparation of isoquinoline amino acid derivatives as serine protease inhibitors.
 INVENTOR(S): Timmers, Cornelis Marius; Rewinkel, Johannes Bernardus Maria
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
 SOURCE: PCT Int. Appl., 38 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024718	A1	20000504	WO 1999-EP7928	19991019
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9963413	A1	20000515	AU 1999-63413	19991019
BR 9914694	A	20010710	BR 1999-14694	19991019
EP 1123280	A1	20010816	EP 1999-950761	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528438	T2	20020903	JP 2000-578288	19991019
NZ 511067	A	20030328	NZ 1999-511067	19991019
NO 2001001966	A	20010423	NO 2001-1966	20010420
PRIORITY APPLN. INFO.:			EP 1998-203559	A 19981023
			WO 1999-EP7928	W 19991019
OTHER SOURCE(S):		MARPAT 132:322143		
GI				



AB Title compds. [I; J = H, R1, R1O2C, R1CO, R1SO2, etc.; D = NHCHR1CO, D-1-Tiq, D-Atc, Aic, D-1-Piq, etc.; E = NR2CH2, (substituted) Q1; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkylene; R2 = H, R1; X, Y = CH, N, both may not = N; m = 1, 2; p = 2-4], were prepd.

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Thus, (2S)-1-[N-(-)-camphorsulfonyl-D-cyclohexylalaninyl]-2-[2-(1-aminoisoquinolin-6-oxy)ethyl]piperidine (soln. phase prepn. given) showed antithrombin activity with $IC_{50} = 0.41 \mu M$.

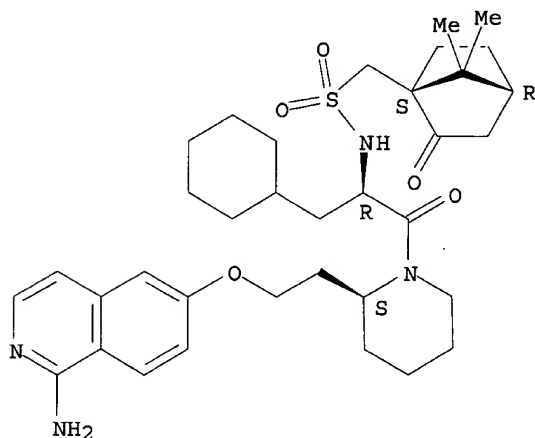
IT 266690-33-9P 266690-34-0P 266690-35-1P
266690-36-2P 266690-37-3P 266690-38-4P
266690-39-5P 266690-40-8P 266690-41-9P
266690-42-0P 266690-43-1P 266690-44-2P
266690-45-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of isoquinoline amino acid derivs. as serine protease inhibitors)

RN 266690-33-9 CAPLUS

CN Piperidine, 2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-[(2R)-3-cyclohexyl-2-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]amino]-1-oxopropyl]-, (2S)- (9CI) (CA INDEX NAME)

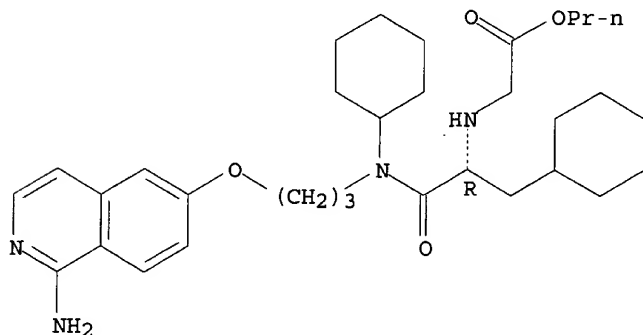
Absolute stereochemistry.



RN 266690-34-0 CAPLUS

CN Glycine, N-[(1R)-2-[[3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclohexylamino]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

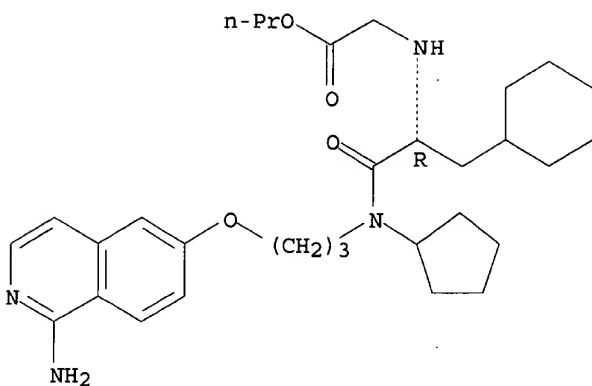


RN 266690-35-1 CAPLUS

CN Glycine, N-[(1R)-2-[[3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclopentylamino]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

09/ 830,227

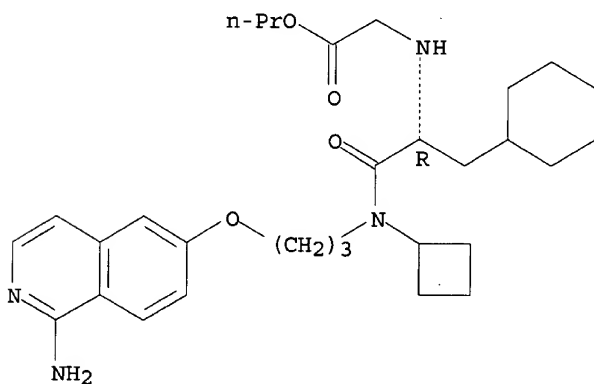
Absolute stereochemistry.



RN 266690-36-2 CAPLUS

CN Glycine, N-[(1R)-2-[[3-[(1-amino-6-isoquinolinyloxy)propyl]cyclobutylamino]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

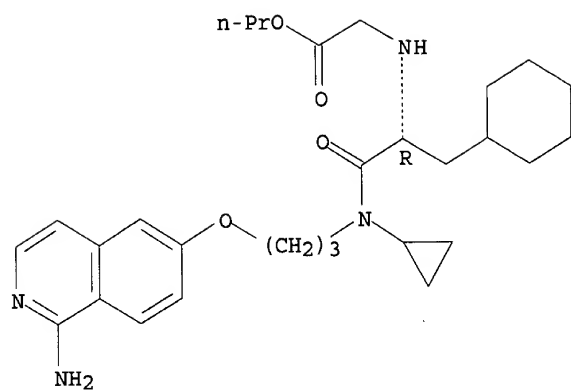
Absolute stereochemistry.



RN 266690-37-3 CAPLUS

CN Glycine, N-[(1R)-2-[[3-[(1-amino-6-isoquinolinyloxy)propyl]cyclopropylamino]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

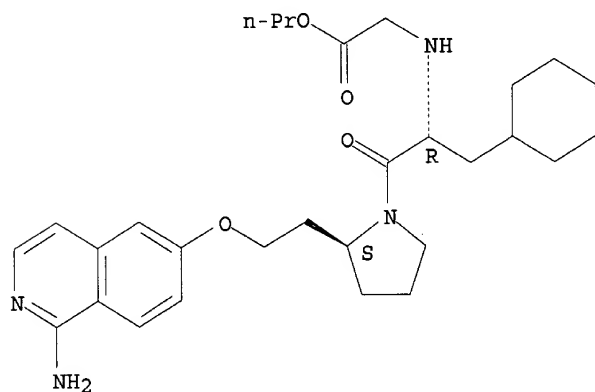
Absolute stereochemistry.



RN 266690-38-4 CAPLUS

CN Glycine, N-[(1R)-2-[(2S)-2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-pyrrolidinyl]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

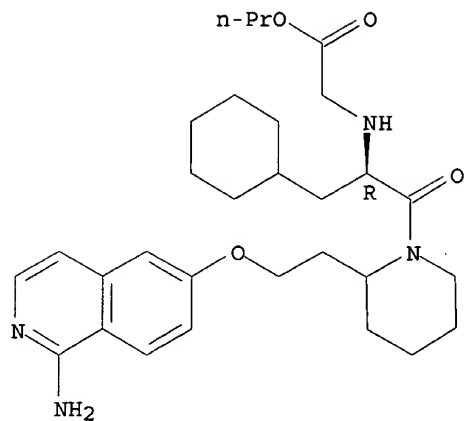


RN 266690-39-5 CAPLUS

CN Glycine, N-[(1R)-2-[2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-piperidinyl]-1-(cyclohexylmethyl)-2-oxoethyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

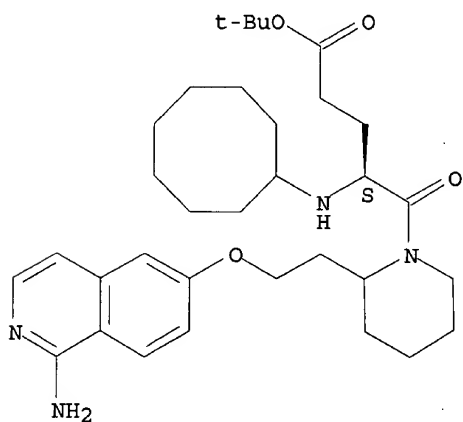
09/ 830,227



RN 266690-40-8 CAPLUS

CN 1-Piperidinepentanoic acid, 2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-
.gamma.-(cyclooctylamino)-.delta.-oxo-, 1,1-dimethylethyl ester,
(.gamma.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

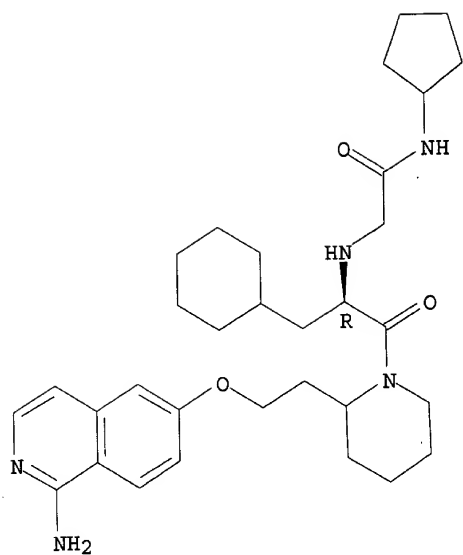


RN 266690-41-9 CAPLUS

CN Acetamide, 2-[[[(1R)-2-[2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-
piperidinyl]-1-(cyclohexylmethyl)-2-oxoethyl]amino]-N-cyclopentyl]- (9CI)
(CA INDEX NAME)

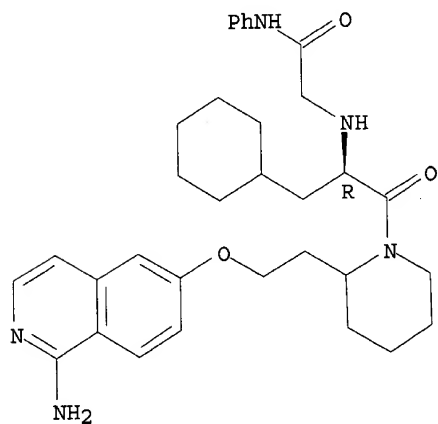
Absolute stereochemistry.

09/ 830,227



RN 266690-42-0 CAPLUS
CN Acetamide, 2-[[[(1R)-2-[2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-piperidinyl]-1-(cyclohexylmethyl)-2-oxoethyl]amino]-N-phenyl]- (9CI) (CA INDEX NAME)

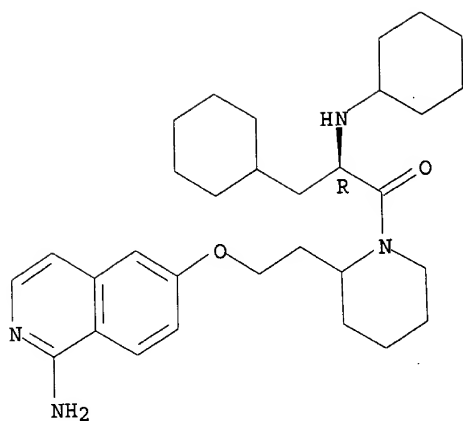
Absolute stereochemistry.



RN 266690-43-1 CAPLUS
CN Piperidine, 2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-[(2R)-3-cyclohexyl-2-(cyclohexylamino)-1-oxopropyl]- (9CI) (CA INDEX NAME)

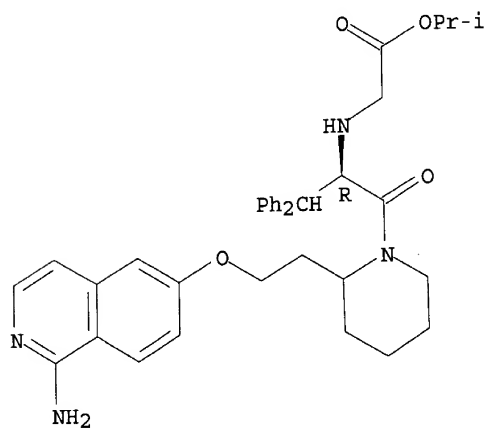
Absolute stereochemistry.

09/ 830,227



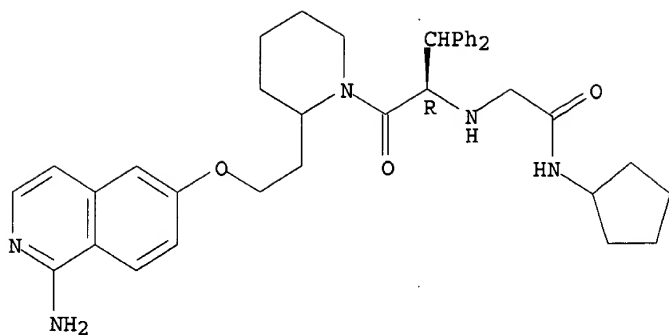
RN 266690-44-2 CAPLUS
CN Glycine, N-[(1R)-1-[[2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-piperidinyl]carbonyl]-2,2-diphenylethyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 266690-45-3 CAPLUS
CN Acetamide, 2-[[[(1R)-1-[[2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-piperidinyl]carbonyl]-2,2-diphenylethyl]amino]-N-cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



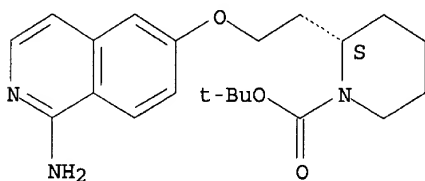
IT 266690-50-0P 266690-56-6P 266690-64-6P
266690-69-1P 266690-72-6P 266690-75-9P
266690-88-4P 266690-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of isoquinoline amino acid derivs. as serine protease
inhibitors)

RN 266690-50-0 CAPLUS

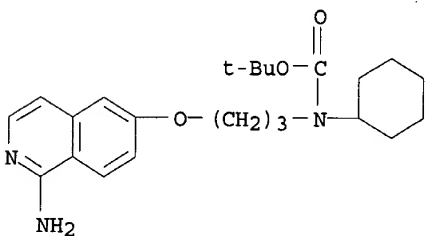
CN 1-Piperidinecarboxylic acid, 2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-,
1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



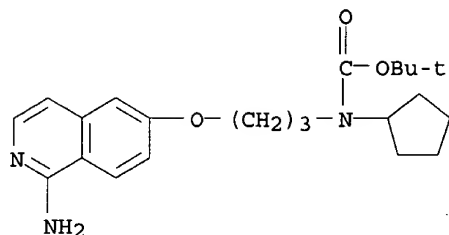
RN 266690-56-6 CAPLUS

CN Carbamic acid, [3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclohexyl-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

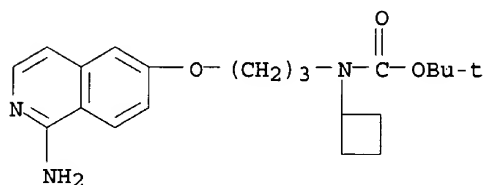


RN 266690-64-6 CAPLUS

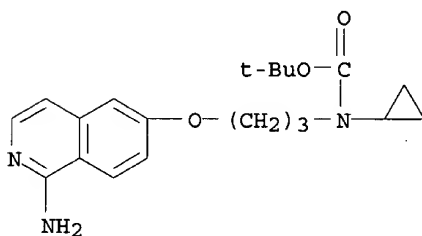
CN Carbamic acid, [3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclopentyl-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 266690-69-1 CAPLUS

CN Carbamic acid, [3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclobutyl-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

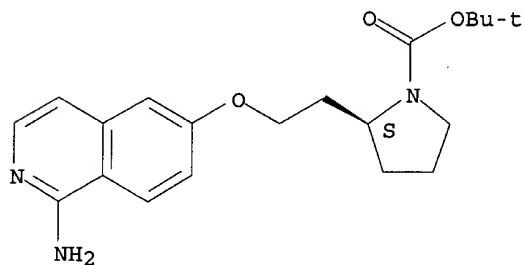
RN 266690-72-6 CAPLUS

CN Carbamic acid, [3-[(1-amino-6-isoquinolinyl)oxy]propyl]cyclopropyl-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 266690-75-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-,
1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

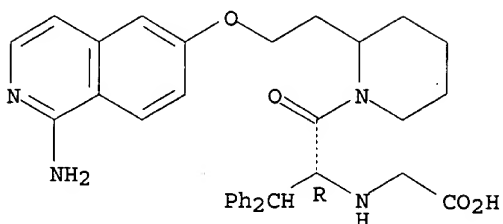
Absolute stereochemistry.



RN 266690-88-4 CAPLUS

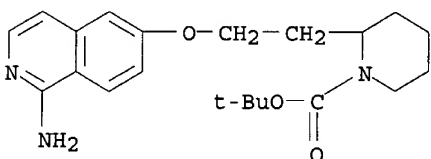
CN Glycine, N-[(1R)-1-[[2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-1-piperidinyl]carbonyl]-2,2-diphenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 266690-89-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[2-[(1-amino-6-isoquinolinyl)oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:613871 CAPLUS

DOCUMENT NUMBER: 131:243189

TITLE: Preparation of aminoisoquinoline derivatives as inhibitors of activated blood coagulation factor X
 INVENTOR(S): Nakagawa, Tadakiyo; Makino, Shingo; Sagi, Kazuyuki; Takayanagi, Masaru; Kayahara, Takashi; Takehana, Shunji

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9947503	A1	19990923	WO 1999-JP1309	19990317
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2324153	AA	19990923	CA 1999-2324153	19990317
AU 9928522	A1	19991011	AU 1999-28522	19990317
AU 753675	B2	20021024		
EP 1065200	A1	20010103	EP 1999-909191	19990317
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI			

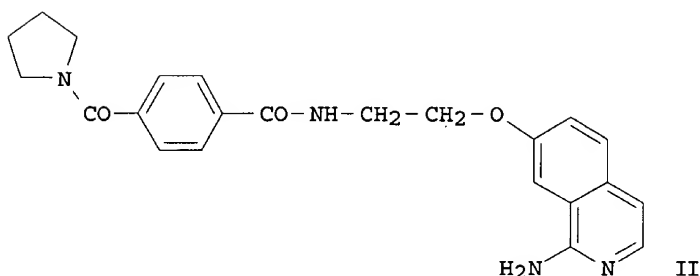
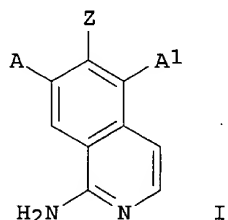
09/ 830,227

PRIORITY APPLN. INFO.:

JP 1998-70771 A 19980319
JP 1998-197133 A 19980713
WO 1999-JP1309 W 19990317

OTHER SOURCE(S):
GI

MARPAT 131:243189



AB The title compds. I [A is VLY, A₁ is H; or A₁ is VLY, A is H; L is CH₂CH₂, etc.; V is, for example, H, (un)substituted benzoyl, etc.; extensive details on V are given; Y is CH:CH, etc.; Z = H, alkyl, etc.] are prepd. I are useful as active ingredients in anticoagulants or preventives/remedies for thrombosis or embolism. In an in vitro test for inhibition of the activated blood coagulation factor X, the title compd. II showed pIC₅₀ of 6.6.

IT 244256-81-3P 244256-83-5P 244256-85-7P
244256-87-9P 244256-89-1P 244256-91-5P
244256-93-7P 244256-95-9P 244256-97-1P
244256-99-3P 244257-01-0P 244257-03-2P
244257-05-4P 244257-07-6P 244257-09-8P
244257-11-2P 244257-13-4P 244257-15-6P
244257-17-8P 244257-19-0P 244257-21-4P
244257-23-6P 244257-25-8P 244257-27-0P
244257-29-2P 244257-31-6P 244257-33-8P
244257-35-0P 244257-37-2P 244257-39-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoisoquinoline derivs. as inhibitors of activated blood coagulation factor X)

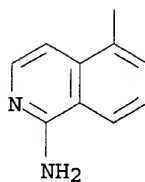
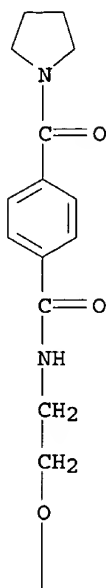
RN 244256-81-3 CAPLUS

CN Benzamide, N-[2-[(1-amino-5-isoquinolinyl)oxy]ethyl]-4-(1-pyrrolidinylcarbonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244256-80-2

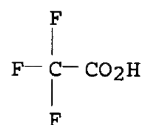
CMF C23 H24 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244256-83-5 CAPLUS

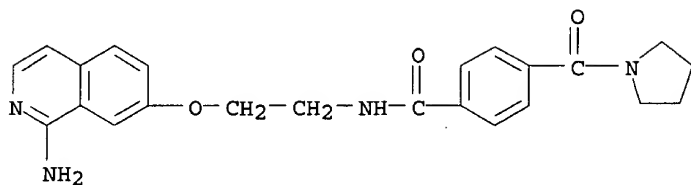
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(1-pyrrolidinylcarbonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244256-82-4

09/ 830,227

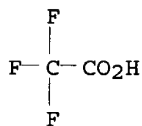
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



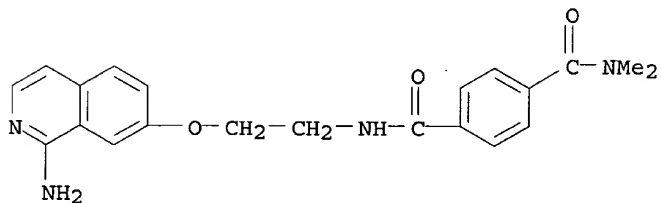
RN 244256-85-7 CAPLUS

CN 1,4-Benzenedicarboxamide, N'-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-N,N-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244256-84-6

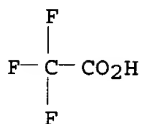
CMF C21 H22 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244256-87-9 CAPLUS

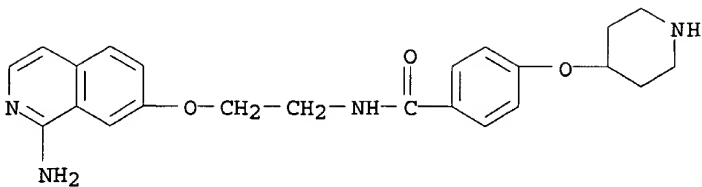
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(4-piperidinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

09/ 830,227

CM 1

CRN 244256-86-8

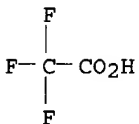
CMF C23 H26 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



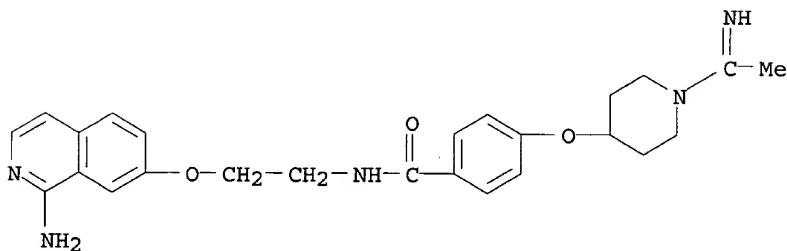
RN 244256-89-1 CAPLUS

CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244256-88-0

CMF C25 H29 N5 O3

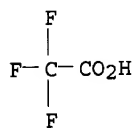


CM 2

CRN 76-05-1

CMF C2 H F3 O2

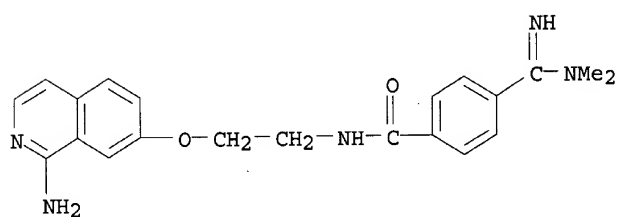
09/ 830,227



RN 244256-91-5 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-
[(dimethylamino)iminomethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX
NAME)

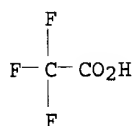
CM 1

CRN 244256-90-4
CMF C21 H23 N5 O2



CM 2

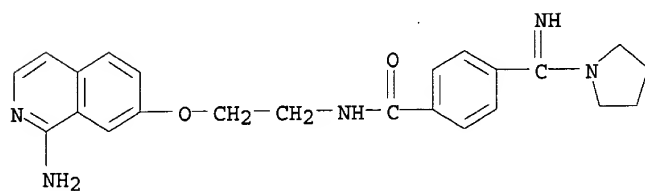
CRN 76-05-1
CMF C2 H F3 O2



RN 244256-93-7 CAPLUS
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-(imino-1-
pyrrolidinylmethyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244256-92-6
CMF C23 H25 N5 O2

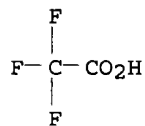


09/ 830,227

CM 2

CRN 76-05-1

CMF C2 H F3 O2



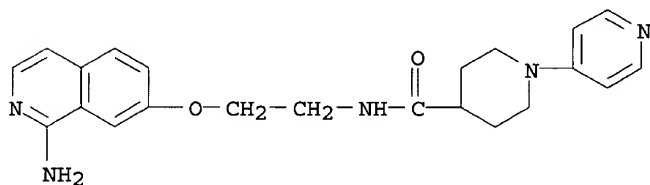
RN 244256-95-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-1-(4-pyridinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244256-94-8

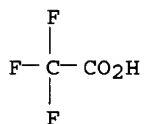
CMF C22 H25 N5 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244256-97-1 CAPLUS

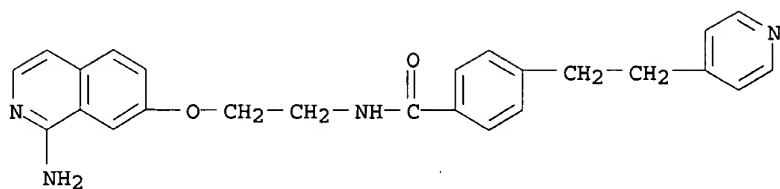
CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-[2-(4-pyridinyl)ethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244256-96-0

CMF C25 H24 N4 O2

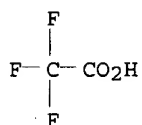
09/ 830,227



CM 2

CRN 76-05-1

CMF C2 H F3 O2



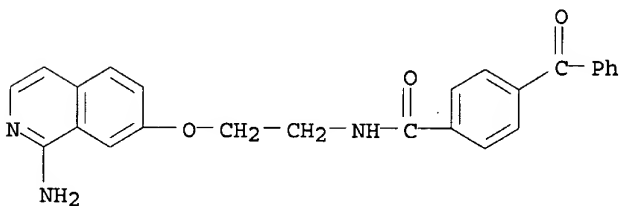
RN 244256-99-3 CAPLUS

CN Benzamide, N-[2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-4-benzoyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244256-98-2

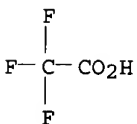
CMF C25 H21 N3 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



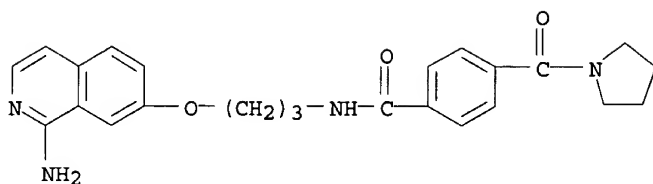
RN 244257-01-0 CAPLUS

CN Benzamide, N-[3-[(1-amino-7-isoquinolinyl)oxy]propyl]-4-(1-pyrrolidinylcarbonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

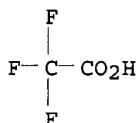
09/ 830,227

CRN 244257-00-9
CMF C24 H26 N4 O3



CM 2

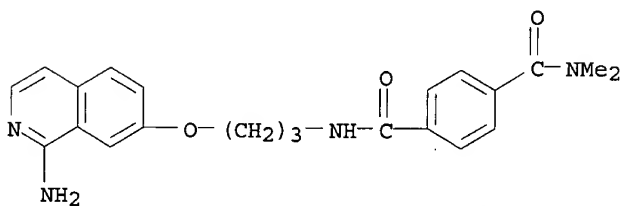
CRN 76-05-1
CMF C2 H F3 O2



RN 244257-03-2 CAPLUS
CN 1,4-Benzenedicarboxamide, N'-[3-[(1-amino-7-isoquinolinyl)oxy]propyl]-N,N-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

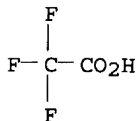
CM 1

CRN 244257-02-1
CMF C22 H24 N4 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 244257-05-4 CAPLUS

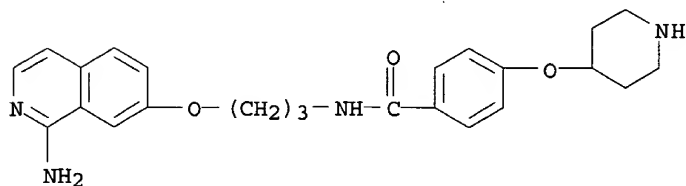
09/ 830,227

CN Benzamide, N-[3-[(1-amino-7-isoquinolinyl)oxy]propyl]-4-(4-piperidinyloxy)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-04-3

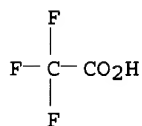
CMF C24 H28 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



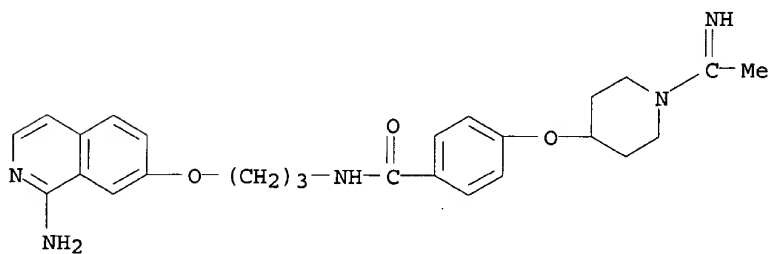
RN 244257-07-6 CAPLUS

CN Benzamide, N-[3-[(1-amino-7-isoquinolinyl)oxy]propyl]-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-06-5

CMF C26 H31 N5 O3

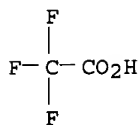


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 830,227



RN 244257-09-8 CAPLUS

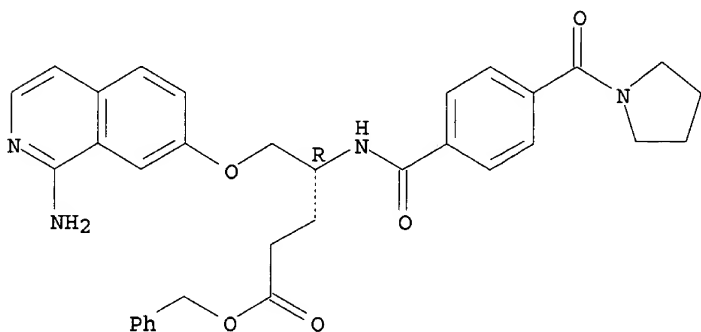
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyloxy)-4-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, phenylmethyl ester, (4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-08-7

CMF C33 H34 N4 O5

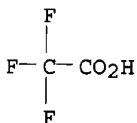
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-11-2 CAPLUS

CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyloxy)-4-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, (4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

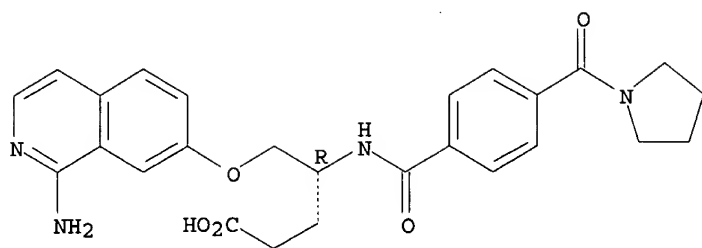
CM 1

CRN 244257-10-1

CMF C26 H28 N4 O5

Absolute stereochemistry.

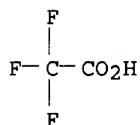
09/ 830,227



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-13-4 CAPLUS

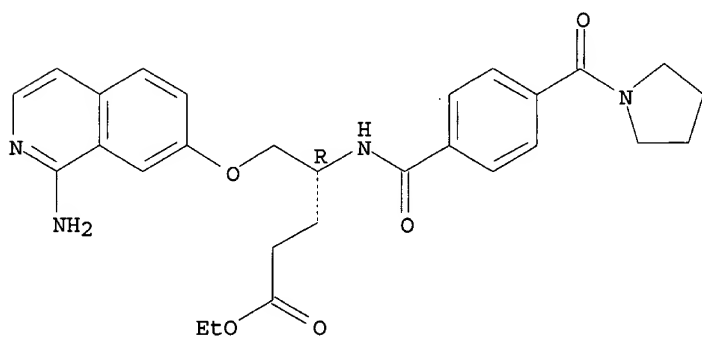
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, (4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-12-3

CMF C28 H32 N4 O5

Absolute stereochemistry.

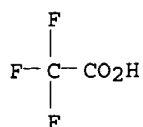


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 830,227



RN 244257-15-6 CAPLUS

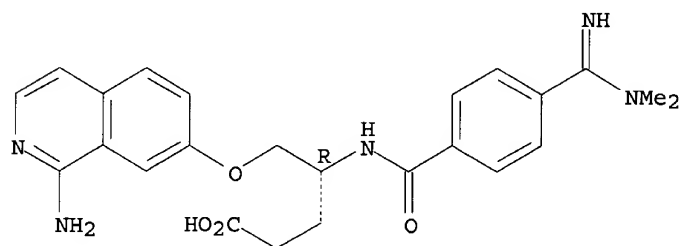
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyloxy)-4-[[4-[(dimethylamino)iminomethyl]benzoyl]amino]-, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-14-5

CMF C24 H27 N5 O4

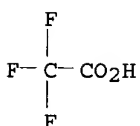
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-17-8 CAPLUS

CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyloxy)-4-[[4-[2-(4-pyridinyl)ethyl]benzoyl]amino]-, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

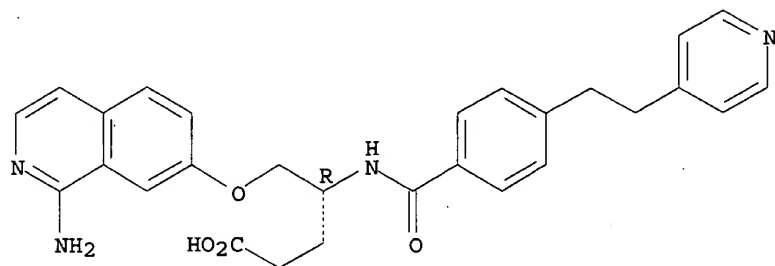
CM 1

CRN 244257-16-7

CMF C28 H28 N4 O4

Absolute stereochemistry.

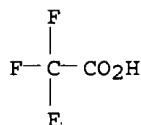
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-19-0 CAPLUS

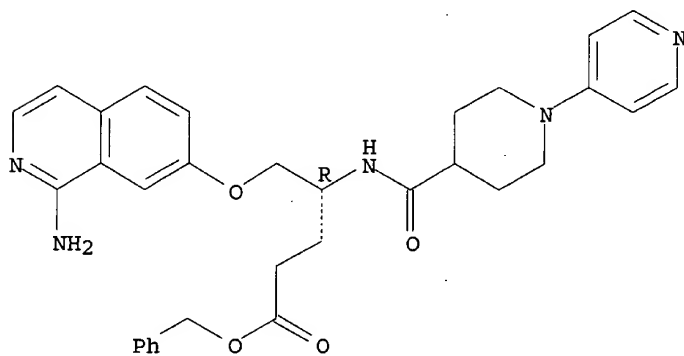
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyloxy)-4-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]-, phenylmethyl ester, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-18-9

CMF C32 H35 N5 O4

Absolute stereochemistry.

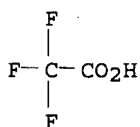


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 830,227



RN 244257-21-4 CAPLUS

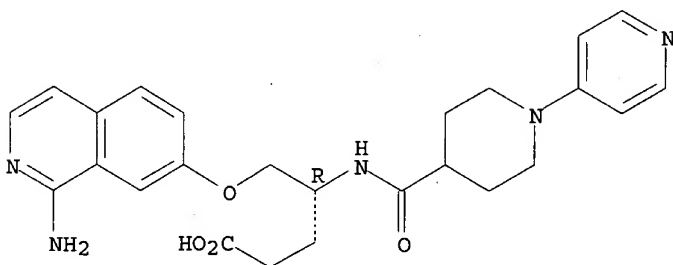
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]-, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-20-3

CMF C25 H29 N5 O4

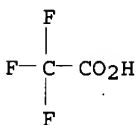
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-23-6 CAPLUS

CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[(4-benzoylbenzoyl)amino]-, (4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

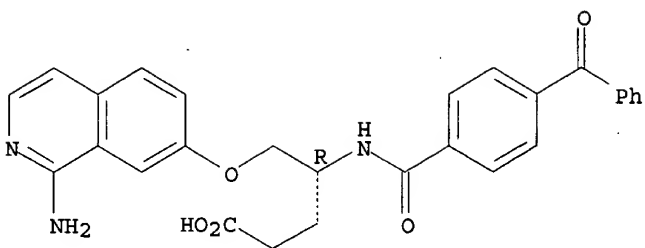
CM 1

CRN 244257-22-5

CMF C28 H25 N3 O5

Absolute stereochemistry.

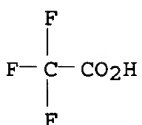
09/ 830,227



CM 2

CRN 76-05-1

CMF C2 H F3 O2



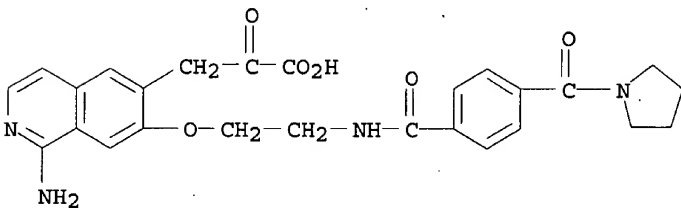
RN 244257-25-8 CAPLUS

CN 6-Isoquinolinepropanoic acid, 1-amino-.alpha.-oxo-7-[2-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]ethoxy]-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 244257-24-7

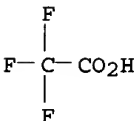
CMF C26 H26. N4 O6



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-27-0 CAPLUS

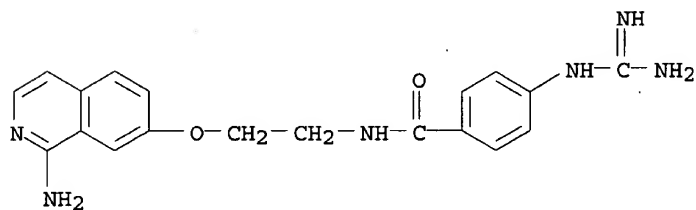
09/ 830,227

CN Benzamide, 4-[(aminoiminomethyl)amino]-N-[2-[(1-amino-7-isoquinolinyloxy)ethyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-26-9

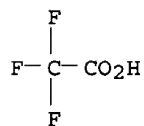
CMF C19 H20 N6 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-29-2 CAPLUS

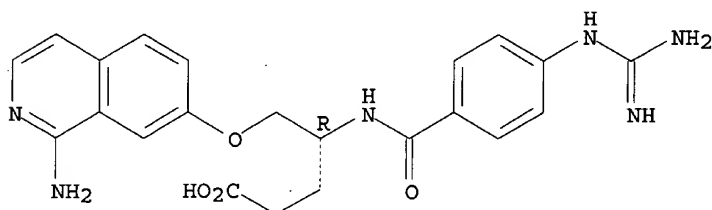
CN Pentanoic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]amino]-5-[(1-amino-7-isoquinolinyloxy)-, (4R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-28-1

CMF C22 H24 N6 O4

Absolute stereochemistry.

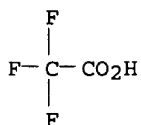


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 830,227



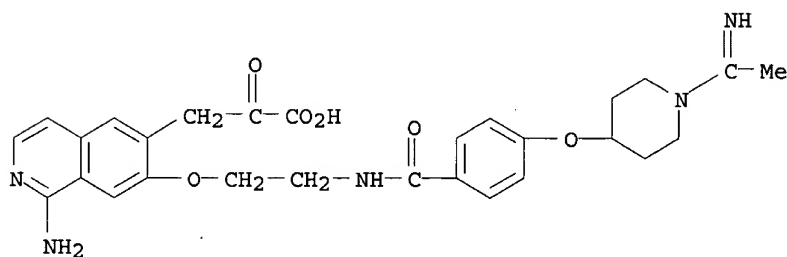
RN 244257-31-6 CAPLUS

CN 6-Isoquinolinepropanoic acid, 1-amino-7-[2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]benzoyl]amino]ethoxy]-.alpha.-oxo-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-30-5

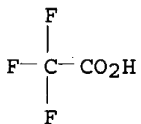
CMF C28 H31 N5 O6



CM 2

CRN 76-05-1

CMF C2 H F3 O2



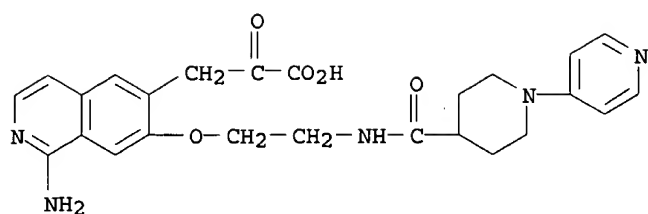
RN 244257-33-8 CAPLUS

CN 6-Isoquinolinepropanoic acid, 1-amino-.alpha.-oxo-7-[2-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]ethoxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-32-7

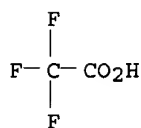
CMF C25 H27 N5 O5



CM 2

CRN 76-05-1

CMF C2 H F3 O2



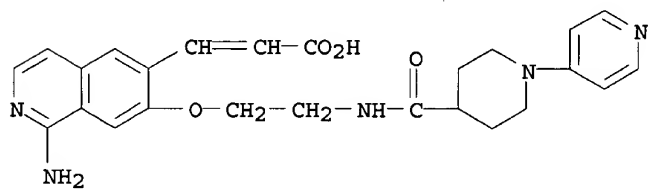
RN 244257-35-0 CAPLUS

CN 2-Propenoic acid, 3-[1-amino-7-[2-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]ethoxy]-6-isoquinolinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-34-9

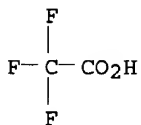
CMF C25 H27 N5 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-37-2 CAPLUS

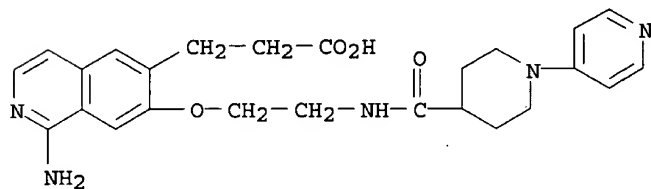
CN 6-Isoquinolinepropanoic acid, 1-amino-7-[2-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]ethoxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

09/ 830,227

CM 1

CRN 244257-36-1

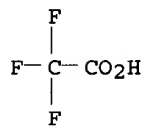
CMF C25 H29 N5 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2



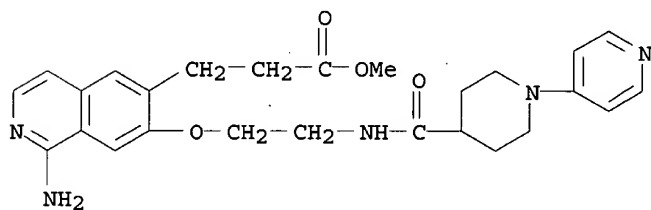
RN 244257-39-4 CAPLUS

CN 6-Isoquinolinepropanoic acid, 1-amino-7-[2-[[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]ethoxy]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-38-3

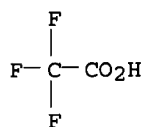
CMF C26 H31 N5 O4



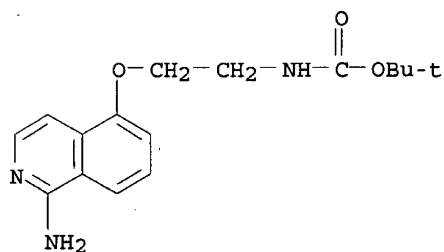
CM 2

CRN 76-05-1

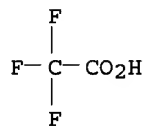
CMF C2 H F3 O2



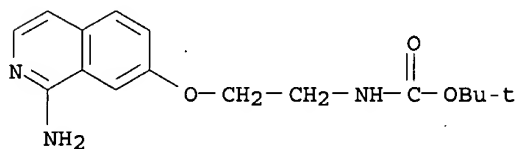
IT 244257-45-2P 244257-53-2P 244257-58-7P
 244257-60-1P 244257-66-7P 244257-68-9P
 244257-70-3P 244257-72-5P 244257-74-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of aminoisoquinoline derivs. as inhibitors of activated blood
 coagulation factor X)
 RN 244257-45-2 CAPLUS
 CN Carbamic acid, [2-[(1-amino-5-isoquinolinyl)oxy]ethyl]-, 1,1-dimethylethyl
 ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
 CM 1
 CRN 244257-44-1
 CMF C16 H21 N3 O3



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



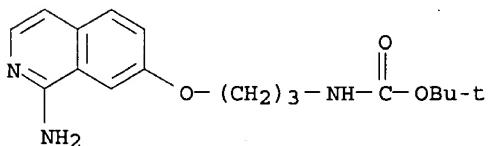
RN 244257-53-2 CAPLUS
 CN Carbamic acid, [2-[(1-amino-7-isoquinolinyl)oxy]ethyl]-, 1,1-dimethylethyl
 ester (9CI) (CA INDEX NAME)



09/ 830,227

RN 244257-58-7 CAPLUS

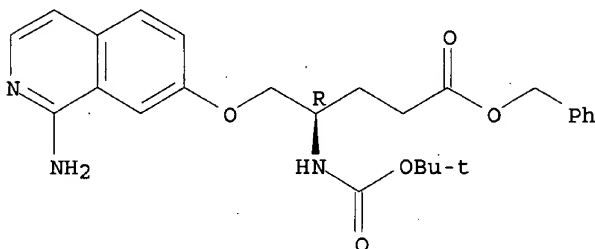
CN Carbamic acid, [3-[(1-amino-7-isoquinolinyl)oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 244257-60-1 CAPLUS

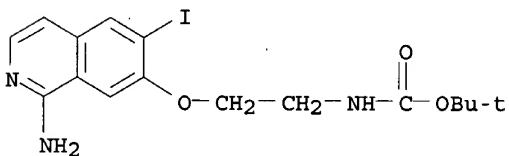
CN Pentanoic acid, 5-[(1-amino-7-isoquinolinyl)oxy]-4-[[[(1,1-dimethylethoxy)carbonyl]amino]-, phenylmethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 244257-66-7 CAPLUS

CN Carbamic acid, [2-[(1-amino-6-iodo-7-isoquinolinyl)oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 244257-68-9 CAPLUS

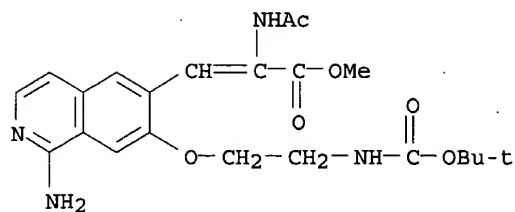
CN 2-Propenoic acid, 2-(acetylamino)-3-[1-amino-7-[2-[[[(1,1-dimethylethoxy)carbonyl]amino]ethoxy]-6-isoquinolinyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-67-8

CMF C22 H28 N4 O6

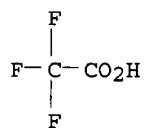
09/ 830,227



CM 2

CRN 76-05-1

CMF C2 H F3 O2



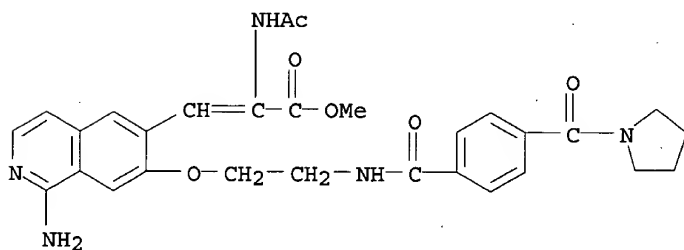
RN 244257-70-3 CAPLUS

CN 2-Propenoic acid, 2-(acetylamino)-3-[1-amino-7-[2-[[4-(1-pyrrolidinylcarbonyl)benzoyl]amino]ethoxy]-6-isoquinoliny]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-69-0

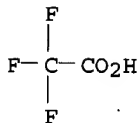
CMF C29 H31 N5 O6



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 244257-72-5 CAPLUS

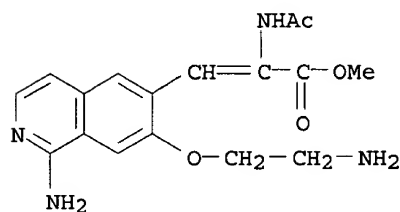
09/ 830,227

CN 2-Propenoic acid, 2-(acetylamino)-3-[1-amino-7-(2-aminoethoxy)-6-isoquinolinyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-71-4

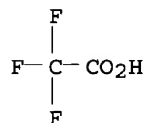
CMF C17 H20 N4 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2



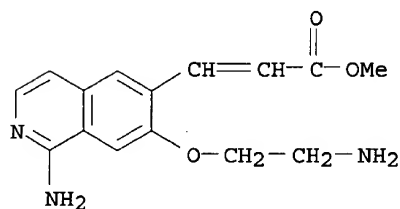
RN 244257-74-7 CAPLUS

CN 2-Propenoic acid, 3-[1-amino-7-(2-aminoethoxy)-6-isoquinolinyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244257-73-6

CMF C15 H17 N3 O3

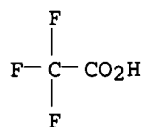


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 830,227



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 13:11:32 ON 04 AUG 2003)

FILE 'REGISTRY' ENTERED AT 13:11:41 ON 04 AUG 2003

L1 STRUCTURE UPLOADED

L2 284 S L1 FUL

FILE 'CAPLUS' ENTERED AT 13:12:06 ON 04 AUG 2003

L3 10 S L2

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
46.61	194.97

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-6.51	-6.51

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 13:14:08 ON 04 AUG 2003

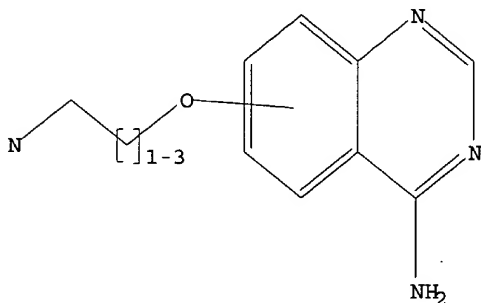
09/ 830,227

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful

FULL SEARCH INITIATED 11:04:44 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2237 TO ITERATE

100.0% PROCESSED 2237 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.03

L3 0 SEA SSS FUL L1

=> s 12 ful

FULL SEARCH INITIATED 11:04:55 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 17635 TO ITERATE

100.0% PROCESSED 17635 ITERATIONS

34 ANSWERS

SEARCH TIME: 00.00.03

L4 34 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

296.30

296.51

FILE 'CAPLUS' ENTERED AT 11:05:04 ON 04 AUG 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 4 Aug 2003 VOL 139 ISS 6

09/ 830,227

FILE LAST UPDATED: 3 Aug 2003 (20030803/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l4

L5 6 L4

=> 1- ibib abs hitstr

1- IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d l4 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN
SAM - Index Name, MF, and structure - no RN
FIDE - All substance data, except sequence data
IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD - Protein sequence data, includes RN
SQD3 - Same as SQD, but 3-letter amino acid codes are used
SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS --ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OIBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.

The MAX format is the same as ALL.

The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):ibib abs hitstr
'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN
SAM - Index Name, MF, and structure - no RN
FIDE - All substance data, except sequence data
IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD - Protein sequence data, includes RN
SQD3 - Same as SQD, but 3-letter amino acid codes are used
SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS --ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

09/ 830,227

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.

The MAX format is the same as ALL.

The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):.
YOU HAVE REQUESTED DATA FROM 34 ANSWERS - CONTINUE? Y/(N):n

=> d his

(FILE 'HOME' ENTERED AT 11:03:53 ON 04 AUG 2003)

FILE 'REGISTRY' ENTERED AT 11:04:04 ON 04 AUG 2003

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L1 FUL
L4 34 S L2 FUL

FILE 'CAPLUS' ENTERED AT 11:05:04 ON 04 AUG 2003

L5 6 S L4

FILE 'REGISTRY' ENTERED AT 11:05:30 ON 04 AUG 2003

FILE 'CAPLUS' ENTERED AT 11:05:56 ON 04 AUG 2003

=> d l5 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 6 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:31424 CAPLUS
DOCUMENT NUMBER: 136:102393
TITLE: Preparation of quinazolinylureas for treatment of solid tumors.
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002534	A1	20020110	WO 2001-GB2874	20010628
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2002016758	A5	20020114	AU 2002-16758	20010628
PRIORITY APPLN. INFO.:			EP 2000-401897	A 20000703
			WO 2001-GB2874	W 20010628

OTHER SOURCE(S): MARPAT 136:102393

AB Use of Q1R2NC(:Z)NR3Q2 [Q1 = (substituted) (fused) quinazolinyl, quinolinyl, etc.; Q2 = (substituted) aryl, aralkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl; R2, R3 = H, alkyl; R2R3 = CH2, CH2CH2, (CH2)3] as antiinvasive agents in the containment and/or treatment of solid tumor disease is claimed. Thus, 2,6-dichlorophenyl isocyanate was added to a soln. of 4-amino-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline (prepn. given) in CH2Cl2/DMF followed by stirring to give 1-(2,6-dichlorophenyl)-3-[6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazolin-4-yl]urea. Title compds. inhibited proliferation of NIH 3T3 fibroblasts with IC50 in the range, for example, of 0.001-10 μ M.

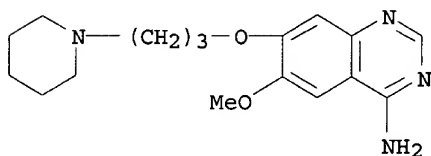
IT 320365-83-1P 320365-84-2P 320365-85-3P
 320365-86-4P 320365-88-6P 320365-89-7P
 320365-91-1P 320365-92-2P 320365-93-3P
 320365-94-4P 320365-95-5P 320365-97-7P
 320366-04-9P 320366-06-1P 320366-08-3P
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 320366-20-9P 320366-24-3P 320366-26-5P
 320366-28-7P 320366-30-1P 320366-31-2P
 320366-64-1P 320366-66-3P 320366-70-9P
 320366-71-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinazolinylureas for treatment of solid tumors)

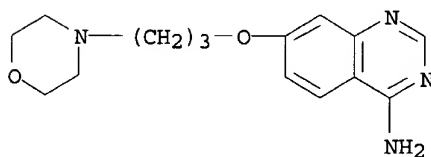
RN 320365-83-1 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



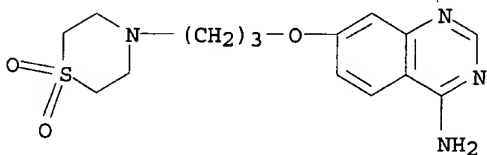
RN 320365-84-2 CAPLUS

CN 4-Quinazolinamine, 7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320365-85-3 CAPLUS

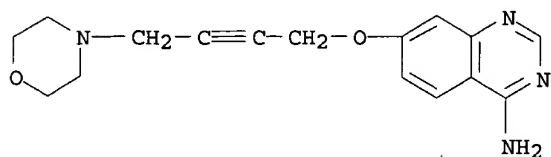
CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]- (9CI) (CA INDEX NAME)



09/ 830,227

RN 320365-86-4 CAPLUS

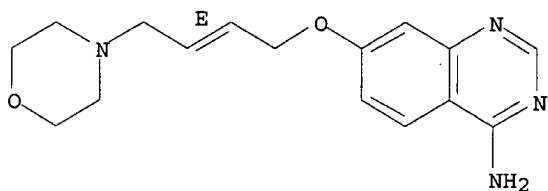
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RN 320365-88-6 CAPLUS

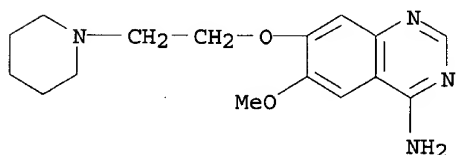
CN 4-Quinazolinamine, 7-[[(2E)-4-(4-morpholinyl)-2-butenyl]oxy] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.



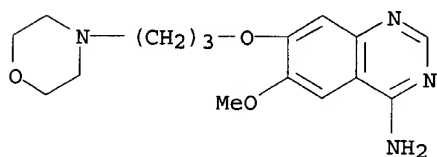
RN 320365-89-7 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-piperidiny)ethoxy] - (9CI) (CA INDEX NAME)



RN 320365-91-1 CAPLUS

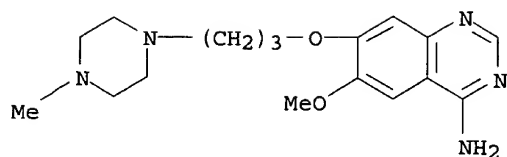
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy] - (9CI) (CA INDEX NAME)



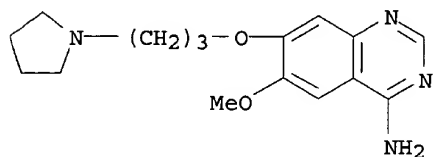
RN 320365-92-2 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy] - (9CI) (CA INDEX NAME)

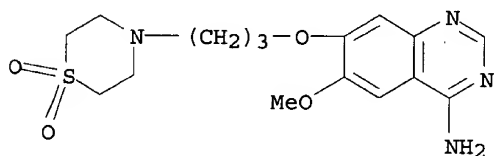
09/ 830,227



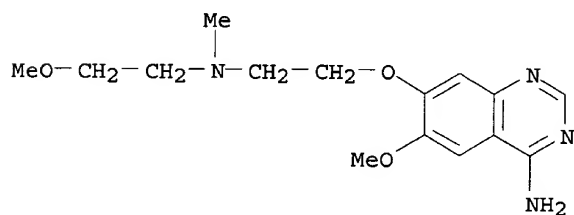
RN 320365-93-3 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



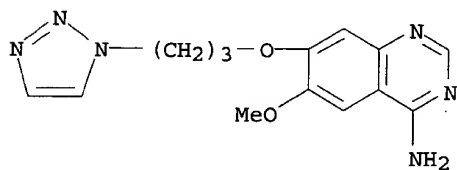
RN 320365-94-4 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]-6-methoxy- (9CI) (CA INDEX NAME)



RN 320365-95-5 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-[(2-methoxyethyl)methylamino]ethoxy]- (9CI) (CA INDEX NAME)



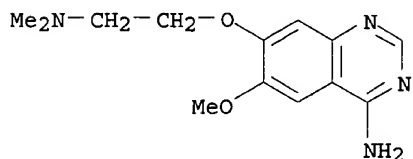
RN 320365-97-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)



09/ 830,227

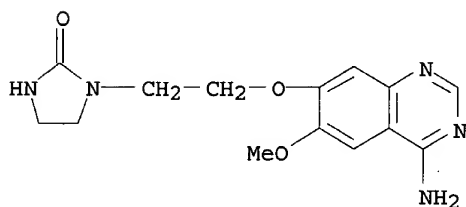
RN 320366-04-9 CAPLUS

CN 4-Quinazolinamine, 7-[2-(dimethylamino)ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



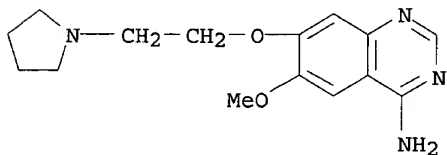
RN 320366-06-1 CAPLUS

CN 2-Imidazolidinone, 1-[2-[(4-amino-6-methoxy-7-quinazolinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



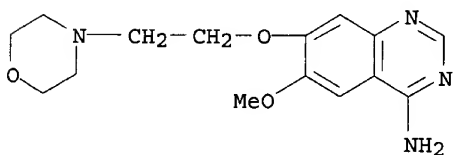
RN 320366-08-3 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320366-10-7 CAPLUS

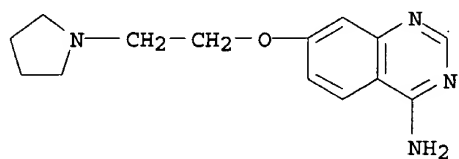
CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



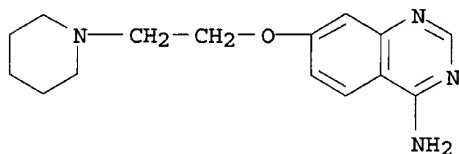
RN 320366-14-1 CAPLUS

CN 4-Quinazolinamine, 7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)

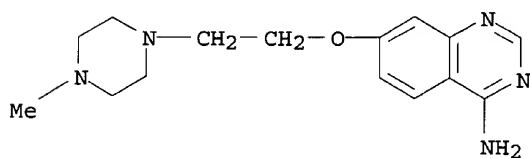
09/ 830,227



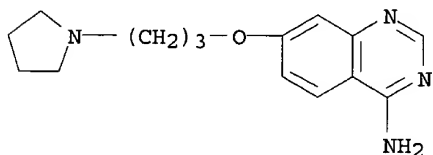
RN 320366-18-5 CAPLUS
CN 4-Quinazolinamine, 7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



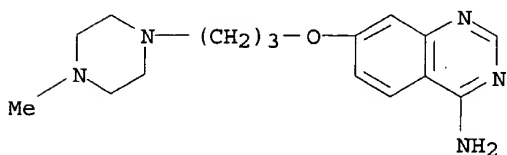
RN 320366-20-9 CAPLUS
CN 4-Quinazolinamine, 7-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320366-24-3 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

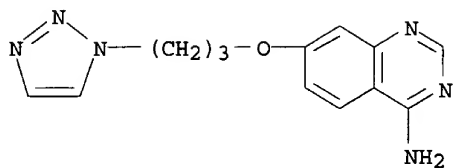


RN 320366-26-5 CAPLUS
CN 4-Quinazolinamine, 7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-28-7 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)

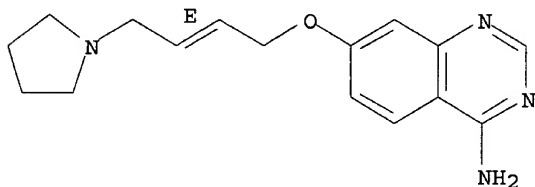
09/ 830,227



RN 320366-30-1 CAPLUS

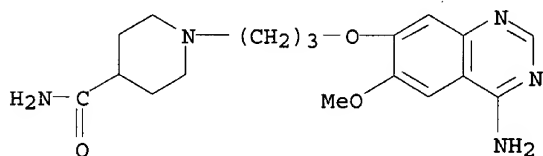
CN 4-Quinazolinamine, 7-[[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



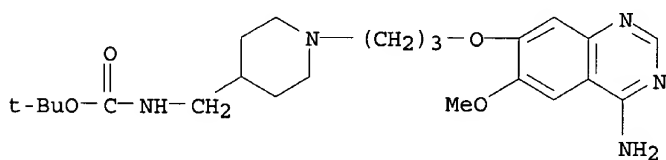
RN 320366-31-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]- (9CI) (CA INDEX NAME)



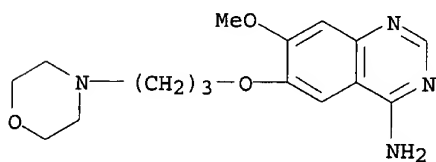
RN 320366-64-1 CAPLUS

CN Carbamic acid, [[1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



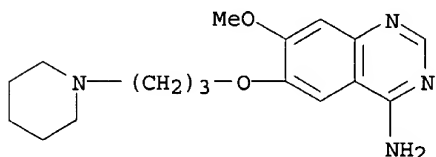
RN 320366-66-3 CAPLUS

CN 4-Quinazolinamine, 7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



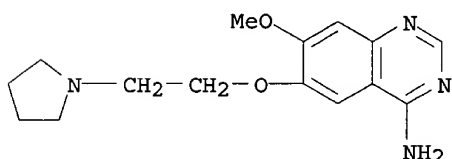
RN 320366-70-9 CAPLUS

CN 4-Quinazolinamine, 7-methoxy-6-[3-(1-piperidinyl)propoxy] - (9CI) (CA INDEX NAME)



RN 320366-71-0 CAPLUS

CN 4-Quinazolinamine, 7-methoxy-6-[2-(1-pyrrolidinyl)ethoxy] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:10463 CAPLUS

DOCUMENT NUMBER: 136:85816

TITLE: Synthesis of guanidine derivatives of quinazoline and quinoline for use in the treatment of autoimmune diseases

INVENTOR(S): Poyser, Jeffrey Philip

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

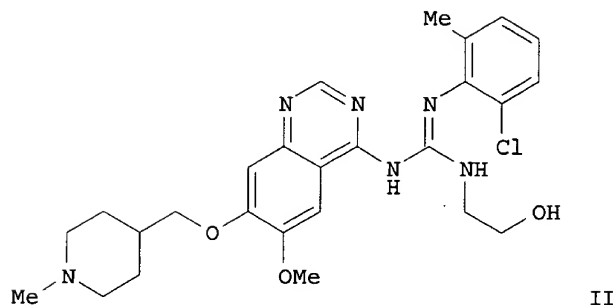
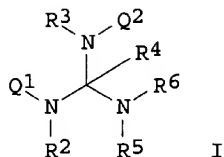
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000644	A1	20020103	WO 2001-GB2698	20010619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1296973	A1	20030402	EP 2001-940757	20010619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			GB 2000-15376	A 20000624
			GB 2000-30989	A 20001219
			WO 2001-GB2698	W 20010619

OTHER SOURCE(S):
GI

MARPAT 136:85816



AB Title compds. I [Q1 = (un)substituted quinazolinyl and quinazolinyl-like ring; R2 = H, alkyl; R3 = H, alkyl, or R2 and R3 together form a CH2, (CH2)2 or (CH2)3 group; R5 = H, alkyl, or R5 and R6 together with the N atom to which they are attached form a 4- to 7-membered heterocyclic ring optionally contg. a further heteroatom selected from O, N and S, provided that one of the pairs of groups R2 and R4 together, R3 and R4 together and R5 and R4 together forms a bond; Q2 = aryl, arylalkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl or heteroarylcycloalkyl; R6 = (un)substituted group selected from alkenyl, alkynyl, cycloalkyl and cycloalkenyl, or R6 is a substituted alkyl group, and wherein adjacent carbon atoms in any alkylene chain within a R6 group are optionally sepd. by the insertion into the chain of a group selected from O, S, SO, SO2, amino, CO, etc.; or a tautomer thereof] were prepd. Over 100 synthetic examples were provided. E.g., Et 3-methoxy-4-((N-methylpiperidin-4-yl)methoxy)benzoate (prepn. given) was nitrated (CH2Cl2, TFA, HNO3, 0.degree.C), the nitro group reduced (MeOH, Pt/C, 1.8 atm H2), the product condensed/cyclized (2-methoxyethanol, 115.degree.C, 2 h) and treated with thionyl chloride to give 4-chloro-6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazoline. This intermediate was treated with 4-bromo-2-fluorophenol (DMF, K2CO3, 100.degree.C, 2.5 h), ammonia in isopropanol (2M, 130.degree.C, 16 h) to give the 4-aminoquinazoline deriv. which was reacted with 2-chloro-6-methylphenylisothiocyanate (DMF, NaH) to afford 1-(2-chloro-6-methylphenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea. The thiourea was treated with 2-aminoethanol (CHCl3/MeOH, HgO, 2 h) to give example compd. II. I are used in the prevention or treatment of T cell mediated diseases.

IT 320365-91-1P, 4-Amino-6-methoxy-7-(3-morpholinopropoxy)quinazoline
 320365-93-3P, 4-Amino-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)quinazoline 320366-08-3P, 4-Amino-6-methoxy-7-(2-(pyrrolidin-1-yl)ethoxy)quinazoline 320366-10-7P,
 4-Amino-6-methoxy-7-(2-morpholinoethoxy)quinazoline 385814-23-3P
 , 4-Amino-6-methoxy-7-(2-pyridylmethoxy)quinazoline 385814-97-1P

09/ 830,227

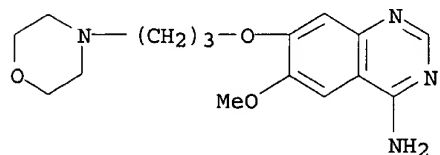
, 4-Amino-7-(2-morpholinoethoxy)quinazoline

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

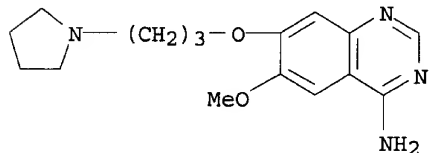
RN 320365-91-1 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy] - (9CI) (CA INDEX NAME)



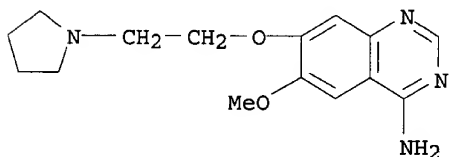
RN 320365-93-3 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-pyrrolidinyl)propoxy] - (9CI) (CA INDEX NAME)



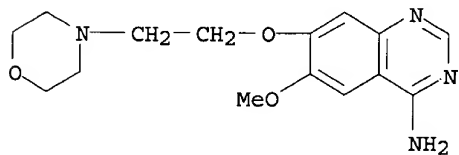
RN 320366-08-3 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy] - (9CI) (CA INDEX NAME)



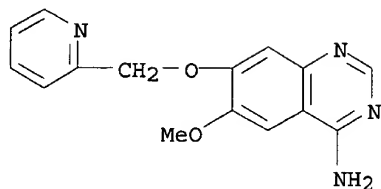
RN 320366-10-7 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-morpholinyl)ethoxy] - (9CI) (CA INDEX NAME)



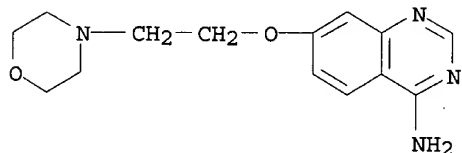
RN 385814-23-3 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-(2-pyridinylmethoxy) - (9CI) (CA INDEX NAME)



RN 385814-97-1 CAPLUS

CN 4-Quinazolinamine, 7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



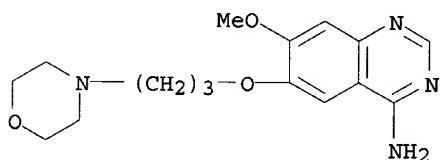
IT 320366-66-3, 4-Amino-7-methoxy-6-(3-morpholinopropoxy)quinazoline

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

RN 320366-66-3 CAPLUS

CN 4-Quinazolinamine, 7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:676589 CAPLUS

DOCUMENT NUMBER: 135:227013

TITLE: Preparation of quinazolinylureas and analogs as VEGF receptor antagonists

INVENTOR(S): Hennequin, Laurent Francois Andre; Crawley, Graham Charles; McKerrecher, Darren; Ple, Patrick; Poyser, Jeffrey Philip; Lambert, Christine Marie Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

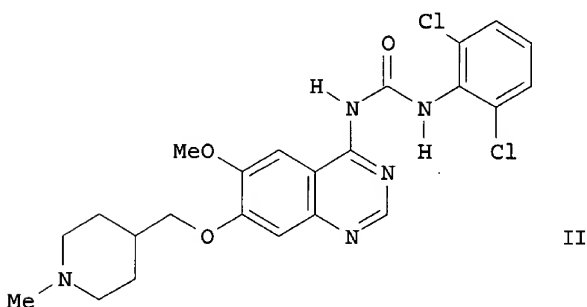
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066099	A2	20010913	WO 2001-GB863	20010301
WO 2001066099	A3	20020321		

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CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1272185 A2 20030108 EP 2001-907938 20010301
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 PRIORITY APPLN. INFO.: EP 2000-400595 A 20000306
 WO 2001-GB863 W 20010301
 OTHER SOURCE(S): MARPAT 135:227013
 GI



AB Q1NR2C(:X)NR3Q2 [I; Q1 = e.g., (un)substituted 4-quinazolinyl; Q2 = (un)substituted (hetero)aryl(alkyl), cycloalkyl, etc.; R2,R3 = H or alkyl; R2R3 = (CH2)1-3; X = O, S; NCN, (alkyl)imino] were prepd. Thus, Et piperidine-4-carboxylate was converted in 7 steps to Et 2-amino-5-methoxy-4-(1-methylpiperidine-4-ylmethoxy)benzoate which was cyclocondensed with HC(:NH)NH2.HOAc and the product converted in 4 steps to title compd. II. Data for biol. activity of I were given.

IT 320365-83-1P, 4-Amino-6-methoxy-7-(3-piperidinopropoxy)quinazoline
 320365-84-2P 320365-85-3P, 4-Amino-7-[3-(1,1-dioxotetrahydro-4H-1,4-thiazin-4-yl)propoxy]quinazoline
 320365-86-4P 320365-88-6P 320365-89-7P
 320365-91-1P 320365-92-2P 320365-93-3P
 320365-94-4P 320365-95-5P 320365-97-7P
 320366-04-9P 320366-06-1P 320366-08-3P
 320366-10-7P 320366-14-1P 320366-18-5P
 320366-20-9P 320366-24-3P 320366-26-5P
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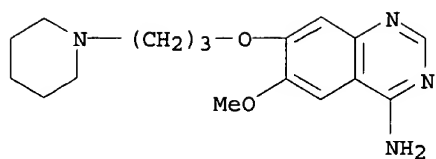
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinazolinylureas and analogs as VEGF receptor antagonists)

RN 320365-83-1 CAPLUS

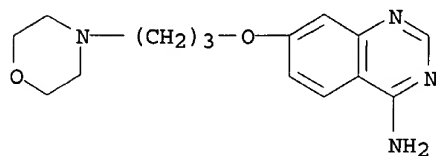
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

09/ 830,227



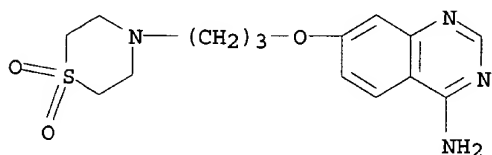
RN 320365-84-2 CAPLUS

CN 4-Quinazolinamine, 7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



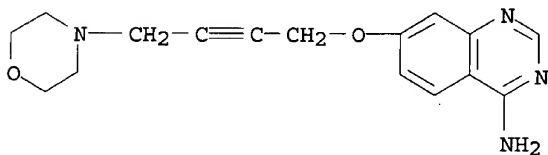
RN 320365-85-3 CAPLUS

CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]- (9CI)
(CA INDEX NAME)



RN 320365-86-4 CAPLUS

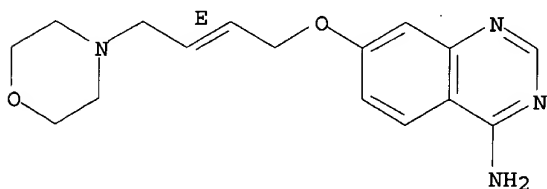
CN 4-Quinazolinamine, 7-[[4-(4-morpholinyl)-2-butyryl]oxy]- (9CI) (CA INDEX NAME)



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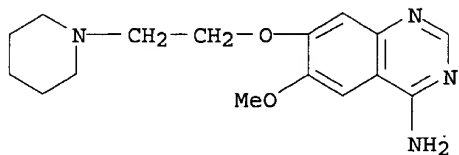
Double bond geometry as shown.



RN 320365-89-7 CAPLUS

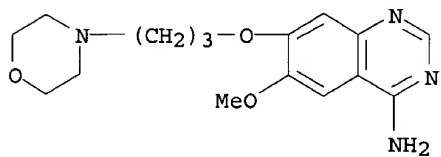
09/ 830,227

CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-piperidinyl)ethoxy] - (9CI) (CA INDEX NAME)



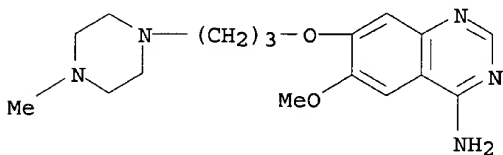
RN 320365-91-1 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy] - (9CI) (CA INDEX NAME)



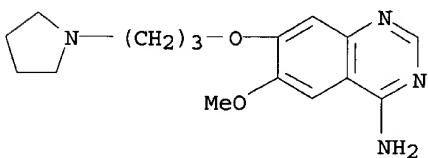
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CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy] - (9CI) (CA INDEX NAME)



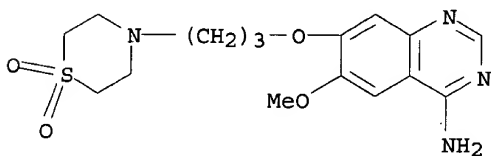
RN 320365-93-3 CAPLUS

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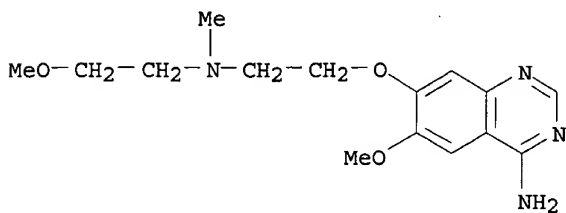
CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]-6-methoxy- (9CI) (CA INDEX NAME)



09/ 830,227

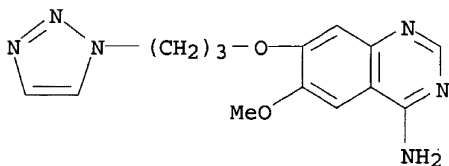
RN 320365-95-5 CAPLUS

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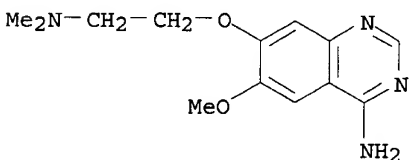
RN 320365-97-7 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(1H-1,2,3-triazol-1-yl)propoxy] - (9CI) (CA INDEX NAME)



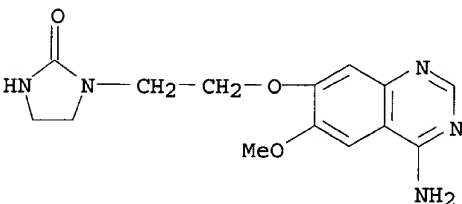
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CN 4-Quinazolinamine, 7-[2-(dimethylamino)ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



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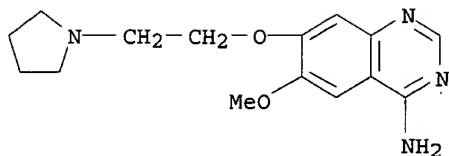
CN 2-Imidazolidinone, 1-[2-[(4-amino-6-methoxy-7-quinazolinyl)oxy]ethyl] - (9CI) (CA INDEX NAME)



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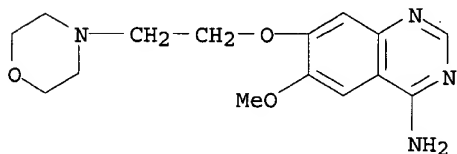
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09/ 830,227



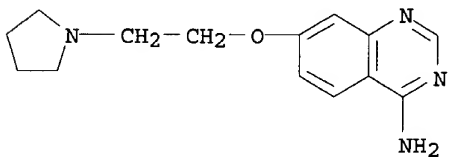
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CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



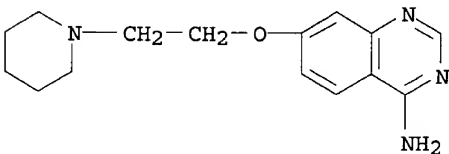
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CN 4-Quinazolinamine, 7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



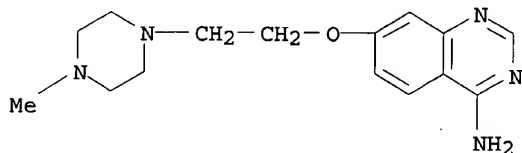
RN 320366-18-5 CAPLUS

CN 4-Quinazolinamine, 7-[2-(1-piperidiny)ethoxy]- (9CI) (CA INDEX NAME)



RN 320366-20-9 CAPLUS

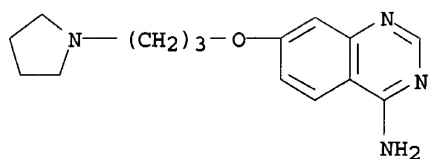
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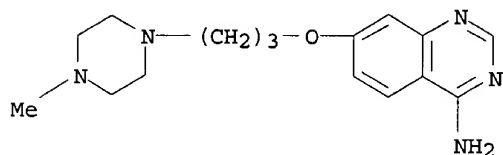
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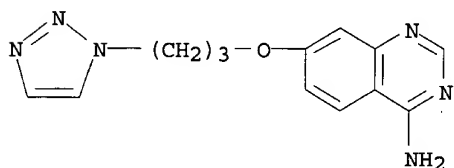
09/ 830,227



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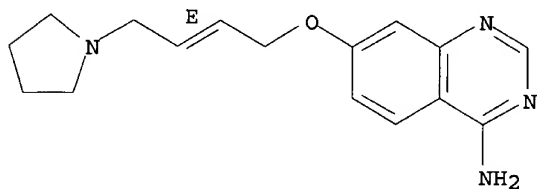


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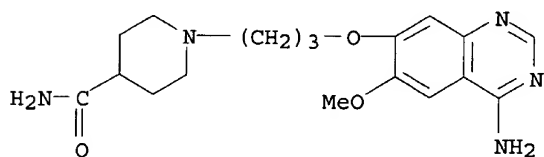


RN 320366-30-1 CAPLUS
CN 4-Quinazolinamine, 7-[(2E)-4-(1-pyrrolidinyl)-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



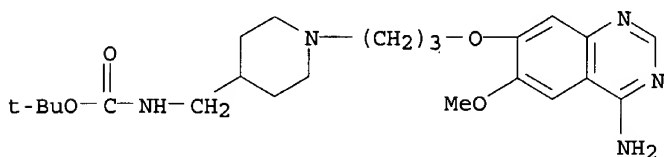
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CN 4-Piperidinecarboxamide, 1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]- (9CI) (CA INDEX NAME)



09/ 830,227

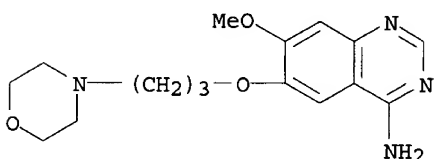
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CN Carbamic acid, [[1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]-4-piperidinyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



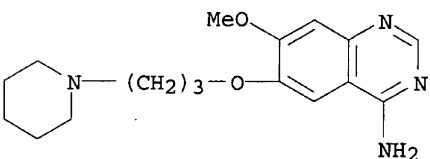
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CN 4-Quinazolinamine, 7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



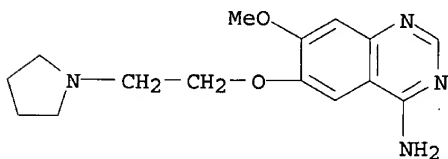
RN 320366-70-9 CAPLUS

CN 4-Quinazolinamine, 7-methoxy-6-[3-(1-piperidiny)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-71-0 CAPLUS

CN 4-Quinazolinamine, 7-methoxy-6-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:50631 CAPLUS

DOCUMENT NUMBER: 134:100885

TITLE: Preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions

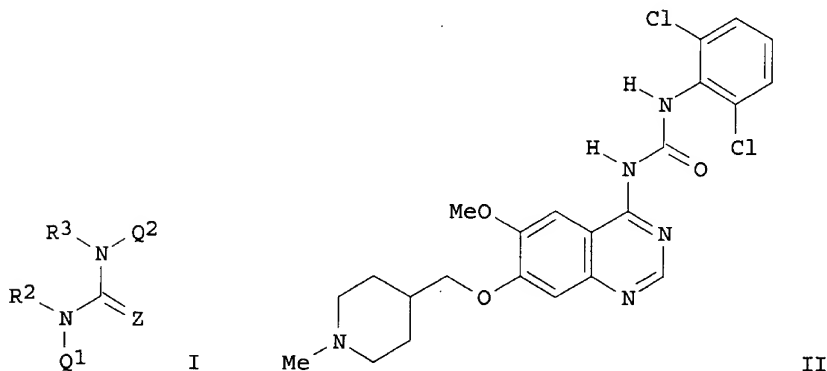
INVENTOR(S):

Crawley, Graham Charles; McKerrecher, Darren; Poyser, Jeffrey Philip; Hennequin, Laurent Francois Andre; Ple, Patrick; Lambert, Christine Marie-Paul

09/ 830,227

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca Pharma S.A.
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004102	A1	20010118	WO 2000-GB2566	20000704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1218353	A1	20020703	EP 2000-953271	20000704
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NO 2002000042	A	20020304	NO 2002-42	20020104
PRIORITY APPLN. INFO.:			EP 1999-401692	A 19990707
			EP 2000-401221	A 20000504
			WO 2000-GB2566	W 20000704
OTHER SOURCE(S):			MARPAT 134:100885	
GI				



AB The title compds. [I; Q¹ = quinazoline ring optionally substituted with halo, CF₃ or CN, or a group X¹Q³ (wherein X¹ = a direct bond, O; Q³ = aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl); R², R³ = H, alkyl; Z = O, S, NH; Q² = aryl, arylalkyl] and their pharmaceutically-acceptable salts, useful in the prevention or treatment of T cell mediated diseases or medical conditions such as transplant rejection or rheumatoid arthritis, were prepd. and formulated. E.g., a multi-step synthesis of the urea II was given. In general, activity possessed by compds. I may be demonstrated at IC₅₀ of 0.0001- 5 .mu.M against enzyme p56lck binding and IC₅₀ of 0.001-10 .mu.M in in vitro T cell proliferation assay (T cell receptor stimulation).

09/ 830,227

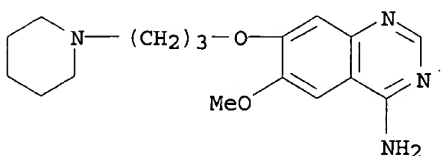
IT 320365-83-1P 320365-84-2P 320365-85-3P
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320366-28-7P 320366-30-1P 320366-31-2P
320366-64-1P 320366-66-3P 320366-70-9P
320366-71-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)

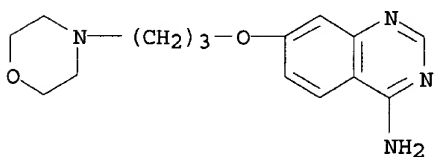
RN 320365-83-1 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-piperidinyl)propoxy] - (9CI) (CA INDEX NAME)



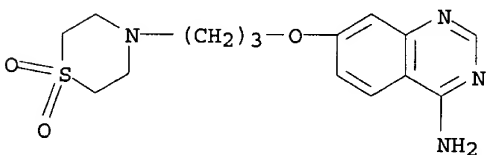
RN 320365-84-2 CAPLUS

CN 4-Quinazolinamine, 7-[3-(4-morpholinyl)propoxy] - (9CI) (CA INDEX NAME)



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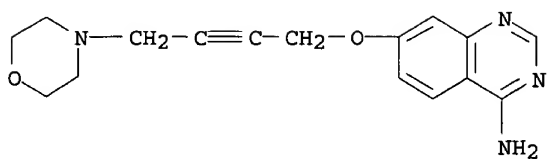
CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy] - (9CI) (CA INDEX NAME)



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CN 4-Quinazolinamine, 7-[[4-(4-morpholinyl)-2-butyrynyl]oxy] - (9CI) (CA INDEX NAME)

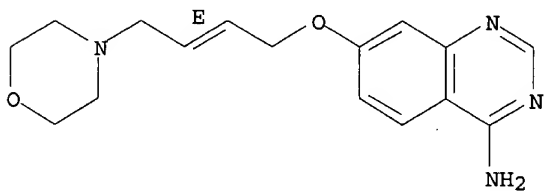
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RN 320365-88-6 CAPLUS

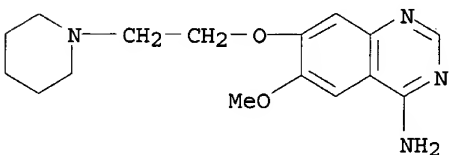
CN 4-Quinazolinamine, 7-[[2E)-4-(4-morpholinyl)-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



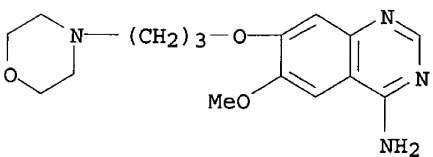
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CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



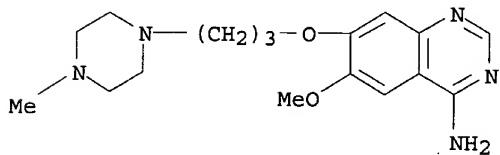
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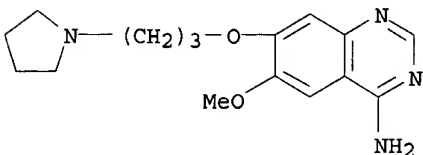
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



09/ 830,227

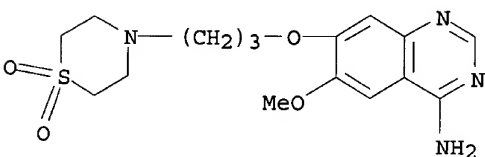
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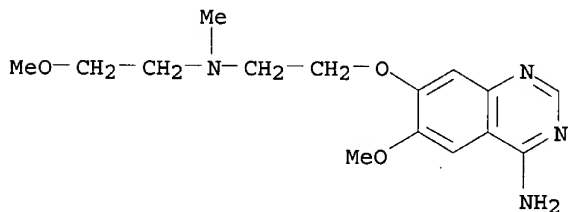
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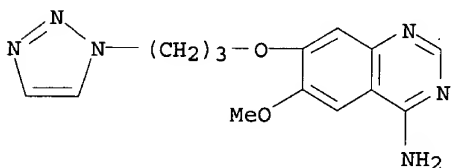
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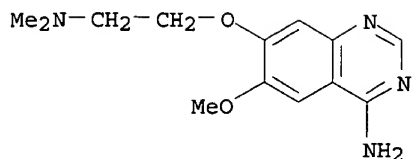
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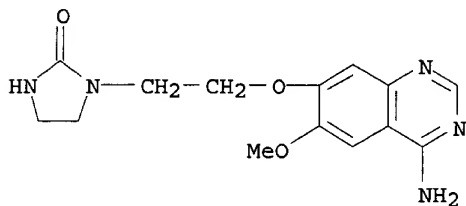
CN 4-Quinazolinamine, 7-[2-(dimethylamino)ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)

09/ 830,227



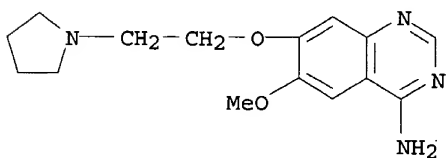
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(9CI) (CA INDEX NAME)



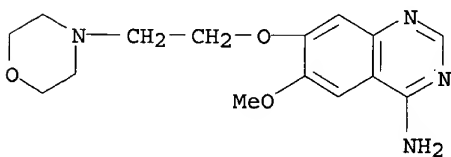
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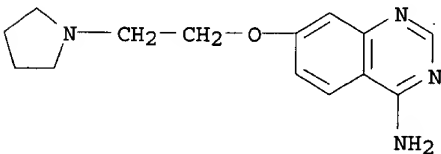
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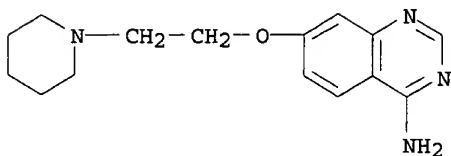
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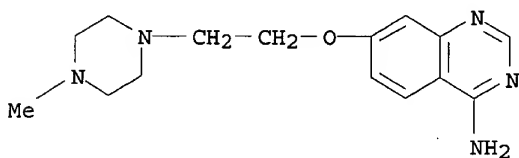
09/ 830,227

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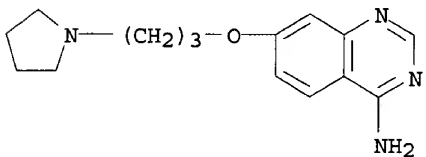
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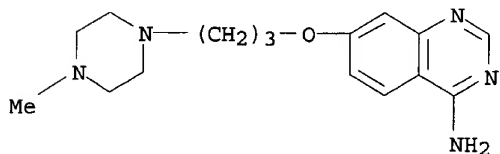
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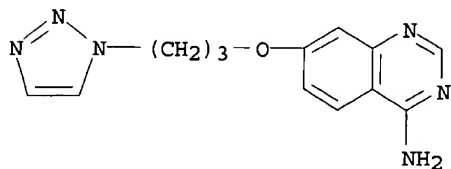
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CN 4-Quinazolinamine, 7-[3-(4-methyl-1-piperazinyloxy)]- (9CI) (CA INDEX NAME)



RN 320366-28-7 CAPLUS

CN 4-Quinazolinamine, 7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)

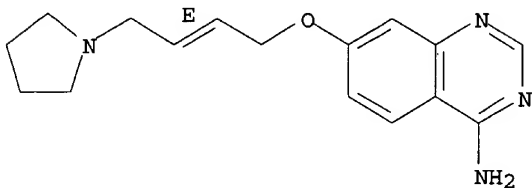


09/ 830,227

RN 320366-30-1 CAPLUS

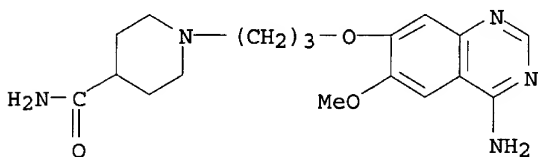
CN 4-Quinazolinamine, 7-[[{(2E)-4-(1-pyrrolidinyl)-2-butenyl}oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



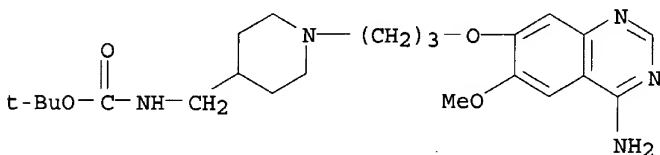
RN 320366-31-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]- (9CI) (CA INDEX NAME)



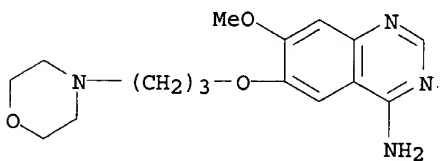
RN 320366-64-1 CAPLUS

CN Carbamic acid, [[1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]-4-piperidiny]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



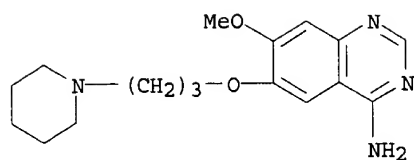
RN 320366-66-3 CAPLUS

CN 4-Quinazolinamine, 7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)

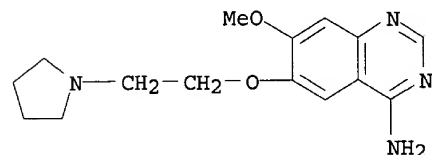


RN 320366-70-9 CAPLUS

CN 4-Quinazolinamine, 7-methoxy-6-[3-(1-piperidiny)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-71-0 CAPLUS
 CN 4-Quinazolinamine, 7-methoxy-6-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA
 INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1998:745041 CAPLUS
 DOCUMENT NUMBER: 130:10618
 TITLE: Modulating serine/threonine protein kinase function
 with quinazoline-based compounds and their use as
 antitumor and anti-fibrotic agents
 INVENTOR(S): Tang, Peng C.; McMahon, Gerald; Weinberger, Heinz;
 Kutscher, Bernhard; App, Harald
 PATENT ASSIGNEE(S): Sugen, Inc., USA
 SOURCE: PCT Int. Appl., 147 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

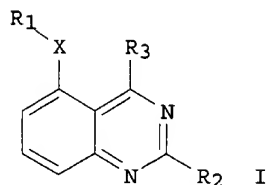
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850370	A1	19981112	WO 1998-US9060	19980501
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9803669	A	19991101	ZA 1998-3669	19980430
AU 9872829	A1	19981127	AU 1998-72829	19980501
EP 981519	A1	20000301	EP 1998-920203	19980501
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6204267	B1	20010320	US 1998-71682	19980501
JP 2001524128	T2	20011127	JP 1998-548336	19980501
US 2001014679	A1	20010816	US 2001-769360	20010126
PRIORITY APPLN. INFO.:				
			US 1997-45351P	P 19970502
			US 1997-60152P	P 19970926
			US 1998-71682	A3 19980501

09/ 830,227

WO 1998-US9060 W 19980501

OTHER SOURCE(S):
GI

CASREACT 130:10618; MARPAT 130:10618



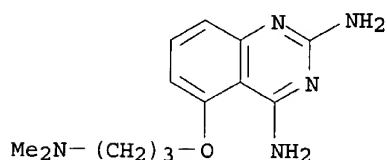
AB The present invention is directed in part towards methods of modulating the function of serine/threonine protein kinases with quinazoline-based compds (I). The methods incorporate cells that express a serine/threonine protein kinase, such as RAF. In addn., the invention describes methods of preventing and treating serine/threonine protein kinase-related abnormal conditions (e.g., tumors, fibrotic disorders, or other signal transduction aberrations) in organisms with a compd. identified by the invention. Furthermore, the invention pertains to quinazoline compds. and pharmaceutical compns. comprising these compds. Syntheses and biol. activities are provided for 38 quinazoline-based compds.

IT 215925-99-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulating serine/threonine protein kinase function with quinazoline-based compds. and their use as antitumor and anti-fibrotic agents)

RN 215925-99-8 CAPLUS

CN 2,4-Quinazolinediamine, 5-[3-(dimethylamino)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:315146 CAPLUS

DOCUMENT NUMBER: 120:315146

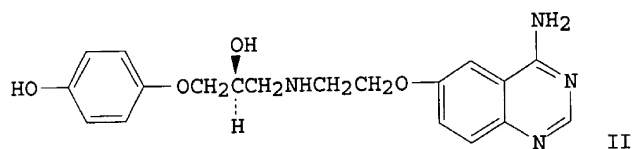
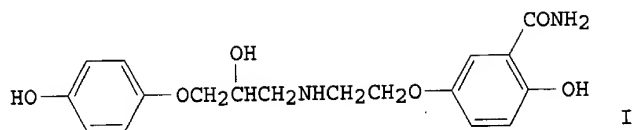
TITLE: The aminoquinazoline group as a replacement for the salicylamide group: The design and synthesis of a novel highly selective .beta.1 adrenoceptor partial agonist

AUTHOR(S): Block, Michael H.; Kenny, Peter W.; Thomson, David S.; Yu, Man Tat

CORPORATE SOURCE: ICI Pharm., Alderley Park/Macclesfield/Cheshire, SK10 4TG, UK

SOURCE: Drug Design and Discovery (1992), 9(2), 167-76, (plate)
CODEN: DDDIEV; ISSN: 1055-9612

DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



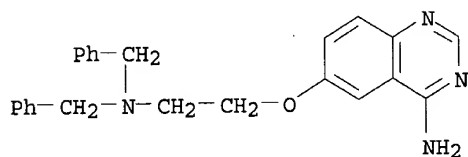
AB The high potency at .beta.1 receptors, excellent selectivity (.beta.1/.beta.2) and high degree of agonism displayed by compds. such as I is believed to be due in part to the salicylamide side chain. Two conformations of salicylamide are known to exist in the crystal state, but ab initio calcns. suggest that in the absence of crystal packing forces one of them contg. the amide group should be more stable. The aminoquinazoline group was judged to be a good replacement for salicylamide in I, and consequently the oxypropanolamine deriv. (II) was prepd. II shows extremely high potency at the .beta.1 receptor, and excellent .beta.1/.beta.2 selectivity. It has comparable in vitro activity to I, although it displays a lower degree of agonism. In this system, aminoquinazoline appears to be an excellent mimic of the salicylamide group.

IT 154664-43-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and hydrogenolysis of)

RN 154664-43-4 CAPLUS

CN 4-Quinazolinamine, 6-[2-[bis(phenylmethyl)amino]ethoxy]- (9CI) (CA INDEX NAME)



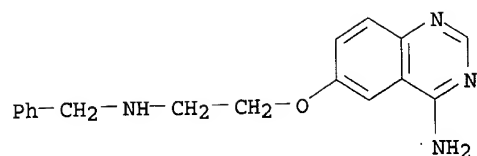
IT 154664-42-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction with epoxide deriv.)

RN 154664-42-3 CAPLUS

CN 4-Quinazolinamine, 6-[2-[(phenylmethyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

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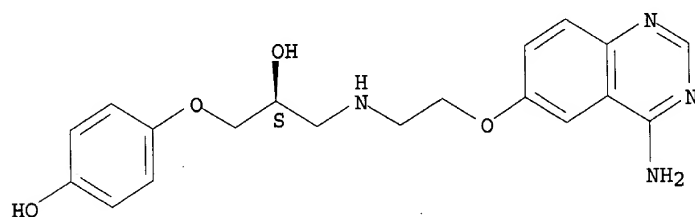
IT 154664-41-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and .beta.1-adrenergic agonists activity of, structure in
relation to)

RN 154664-41-2 CAPLUS

CN Phenol, 4-[3-[[2-[(4-amino-6-quinazolinyl)oxy]ethyl]amino]-2-
hydroxypropoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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(FILE 'HOME' ENTERED AT 11:03:53 ON 04 AUG 2003)

FILE 'REGISTRY' ENTERED AT 11:04:04 ON 04 AUG 2003

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L1 FUL
L4 34 S L2 FUL

FILE 'CAPLUS' ENTERED AT 11:05:04 ON 04 AUG 2003

L5 6 S L4

FILE 'REGISTRY' ENTERED AT 11:05:30 ON 04 AUG 2003

FILE 'CAPLUS' ENTERED AT 11:05:56 ON 04 AUG 2003

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 11:06:47 ON 04 AUG 2003